DESCRIPTION

3-SUBSTITUTED-4-PYRIMIDONE DERIVATIVES

Technical Field

The present invention relates to compounds that are useful as an active ingredient of a medicament for preventive and/or therapeutic treatment of diseases mainly caused by abnormal activity of tau protein kinase 1, such as neurodegenerative diseases (e.g. Alzheimer disease).

Background Art

Alzheimer disease is progressive senile dementia, in which marked cerebral cortical atrophy is observed due to degeneration of nerve cells and decrease of nerve cell number. Pathologically, numerous senile plaques and neurofibrillary tangles are observed in brain. The number of patients has been increased with the increment of aged population, and the disease arises a serious social problem. Although various theories have been proposed, a cause of the disease has not yet been elucidated. Early resolution of the cause has been desired.

It has been known that the degree of appearance of two characteristic pathological changes of Alzheimer disease well correlates to the degree of intellectual dysfunction. Therefore, researches have been conducted from early 1980's to reveal the cause of the disease through molecular level investigations of components of the two pathological changes. Senile plaques accumulate extracellularly, and β amyloid protein has been elucidated as their main component (abbreviated as "A β " hereinafter in the specification: Biochem. Biophys. Res. Commun., 120, 855 (1984); EMBO J., 4, 2757 (1985); Proc. Natl. Acad. Sci. USA, 82, 4245 (1985)). In the other pathological change, i.e., the neurofibrillary tangles, a double-helical filamentous substance called paired helical filament (abbreviated

as "PHF" hereinafter in the specification) accumulate intracellularly, and tau protein, which is a kind of microtubule-associated protein specific for brain, has been revealed as its main component (Proc. Natl. Acad. Sci. USA, 85, 4506 (1988); Neuron, 1, 827 (1988)).

Furthermore, on the basis of genetic investigations, presentlins 1 and 2 were found as causative genes of familial Alzheimer disease (Nature, 375, 754 (1995); Science, 269, 973 (1995); Nature. 376, 775 (1995)), and it has been revealed that presence of mutants of presentlins 1 and 2 promotes the secretion of A β (Neuron, 17, 1005 (1996); Proc. Natl. Acad. Sci. USA, 94, 2025 (1997)). From these results, it is considered that, in Alzheimer disease, A β abnormally accumulates and agglomerates due to a certain reason, which engages with the formation of PHF to cause death of nerve cells. It is also expected that extracellular outflow of glutamic acid and activation of glutamate receptor responding to the outflow may possibly be important factors in an early process of the nerve cell death caused by ischemic cerebrovascular accidents (Sai-shin Igaku [Latest Medicine], 49, 1506 (1994)).

It has been reported that kainic acid treatment that stimulates the AMPA receptor, one of glutamate receptor, increases mRNA of the amyloid precursor protein (abbreviated as "APP" hereinafter in the specification) as a precursor of A β (Society for Neuroscience Abstracts, 17, 1445 (1991)), and also promotes metabolism of APP (The Journal of Neuroscience, 10, 2400 (1990)). Therefore, it has been strongly suggested that the accumulation of A β is involved in cellular death due to ischemic cerebrovascular disorders. Other diseases in which abnormal accumulation and agglomeration of A β are observed include, for example, Down syndrome, cerebral bleeding due to solitary cerebral amyloid angiopathy, Lewy body disease (Shin-kei Shinpo [Nerve Advance], 34, 343 (1990); Tanpaku-shitu Kaku-san Koso [Protein, Nucleic Acid, Enzyme], 41, 1476 (1996)) and the like. Furthermore, as diseases showing neurofibrillary tangles due to the PHF accumulation, examples

include progressive supranuclear palsy, subacute sclerosing panencephalitic parkinsonism, postencephalitic parkinsonism, pugilistic encephalitis, Guam parkinsonism-dementia complex, Lewy body disease and the like (Tanpakushitu Kakusan Koso [Protein, Nucleic Acid, Enzyme], 36, 2 (1991); Igaku no Ayumi [Progress of Medicine], 158, 511 (1991); Tanpakushitu Kakusan Koso [Protein, Nucleic Acid, Enzyme], 41, 1476 (1996)).

The tau protein is generally composed of a group of related proteins that forms several bands at molecular weights of 48-65 kDa in SDS-polyacrylamide gel electrophoresis, and it promotes the formation of microtubules. It has been verified that tau protein incorporated in the PHF in the brain suffering from Alzheimer disease is abnormally phosphorylated compared with usual tau protein (J. Biochem., 99, 1807 (1986); Proc. Natl. Acad. Sci. USA, 83, 4913 (1986)). An enzyme catalyzing the abnormal phosphorylation has been isolated. The protein was named as tau protein kinase 1 (abbreviated as "TPK1" hereinafter in the specification), and its physicochemical properties have been elucidated (Seikagaku [Biochemistry], 64, 308 (1992); J. Biol. Chem., 267, 10897 (1992)). Moreover, cDNA of rat TPK1 was cloned from a rat cerebral cortex cDNA library based on a partial amino acid sequence of TPK1, and its nucleotide sequence was determined and an amino acid sequence was deduced (Japanese Patent Un-examined Publication [Kokai] No. 6-239893/1994). As a result, it has been revealed that the primary structure of the rat TPK1 corresponds to that of the enzyme known as rat GSK-3 β (glycogen synthase kinase 3β , FEBS Lett., 325, 167 (1993)).

It has been reported that A β , the main component of senile plaques, is neurotoxic (Science, 250, 279 (1990)). However, various theories have been proposed as for the reason why A β causes the cell death, and any authentic theory has not yet been established. Takashima et al. observed that the cell death was caused by A β treatment of fetal rat hippocampus primary culture system, and then found that the TPK1 activity was increased by A β treatment and the cell death by

A β was inhibited by antisense of TPK1 (Proc. Natl. Acad. Sci. USA, 90, 7789 (1993);

Japanese Patent Un-examined Publication [Kokai] No. 6-329551/1994).

In view of the foregoing, compounds which inhibit the TPK1 activity may possibly suppress the neurotoxicity of A β and the formation of PHF and inhibit the nerve cell death in the Alzheimer disease, thereby cease or defer the progress of the disease. The compounds may also be possibly used as a medicament for therapeutic treatment of ischemic cerebrovascular disorder, Down syndrome, cerebral amyloid angiopathy, cerebral bleeding due to Lewy body disease and the like by suppressing the cytotoxicity of A β . Furthermore, the compounds may possibly be used as a medicament for therapeutic treatment of neurodegenerative diseases such as progressive supranuclear palsy, subacute sclerosing panencephalitic parkinsonism, postencephalitic parkinsonism, pugilistic encephalitis, Guam parkinsonism-dementia complex, Lewy body disease, Pick's disease, corticobasal degeneration, frontotemporal dementia, vascular dementia, acute stroke and traumatic injuries, brain and spinal cord trauma, peripheral neuropathies, retinopathies and glaucoma; non-insulin dependent diabetes (such as diabetes type II), and obesity, manic depressive illness, schizophrenia, alopecia, cancers such as breast cancer, non-small cell lung carcinoma, thyroid cancer, T or B-cell leukemia and several virus-induced tumors.

As structurally similar compounds to the compounds of the present invention represented by formula (I) described later, compounds represented by the following formula (A) are known:

wherein R represents 2,6-dichlorobenzyl group, 2-(2-chlorophenyl)ethylamino group, 3-phenylpropylamino group, or 1-methyl-3-phenylpropylamino group (WO98/24782). The compounds represented by formula (A) are characterized to have 4-fluorophenyl group at the 5-position of the pyrimidine ring and a hydroxy group at the 4-position, and not falling within the scope of the present invention. Moreover, main pharmacological activity of the compounds represented by formula (A) is anti-inflammatory effect, whereas the compounds of the present invention represented by formula (I) are useful as a TPK1 inhibitor or a medicament for therapeutic treatment of neurodegenerative diseases, and therefore, their pharmacological activities are totally different to each other.

Patent Document 1: WO 00/18758

Patent Document 2: WO 01/70728

Patent Document 3: WO 01/70729

Disclosure of the Invention

An object of the present invention is to provide compounds useful as an active ingredient of a medicament for preventive and/or therapeutic treatment of diseases such as Alzheimer disease. More specifically, the object is to provide novel compounds useful as an active ingredient of a medicament that enables radical prevention and/or treatment of the neurodegenerative diseases such as Alzheimer disease by inhibiting the TPK1 activity to suppress the neurotoxicity of A β and the formation of the PHF and by inhibiting the death of nerve cells.

In order to achieve the foregoing object, the inventors of the present invention conducted screenings of various compounds having inhibitory activity against the phosphorylation of TPK1. As a result, they found that compounds represented by the following formula (I) had the desired activity and were useful as an active ingredient of a medicament for preventive and/or therapeutic treatment of

the aforementioned diseases. The present invention was achieved on the basis of these findings.

The present invention thus provides 3-substituted-4-pyrimidone derivatives represented by formula (I) or salts thereof, or solvates thereof or hydrates thereof:

$$(X)_{m} \xrightarrow{N}_{R} O$$

$$(I)$$

$$(Y)_{n}$$

wherein Q represents CH or nitrogen atom;

R represents a C_1 - C_{12} alkyl group which may be substituted; the ring of:



represents piperazine ring or piperidine ring; each X independently represents

 $X^1 - X^2 -$

wherein X¹ represents an oxo group; a C¹-C³ alkyl group which may be substituted; a C³-C³ cycloalkyl group which may be substituted; an optionally partially hydrogenated C6-C¹0 aryl ring which may be substituted; an indan ring which may be substituted; an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total; an aralkyloxy group; a group represented by -N(Ra)(Rb) wherein Ra and Rb are the same or different and each is hydrogen, a C¹-C⁴ alkyl group which may be substituted, an aralkyl group which may be substituted, an aryl group which may be substituted, C¹-C⁵ alkylcarbonyl group which may be

substituted,

C₃-C₈ cycloalkylcarbonyl group which may be substituted, aralkycarbonyl group which may be substituted, C₆-C₁₀ arylcarbonyl group which may be substituted, C₁-C₈ alkysulfonyl group which may be substituted, C₃-C₈ cycloalkylsulfonyl group which may be substituted, aralkysulfonyl group which may be substituted, C₆-C₁₀ arylsulfonyl group which may be substituted, C₁-C₈ alkyloxycarbonyl group which may be substituted, C₃-C₈ cycloalkyloxycarbonyl group which may be substituted, aralkyoxycarbonyl group which may be substituted, aralkyoxycarbonyl group which may be substituted, C₆-C₁₀ aryloxycarbonyl group which may be substituted, aminocarbonyl,

N-C1-C8 alkylaminocarbonyl group which may be substituted,

N, N'-C1-C8 dialkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C6-C10 arylaminocarbonyl group which may be substituted,

C₃-C₈ cycloalkylaminocarbonyl group which may be substituted,

N,N'-C3-C8 dicycloalkylaminoycarbonyl group which may be substituted,

N-C3-C8 cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C₃-C₈ cycloalkyl-N'-C₆-C₁₀ arylaminocarbonyl group which may be substituted, aralkylaminocarbonyl group which may be substituted,

N,N'-diaralkylaminocarbonyl group which may be substituted,

N-aralkyl- N'-C6-C10 arylaminocarbonyl group which may be substituted,

C₆-C₁₀ arylaminocarbonyl group which may be substituted,

 $N,\!N'\text{-}\mathrm{C}_6\text{-}\mathrm{C}_{10}$ diarylaminocarbonyl group which may be substituted,

or an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected

from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total; or Ra and Rb together with the adjacent nitrogen atom form a 4 to 7 membered heterocyclic ring which may further contain 1 to 4 groups selected from an oxygen atom, a sulfur atom, N-Rc (wherein Rc represents a hydrogen atom, a C1-C4 alkyl group which may be substituted, an aralkyl group which may be substituted, C3-C8 cycloalkyl group which may be substituted or an aryl group which may be substituted, C₁-C₈ alkylcarbonyl group which may be substituted, C₃-C₈ cycloalkylcarbonyl group which may be substituted, aralkycarbonyl group which may be substituted, C₆-C₁₀ arylcarbonyl group which may be substituted, C1-C8 alkysulfonyl group which may be substituted, C3-C8 cycloalkylsulfonyl group which may be substituted, aralkysulfonyl group which may be substituted, C₆-C₁₀ arylsulfonyl group which may be substituted, C₁-C₈ alkyloxycarbonyl group which may be substituted, C₃-C₈ cycloalkyloxycarbonyl group which may be substituted, aralkyoxycarbonyl group which may be substituted, C₆-C₁₀ aryloxycarbonyl group which may be substituted, aminocarbonyl, N-C₁-C₈ alkylaminocarbonyl group which may be substituted, N, N'-C1-C8 dialkylaminocarbonyl group which may be substituted, N-C1-C8 alkyl-N'-C3-C8 cycloalkylaminocarbonyl group which may be substituted, N-C₁-C₈ alkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C₁-C₈ alkyl-N'-C₆-C₁₀ arylaminocarbonyl group which may be substituted,

C₃-C₈ cycloalkylaminocarbonyl group which may be substituted,

N,N'-C₃-C₈ dicycloalkylaminoycarbonyl group which may be substituted,

N-C₃-C₈ cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted,

 $N-C_3-C_8$ cycloalkyl-N'-C_6-C_{10} arylaminocarbonyl group which may be substituted, aralkylaminocarbonyl group which may be substituted,

N,N'-diaralkylaminocarbonyl group which may be substituted,

N-aralkyl- N'-C6-C10 arylaminocarbonyl group which may be substituted,

C₆-C₁₀ arylaminocarbonyl group which may be substituted,

N,N'-C6-C10 diarylaminocarbonyl group which may be substituted,

or an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total),

a carbonyl group, a sulfinyl group or a sulfonyl group in the ring, and said 4 to 7 membered heterocyclic ring may optionally be fused with an aryl group which may be substituted;

X² represents a bond, a carbonyl group, a sulfinyl group, a sulfonyl group, an oxygen atom, a sulfur atom, a C¹-C⁴ alkylene group which may be substituted or N-Rd (Rd represents a hydrogen atom, a C¹-C⁴ alkyl group which may be substituted, an aralkyl group which may be substituted, C³-C³ cycloalkyl group which may be substituted or an aryl group which may be substituted,
C¹-C³ alkylcarbonyl group which may be substituted,
C³-C³ cycloalkylcarbonyl group which may be substituted,
aralkycarbonyl group which may be substituted,
C6-C¹0 arylcarbonyl group which may be substituted,

 $\mathrm{C}_3\text{-}\mathrm{C}_8$ cycloalkylsulfonyl group which may be substituted, aralkysulfonyl group which may be substituted,

 C_6 - C_{10} arylsulfonyl group which may be substituted,

 $C_1\text{-}C_8$ alkyloxycarbonyl group which may be substituted,

C₃-C₈ cycloalkyloxycarbonyl group which may be substituted, aralkyoxycarbonyl group which may be substituted,

 C_{6} - C_{10} aryloxycarbonyl group which may be substituted, aminocarbonyl,

N-C1-C8 alkylaminocarbonyl group which may be substituted,

N, N'-C1-C8 dialkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C6-C10 arylaminocarbonyl group which may be substituted,

C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N,N'-C3-C8 dicycloalkylaminoycarbonyl group which may be substituted,

N-C3-C8 cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted,

 $N\text{-}C_3\text{-}C_8 \ cycloalkyl\text{-}N'\text{-}C_6\text{-}C_{10} \ arylaminocarbonyl group which may be substituted,}$

aralkylaminocarbonyl group which may be substituted,

N,N'-diaralkylaminocarbonyl group which may be substituted,

N-aralkyl- N'-C6-C10 arylaminocarbonyl group which may be substituted,

C6-C10 arylaminocarbonyl group which may be substituted,

N,N'-C6-C10 diarylaminocarbonyl group which may be substituted,

or an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected

from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and

having 5 to 10 ring-constituting atoms in total);

m represents an integer of 1 to 3;

each Y independently represents a halogen atom, a hydroxy group, a cyano group, Y¹-Y³- wherein Y¹ represents a C¹-C³ alkyl group which may be substituted; a C³-C³ cycloalkyl group which may be substituted or a C⁵-C¹¹ aryl ring which may be substituted; Y³ represents a carbonyl group, a sulfinyl group, a sulfonyl group, an oxygen atom, a sulfur atom, a C¹-C⁴ alkylene group which may be substituted or N-Re (Re represents a hydrogen atom, a C¹-C⁴ alkyl group which may be substituted, an aralkyl group which may be substituted, C³-C³ cycloalkyl group which may be substituted or an aryl group which may be substituted,

C1-C8 alkylcarbonyl group which may be substituted,
C3-C8 cycloalkylcarbonyl group which may be substituted,
aralkycarbonyl group which may be substituted,
C6-C10 arylcarbonyl group which may be substituted,
C1-C8 alkysulfonyl group which may be substituted,
C3-C8 cycloalkylsulfonyl group which may be substituted,
aralkysulfonyl group which may be substituted,
C6-C10 arylsulfonyl group which may be substituted,
C1-C8 alkyloxycarbonyl group which may be substituted,
C1-C8 alkyloxycarbonyl group which may be substituted,
C3-C8 cycloalkyloxycarbonyl group which may be substituted,
aralkyoxycarbonyl group which may be substituted,
C6-C10 aryloxycarbonyl group which may be substituted,
aminocarbonyl,

N-C1-C8 alkylaminocarbonyl group which may be substituted,

N, N'-C1-C8 dialkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C₁-C₈ alkyl-N'-C₆-C₁₀ arylaminocarbonyl group which may be substituted,

C₃-C₈ cycloalkylaminocarbonyl group which may be substituted,

N,N'-C₃-C₈ dicycloalkylaminoycarbonyl group which may be substituted,

N-C3-C8 cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C₃-C₈ cycloalkyl-N'-C₆-C₁₀ arylaminocarbonyl group which may be substituted, aralkylaminocarbonyl group which may be substituted,

N,N'-diaralkylaminocarbonyl group which may be substituted,

N-aralkyl- N'-C6-C10 arylaminocarbonyl group which may be substituted,

C₆-C₁₀ arylaminocarbonyl group which may be substituted,

 $N,N'-C_6-C_{10}$ diarylaminocarbonyl group which may be substituted,

or an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected

from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total),

n represents an integer of 0 to 8;

when X and Y or two Y groups are attached on the same carbon atom, they may combine to each other to form a C_2 - C_6 alkylene group; and when m is 1, n is 0, and X is X^1 -CO-,

- (1) X does not bind to 3-position of unsubstituted 1-piperazinyl group or does not bind to 3-position of a 4-alkyl-1-piperazinyl group; or
- (2) X does not bind to 3-position or 4-position of non-substituted 1-piperidinyl group.

According to another aspect of the present invention, there is provided a medicament comprising as an active ingredient a substance selected from the group consisting of the 3-substituted-4-pyrimidone derivatives represented by formula (I) and the physiologically acceptable salts thereof, and the solvates thereof and the hydrates thereof. As preferred embodiments of the medicament, there are provided the aforementioned medicament which is used for preventive and/or therapeutic treatment of diseases caused by tau protein kinase 1 hyperactivity, and the aforementioned medicament which is used for preventive and/or therapeutic treatment of neurodegenerative diseases.

As further preferred embodiments of the present invention, there are provided the aforementioned medicament wherein the diseases are selected from the group consisting of Alzheimer disease, ischemic cerebrovascular accidents, Down syndrome, cerebral bleeding due to cerebral amyloid angiopathy, progressive supranuclear palsy, subacute sclerosing panencephalitic parkinsonism, postencephalitic parkinsonism, pugilistic encephalitis, Guam parkinsonism-dementia complex, Lewy body disease, Pick's disease, corticobasal degeneration and frontotemporal dementia, vascular dementia, acute stroke and

traumatic injuries, brain and spinal cord trauma, peripheral neuropathies, retinopathies and glaucoma, non-insulin dependent diabetes (such as diabetes type II), and obesity, manic depressive illness, schizophrenia, alopecia, cancers such as breast cancer, non-small cell lung carcinoma, thyroid cancer, T or B-cell leukemia and several virus-induced tumors; and the aforementioned medicament in the form of pharmaceutical composition containing the above substance as an active ingredient together with one or more pharmaceutical additives.

The present invention further provides an inhibitor of tau protein kinase 1 comprising as an active ingredient a substance selected from the group consisting of the 3-substituted-4-pyrimidone derivatives of formula (I) and the salts thereof, and the solvates thereof and the hydrates thereof.

According to further aspects of the present invention, there are provided a method for preventive and/or therapeutic treatment of diseases caused by tau protein kinase 1 hyperactivity, which comprises the step of administering to a patient a preventively and/or therapeutically effective amount of a substance selected from the group consisting of the 3-substituted-4-pyrimidone derivatives of formula (I) and the physiologically acceptable salts thereof, and the solvates thereof and the hydrates thereof; and a use of a substance selected from the group consisting of the 3-substituted-4-pyrimidone derivatives of formula (I) and the physiologically acceptable salts thereof, and the solvates thereof and the hydrates thereof for the manufacture of the aforementioned medicament.

Best Mode for Carrying Out the Invention

In the present specification, each group has the following meanings.

The alkyl group used herein may be either linear or branched.

The C₁-C₁₂ alkyl group represented by R may be, for example, methyl group, ethyl group, n-propyl group, isopropyl group, n-butyl group, isobutyl group, sec-butyl group, tert-butyl group, n-pentyl group, isopentyl group, neopentyl group,

1,1-dimethylpropyl group, n-hexyl group, isohexyl group, or a linear or branched heptyl group, octyl group, nonyl group, decyl group, undecyl group or dodecyl group. Particularly preferred R is methyl group.

In the specification, when a functional group is defined as "which may be substituted" or "optionally substituted", the number of substituents as well as their types and substituting positions are not particularly limited, and when two or more substituents are present, they may be the same or different.

When the C₁-C₁₂ alkyl group represented by R has one or more substituents, the alkyl group may have one or more substituents selected from, for example, the groups consisting of a C₃-C₈ cycloalkyl group such as cyclopropyl group, cyclobutyl group, cyclopentyl group, cyclohexyl group, cycloheptyl group, cyclooctyl group; a C₁-C₅ alkoxy group such as methoxy group, ethoxy group, propoxy group, isopropoxy group, butoxy group, isobutoxy group, tert-butoxy group; C₁-C₃ alkylamino group or C₂-C₆ dialkylamino group; a C₆-C₁₀ aryl group such as phenyl group, 1-naphthyl group, and 2-naphthyl group.

The C₁-C₈ alkyl group may be, for example, methyl group, ethyl group, n-propyl group, isopropyl group, n-butyl group, isobutyl group, sec-butyl group, tert-butyl group, n-pentyl group, isopentyl group, neopentyl group, 1,1-dimethylpropyl group, n-hexyl group, isohexyl group, or a linear or branched heptyl group or octyl group.

The C1-C4 alkyl group may be, for example, methyl group, ethyl group, n-propyl group, isopropyl group, n-butyl group, isobutyl group, sec-butyl group or tert-butyl group.

The C_3 - C_8 cycloalkyl group may be, for example, cyclopropyl group, cyclobutyl group, cyclopentyl group, cyclohexyl group, cycloheptyl group or cyclooctyl group.

The optionally partially hydrogenated C_6 - C_{10} aryl ring may be, for example a benzene ring, a naphthalene ring, an indan ring or a

1,2,3,4-tetrahydronaphthalene ring.

The heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total may be, for example, furan ring, dihydrofuran ring, tetrahydrofuran ring, pyran ring, dihydropyran ring, tetrahydropyran ring, benzofuran ring, dihydrobenzofuran, isobenzofuran ring, benzodioxol ring, chromene ring, chroman ring, isochroman ring, thiophene ring, benzothiophene ring, pyrrole ring, pyrroline ring, pyrrolidine ring, 2-oxopyrrolidine ring, imidazole ring, imidazoline ring, imidazolidine ring, pyrazole ring, pyrazoline ring, pyrazolidine ring, triazole ring, tetrazole ring, pyridine ring, pyridine oxide ring, piperidine ring, 4-oxopiperidine ring, pyrazine ring, piperazine ring, homopiperazine ring, pyrimidine ring, pyridazine ring, indole ring, indoline ring, isoindole ring, isoindoline ring, indazole ring, benzimidazole ring, benzotriazole ring, tetrahydroisoquinoline ring, benzothiazolinone ring, benzoxazolinone ring, purine ring, quinolizine ring, quinoline ring, phthalazine ring, naphthyridine ring, quinoxaline ring, quinazoline ring, cinnoline ring, pteridine ring, oxazole ring, oxazolidine ring, isoxazole ring, isoxazolidine ring, oxadiazole ring, thiazole ring, benzothiazole ring, thiazylidine ring, isothiazole ring, isothiazolidine ring, benzodioxole ring, dioxane ring, benzodioxane ring, dithian ring, morpholine ring, thiomorpholine ring, or phthalimide ring.

The aralkyl group may be, for example, benzyl group, 2-phenylethyl group, 3-phenylpropyl group or 4-phenylbutyl group.

The C₁-C₄ alkylene group may be, for example, methylene, ethylene, trimethylene or tetramethylene.

The 4 to 7 membered heterocyclic ring which may further contain 1 to 4 groups may be, for example, pyrrolidine, piperidine, morpholine, thiomorpholine, piperazine, homopiperazine, 2-oxopyrrolidine, pyrrole, imidazoline, imidazole, pyrazole, pyrroline, pyrrolidine, imidazolidine, imidazolone, succinimide or

glutarimide.

The C_6 - C_{10} aryl ring may be, for example, a benzene ring or a naphthalene ring, and the aryl group or the C_6 - C_{10} aryl group may be, for example, a phenyl group or naphthyl group.

When the ring represented by X or X1 has one or more substituents, the ring may have one or more substituents selected from the group consisting of a C1-C5 alkyl group such as methyl group, ethyl group, propyl group, isopropyl group, butyl group, isobutyl group, sec-butyl group, tert-butyl group, pentyl group, isopentyl group, neopentyl group, 1,1-dimethylpropyl group; C3-C6 cycloalkyl group such as cyclopropyl group, cyclobutyl group, cyclopentyl group, cyclohexyl group; a C₃-C₆ cycloalkyl-C₁-C₄ alkyl group such as cyclopropylmethyl, cyclopentylmethyl, cyclohexylmethyl; a C₁-C₄ hydroxyalkyl group such as hydroxymethyl, hydroxyethyl, hydroxypropyl; a halogen atom such as fluorine atom, chlorine atom, bromine atom, and iodine atom; a C1-C5 halogenated alkyl group such as trifluoromethyl group; hydroxyl group; cyano group; nitro group; formyl group; a benzene ring which may be substituted; a naphthalene ring which may be substituted; an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom and nitrogen atom, and having 5 to 10 ring-constituting atoms in total (same as the above); an amino group; an N-C₃-C₆ cycloalkyl-N-C₁-C $_4$ alkylaminoalkyl group wherein said C $_1$ -C $_4$ alkyl may be substituted by hydroxy group or C1-C4 alkoxy group such as N-cyclopropyl-N-methylaminomethyl group, N-cyclohexyl-N-methylaminomethyl group; a C₁-C₅ monoalkylaminomethyl group such as methylaminomethyl group, ethylaminomethyl group, propylaminomethyl group, isoproylaminomethyl group, butylaminomethyl group, isobutylaminomethyl group, tert-butylaminomethyl group, pentylaminomethyl group, isopentylaminomethyl group; a C2-C10 dialkylaminomethyl group such as dimethylaminomethyl group, diethylaminomethyl group, ethylmethylaminomethyl group,

methylpropylaminomethyl group; pyrrolidinylmethyl group; piperidinylmethyl group; morpholinomethyl group; piperazinylmethyl group; pyrrolylmethyl group; imidazolylmethyl group; pyrazolylmethyl group; triazolylmethyl group; and a group of the formula -E-Rf wherein E represents O, S, SO, SO2, CO or N(R4) and Rf represents a C1-C5 alkyl group (same as the above), a C4-C7 cycloalkyl group (same as the above), a C4-C7 cycloalkylalkl group (same as the above), a C1-C5 hydroxyalkyl group (same as the above), a benzene ring which may be substituted, a naphthalene ring which may be substituted, an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom and nitrogen atom, and having 5 to 10 ring-constituting atoms in total (same as the above), an N-C₃-C₆ cycloalkyl-N-C₁-C₄ alkylaminoalkyl group (same as the above), a C_1 - C_5 monoalkylaminoalkyl group (same as the above), C2-C10 dialkylaminoalkyl group (same as the above), pyrrolidinylmethyl group, piperidinylmethyl group, morpholinomethyl group, piperazinylmethyl group, pyrrolylmethyl group, imidazolylmethyl group, pyrazolylmethyl group or triazolylmethyl group,

C1-C8 alkylcarbonyl group which may be substituted,
C3-C8 cycloalkylcarbonyl group which may be substituted,
aralkycarbonyl group which may be substituted,
C6-C10 arylcarbonyl group which may be substituted,
C1-C8 alkysulfonyl group which may be substituted,
C3-C8 cycloalkylsulfonyl group which may be substituted,
aralkysulfonyl group which may be substituted,
C6-C10 arylsulfonyl group which may be substituted,
C1-C8 alkyloxycarbonyl group which may be substituted,
C1-C8 alkyloxycarbonyl group which may be substituted,
C3-C8 cycloalkyloxycarbonyl group which may be substituted,
aralkyoxycarbonyl group which may be substituted,
C6-C10 aryloxycarbonyl group which may be substituted,

aminocarbonyl,

N-C1-C8 alkylaminocarbonyl group which may be substituted,

N, N'-C1-C8 dialkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C6-C10 arylaminocarbonyl group which may be substituted,

C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N,N'-C3-C8 dicycloalkylaminoycarbonyl group which may be substituted,

N-C3-C8 cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C₃-C₈ cycloalkyl-N'-C₆-C₁₀ arylaminocarbonyl group which may be substituted, aralkylaminocarbonyl group which may be substituted,

N,N'-diaralkylaminocarbonyl group which may be substituted,

N-aralkyl- N'-C6-C10 arylaminocarbonyl group which may be substituted,

C6-C10 arylaminocarbonyl group which may be substituted,

N,N'-C6-C10 diarylaminocarbonyl group which may be substituted,

and R⁴ represents a hydrogen atom, a C₁-C₄ alkyl group which may be substituted, an aralkyl group which may be substituted, C₃-C₈ cycloalkyl group which may be substituted or an aryl group which may be substituted,

C1-C8 alkylcarbonyl group which may be substituted,

C3-C8 cycloalkylcarbonyl group which may be substituted,

aralkycarbonyl group which may be substituted,

C6-C10 arylcarbonyl group which may be substituted,

C1-C8 alkysulfonyl group which may be substituted,

 C_3 - C_8 cycloalkylsulfonyl group which may be substituted,

aralkysulfonyl group which may be substituted.

C₆-C₁₀ arylsulfonyl group which may be substituted,

C1-C8 alkyloxycarbonyl group which may be substituted,

C₃-C₈ cycloalkyloxycarbonyl group which may be substituted.

aralkyoxycarbonyl group which may be substituted,

C6-C10 aryloxycarbonyl group which may be substituted,

aminocarbonyl,

N-C1-C8 alkylaminocarbonyl group which may be substituted,

N, N'-C1-C8 dialkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C6-C10 arylaminocarbonyl group which may be substituted,

C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N,N'-C3-C8 dicycloalkylaminoycarbonyl group which may be substituted,

N-C3-C8 cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C₃-C₈ cycloalkyl-N'-C₆-C₁₀ arylaminocarbonyl group which may be substituted, aralkylaminocarbonyl group which may be substituted,

N,N'-diaralkylaminocarbonyl group which may be substituted,

N-aralkyl- N'-C6-C10 arylaminocarbonyl group which may be substituted,

C₆-C₁₀ arylaminocarbonyl group which may be substituted,

N,N'-C6-C10 diarylaminocarbonyl group which may be substituted,

or an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total.

When the C₆-C₁₀ aryl ring represented by Y¹ has one or more substituents, the ring may be substituted by one or more substituents selected from the groups consisting of halogen atoms, a C₁-C₅ alkyl group, a C₃-C₆ cycloalkyl group, a C₃-C₆ cycloalkyloxy group, a C₁-C₅ alkoxy group, a C₄-C₇ cycloalkylalkoxy, a C₁-C₅ alkylthio group, a C₁-C₅ alkylsulfonyl group, a C₁-C₅ halogenated alkyl, and a benzene ring.

When the ring represented by X, X^1 or Y^1 has one or more substituents, the substituent may further have one or more substituents selected from the group

consisting of a C₁-C₅ alkyl group such as methyl group, ethyl group, propyl group, isopropyl group, butyl group, isobutyl group, sec-butyl group, tert-butyl group, pentyl group, isopentyl group, neopentyl group, 1,1-dimethylpropyl group; C₃-C₆ cycloalkyl group such as cyclopropyl group, cyclobutyl group, cyclopentyl group, cyclohexyl group; a C3-C6 cycloalkyloxy group such as cyclopropyloxy group, cyclobutyloxy group, cyclopentyloxy group, cyclohexyloxy group; C1-C4 hydroxyalkyl group such as hydroxymethyl group, hydroxyethyl group, hydroxypropyl group, hydroxybutyl group; a C1-C5 alkoxy group such as methoxy group, ethoxy group, propoxy group, isopropoxy group, butoxy group, isobutoxy group, tert-butoxy group, pentyloxy group, and isopentyloxy group; a C4-C7 cycloalkylalkoxy group such as cyclopropylmethoxy group, cyclopentylmethoxy group; a C1-C5 alkylthio group such as methylthio group, ethylthio group, propylthio group, butylthio group, and pentylthio group; a C1-C5 alkylsulfonyl group such as methanesulfonyl group, ethanesulfonyl group, propanesulfonyl group, butanesulfonyl group, and pentanesulfonyl group; a halogen atom such as fluorine atom, chlorine atom, bromine atom, and iodine atom; a C1-C5 halogenated alkyl group such as trifluoromethyl group; a C1-C5 halogenated alkoxy group such as trifluoromethoxy group, 2,2,2-trifluoroethoxy group; hydroxyl group; cyano group; nitro group; formyl group; a C2-C6 alkylcarbonyl group such as acetyl group, propionyl group, butyryl group, and valeryl group; amino group; a C_1 - C_5 monoalkylamino group such as methylamino group, ethylamino group, propylamino group, isopropylamino group, butylamino group, isobutylamino group, tert-butylamino group, pentylamino group, and isopentylamino group; a C2-C10 dialkylamino group such as dimethylamino group, ethylmethylamino group, diethylamino group, methylpropylamino group, and diisopropylamino group; a cyclic amino group such as pyrrolidinyl group, piperidino group, morpholino group; a C2-C10 monoalkylaminomethyl group such as methylaminomethyl group, ethylaminomethyl group, propylaminomethyl group, isoproylaminomethyl group, butylaminomethyl group, isobutylaminomethyl group,

tert-butylaminomethyl group, pentylaminomethyl group, isopentylaminomethyl; a C_3 - C_{11} dialkylaminomethyl group such as dimethylaminomethyl group, diethylaminomethyl group, ethylmethylaminomethyl group, methylpropylaminomethyl group; a phenyl group; an aralkyloxy group such as benzyloxy, 2-phenylethyloxy, 3-phenylpropyloxy; an aralkyloxycarbonyl group such as benzyloxycarbonyl, 2-phenylehoxycarbonyl; an C2-C4 alkanoyloxy-C1-C4 alkyl group such as acetyloxymethyl, 2-acetyloxyethyl, 2-propionyloxyethyl; an alkanoylamino group such as acetylamino, propionylamino, butyrylamino; N-C1-C4 alkyl-N-alkanoylamino group such as N-methyl-N-acetylamino, N-ethyl-N-acetylamino, N-methyl-N-propionylamino, N-methyl-N-butyrylamino; a heterocyclic ring amino group such as pyridylamino, pyrimidinylamino, thienylamino, furylamino; N-C1-C4 alkyl-N-heterocyclic ring amino group such as N-methyl-N-pyridylamino, N-methyl-N-pyrimidinylamino, N-methyl-N-thienylamino, N-methyl-N-furylamino; a diheterocyclic ring amino group such as dipyridylamino, dipyrimidinylamino, dithienylamino, difurylamino, and the like.

R may preferably be a C_1 - C_3 alkyl group, more preferably a methyl group or an ethyl group. The substituent of the alkyl group may preferably be a C_3 - C_8 alkyl group.

X may preferably be a benzene ring which may be substituted, a benzyl group which may be substituted, a naphthyl group which may be substituted, a benzofuran ring which may be substituted, a dihydrobenzofuran ring which may be substituted, a benzisoxazole ring which may be substituted, a benzisoxazole ring which may be substituted, a benzisothiazole ring which may be substituted, a benzisothiazole ring which may be substituted, a benzisothiazole ring which may be substituted, and a benzopyrazole ring which may be substituted; more preferably a benzene ring which may be substituted, a benzyl group which may be substituted. Substituent of X may preferably be selected from the group consisting of a halogen

atom, a C₁-C₄ alkyl group, a C₁-C₄ alkoxy group, a hydroxy group, a nitro group, a cyano group, a perhalogenated C₁-C₄ alkyl group, a carboxyl group, a C₁-C₄ alkoxycarbonyl group, a C₁-C₄ alkylthio group, a C₁-C₄ alkoxysulfonyl group, amino group which may be substituted by a C₁-C₄ alkyl group, a benzene ring which may be substituted, and a cyclic amino group which may be substituted.

The compounds represented by the aforementioned formula (I) may form a salt. Examples of the salt include, when an acidic group exists, salts of alkali metals and alkaline earth metals such as lithium, sodium, potassium, magnesium, and calcium; salts of ammonia and amines such as methylamine, dimethylamine, trimethylamine, dicyclohexylamine, tris(hydroxymethyl)aminomethane, N,N-bis(hydroxyethyl)piperazine, 2-amino-2-methyl-1-propanol, ethanolamine, N-methylglucamine, and L-glucamine; or salts with basic amino acids such as lysine, δ -hydroxylysine, and arginine. When a basic group exists, examples include salts with mineral acids such as hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid, phosphoric acid; salts with organic acids such as methanesulfonic acid, benzenesulfonic acid, p-toluenesulfonic acid, acetic acid, propionic acid, tartaric acid, fumaric acid, maleic acid, malic acid, oxalic acid, succinic acid, citric acid, benzoic acid, mandelic acid, cinnamic acid, lactic acid, glycolic acid, glucuronic acid, ascorbic acid, nicotinic acid, and salicylic acid; or salts with acidic amino acids such as aspartic acid, and glutamic acid.

In addition to the 3-substituted-4-pyrimidone derivatives represented by the aforementioned formula (I) and salts thereof, their solvates and hydrates also fall within the scope of the present invention. The 3-substituted-4-pyrimidone derivatives represented by the aforementioned formula (I) may have one or more asymmetric carbon atoms. As for the stereochemistry of such asymmetric carbon atoms, they may independently be in either (R) and (S) configuration, and the pyrimidone derivative may exist as stereoisomers such as optical isomers, or diastereoisomers. Any stereoisomers in a pure form, any mixtures of stereoisomers,

racemates and the like fall within the scope of the present invention.

Preferred compounds of the present invention are represented by formula (II):

$$(X)_{p} \qquad (\Pi)$$

$$(X)_{q} \qquad (Y)_{r}$$

wherein Q, R, X, Y are the same as those defined above; p is 0 or 1; q is 0 or 1; r is an integer of 0 to 6; p+q is 1 or 2;

and Z represents N or CZ1 wherein Z1 represents hydrogen atom or Y.

Examples of more preferred classes of compounds represented by formula (II) include:

- (1) those wherein R represents a C₁-C₃ alkyl group which may be substituted by a C₃-C₈ cycloalkyl group;
- (2) the compounds of the above (1) wherein R is methyl group or ethyl group; Y is in 3-, 4- or 5-position of the piperazine ring or the piperidine ring; p+q is 1; and r is an integer of 0 to 3;
- (3) the compounds of the above (2) wherein X is a C₁-C₈ alkyl group which may be substituted or a C₆-C₁₀ aryl ring which may be substituted; Y is a C₁-C₆ alkyl group which may be substituted; p is 1; q is 0; r is an integer of 0 to 3; and Z is N or CH; (4) the compounds of the above (3) wherein X is a benzene ring which may be substituted, a benzyl group which may be substituted; Y is a methyl group which may be substituted; Z is N and r is 0 or 1;
- (5) the compounds of the above (2) wherein X is a benzene ring which may be substituted, a benzyl group which may be substituted, a benzoyl group which may be substituted, or a benzisothiazol ring which may be substituted; Y is a methyl

group which may be substituted; Z is N and p is 0;

- (6) the compounds of the above (2) wherein X is a C₁-C₈ alkyl group substituted by a benzene ring which may be substituted or a benzene ring which may be substituted; Y is a hydroxy group, a cyano group, or Y¹-CO- wherein Y¹ is a C₁-C₈ alkyl group; Z is CH or C-Y and r is 0 or 1; and
- (7) the compounds of the above (6) wherein X is a benzyl group which may be substituted or a benzene ring which may be substituted; Y is a hydroxy group, a cyano group, or an acetyl group; Z is CH or C-Y and r is 0 or 1.

Examples of particularly preferred classes of compounds represented by formula (II) include:

- (1) those wherein R is methyl group, Y is CH₃O-CO- group or CH₃CH₂O-CO- group,
- Z is N, p is 0, q is 1, r is 0 or 1 and Y is in 3-position of the piperazine ring;
- (2) those wherein R is methyl group, Y is methyl group, benzyl group or acetyl group,
- Z is N, p is 1, q is 0, r is 0 or 1 and Y is in 4-position of the piperazine ring;
- (3) those wherein R is methyl group, Y is methyl group, Z is N, p is 1, q is 0, r is 1 to 3 and Y is in 3-, 4-, or 5-position of the piperazine ring;
- (4) those wherein R is methyl group, Y is hydroxyl group or cyano group, Z is CH, p is 1, q is 0, r is 0 or 1 and X and Y are attached on the same carbon atom;
- (5) those wherein R is methyl group, Y is hydroxyl group, cyano group or acetyl group, Z is C-Y, p is 0, q is 1 and r is 1.

Examples of preferred compounds of the present invention are shown in the tables below. However, the scope of the present invention is not limited to the following compounds.

Table-1						
		R ³ R ² N				
		R ⁴ N N N O				
No.	R1	R2	R3	R4	R5	R6
XA1 XA2	CH3-	H H	H	CH3- CH3CH2-	Н	Н
XA3	СН3-	н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	H
XA4	снз-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н
XA5	СН3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н
XA6	снз~	н	н	人人	н	н
XA7	СН3-	н	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	н
8AX	CH3-	Н	Н	<u> </u>	н	Н
XA9	CH3-	н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н
XA10	СН3-	Н	н	Y>	Н	н
XA11	CH3-	Н	н	X.	н	н
XA12	СН3-	Н	н		Н	Н
XA13	CH3-	Н	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н
XA14	СН3-	Н	Н	<u></u>	н	н
XA15	СН3-	Н	Н		Н	н
XA16	CH3-	H	Н	Y	н	н
XA17	CH3-	H	Н	n-C8H17-	н	Н
XA18	CH3-	Н	Н	<u></u>	н	Н
XA19	СН3-	Н	Н		Н	н
XA20	СН3-	н	н		Н	н
XA21	снз-	н	Н		н	н
XA22	СН3-	н	н	\triangleright	н	н
XA23	СН3-	н	н	\Diamond -1	н	н
XA24	СН3-	н	н	\bigcirc	н	н
XA25	снз-	н	Н		н	н

No. R1 R2 R3 R4 R5 XA26 CH3- H H H H XA27 CH3- H H H H XA28 CH3- H H H H XA29 CH3- H H F H H XA30 CH3- H H F H	н н н н
XA27 CH3- H<	н н н
XA27 CH3- H<	н н н
XA29 CH3- H<	н н
XA30 CH3- H H F H H XA31 CH3- H H CI H H XA32 CH3- H H CH H	н
XA31 CH3- H H CI H XA32 CH3- H H CH- H XA33 CH3- H H CH- H XA34 CH3- H H Br → H XA35 CH3- H H Br → H XA36 CH3- H H H H XA37 CH3- H H H H XA38 CH3- H H H H XA39 CH3- H H H H	Н
XA31 CH3- H<	
XA32 CH3- H<	н
XA33 CH3- H H Br H XA35 CH3- H H Br H XA36 CH3- H H Br H XA36 CH3- H H H H XA37 CH3- H H H H H XA38 CH3- H H H H H H XA39 CH3- H<	
XA34 CH3- H<	н
XA35 CH3- H<	Н
XA37 CH3- H H H H H H H H	н
XA38 CH3- H H H H	н
XA39 CH3- H H	Н
	н
	Н
XA40 CH3− H H СН3 H	Н
XA41 CH3- H H H3C H	н
ха42 CH3− H H H₃C-⟨ Н	н
ха43 CH3- Н Н С ₂ H ₅ { Н	н
ха44 CH3- H H n-C ₃ H ₇ -С H	н
ха45 CH3- H H n-C ₄ H ₉ -С	Н
XA46 CH3- H H OH H	Н
XA47 CH3- H H HO	

No.	R1	R2	R3	R4	R5	R6
110.	 	1,5				1.0
XA48	CH3-	Н	Н	HO-{_}-}	Н	Н
XA49	СН3-	Н	н	OCH₃	н	н
XA50	СН3	н	н	H ₃ CO	H	н
XA51	СН3-	н	н	H ₃ CO-{}-{	н	н
XA52	снз-	Н	н	C ₂ H ₅ O-{{}	Н	н
XA53	СН3	Н	н	n-C ₃ H ₇ O-{}-{	н	н
XA54	СН3~	н	н	n-C ₄ H ₉ O-	н	н
XA55	снз-	Н	н	NO ₂	Н	н
XA56	снз-	Н	н	O ₂ N	н	н
XA57	СН3-	н	н	O ₂ N-{{}	н	н
XA58	СН3-	Н	н	CN →	Н	Н
XA59	СН3-	Н	Н	NC -	н	н
XA60	СН3-	н	Н	NC-{}-{	H	Н
XA61	снз-	н	н	CF ₃	Н	н
XA62	снз-	Н	н	F ₃ C	н	н
XA63	СН3-	H	н	F ₃ C-{_}-{	н	Н
XA64	снз-	Н	н	HOOC COOH	Н	н
XA65	снз-	Н	н	HOOC	Н	н
XA66	снз-	Н	н	ноос-{_}-	Н	н
XA67	СН3-	Н	н	CO ₂ Me	Н	н
XA68	снз-	Н	Н	MeO₂C 	Н	н
XA69	СН3-	н	Н	MeO ₂ C-{	Н	н

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No.	R1	R2	R3	R4	R5	R6
XA70	CH3-	Н	н	CO₂Et	н	н
XA71	СН3-	н	н	EtO ₂ C	Н	Н
XA72	снз-	Н	н	EtO ₂ C-_\	н	н
XA73	снз-	н	н	SMe	Н	н
XA74	CH3-	н	н	MeS	н	н
XA75	снз-	н	н	MeS-{}-{	н.	Н
XA76	СН3-	н	н	SO ₂ Me	Н	н
XA77	снз-	н	н	MeO ₂ S	н	Н
XA78	снз-	Н	н	MeO ₂ S-{_}-{	Н	н
XA79	снз-	Н	н	NH ₂	н	н
XA80	снз-	н	н	H ₂ N	н	н
XA81	снз–	н	н	H_2N	н	н
XA82	снз-	н	н	NMe ₂	н	н
XA83	снз-	н	н	Me₂N —}	Н	н
XA84	снз-	н	н	Me ₂ N-	н	н
XA85	снз-	Н	н		Н	Н
XA86	снз-	Н	н	CC,	н	Н
XA87	снз-	н	н	N t	н	н
88AX	снз-	Н	н	HN J,	Н	н
XA89	снз-	н	н	Q1	Н	н
XA90	снз-	н	н	67	Н	н
XA91	снз-	н	н	(s)	Н	н

No.	R1	R2	R3	R4	R5	R6
	1				1	
XA92	CH3-	Н	Н	S ,	Н	Н
XA93	снз-	Н	н	HNN	н	н
XA94	СН3-	н	н	HN	н	н
XA95	снз-	н	н	HN /	н	н
XA96	снз-	H 	н	ON Y	н	н
XA97	снз-	H	н	1	Н	н
XA98	СН3-	Н	н	N= O,	Н	н
XA99	СН3-	н	н	N-O	н	н
XA100	СН3-	Н	н	S _N	н	н
XA101	СН3-	н	Н	N= S	Н	н
XA102	снз-	Н	н	N-S	н	н
XA103	СН3-	Н	н	ζ=N O, Δ, γ	н	н
XA104	СН3-	Н	н	CN,	Н	н
XA105	СН3-	Н	н	N. J.	Н	н
XA106	СН3-	Н	н	S./	н	н
XA107	снз-	Н	н	S. Y	н	Н
XA108	СН3-	Н	н .	N ,	Н	н
XA109	СН3-	н	Н	€N-1	н	н
XA110	СН3-	Н	н	N →	н	н
XA111	СН3-	Н	н	N	Н	н
XA112	СН3-	Н	Н	N ₹	н	н
XA113	СН3-	н	н	N_N_{	Н	Н

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No.	R1	R2	R3	R4	R5	R6
XA114	СН3-	Н	н .	N=}-{	Н	Н
XA115	снз-	н	н	Ŭ ŗ	н	н
XA116	СН3-	Н	н		Н	н
XA117	СН3-	Н	Н	T H	Н	н
XA118	снз-	Н	Н		Н	н
XA119	СН3	н	н	,CTP	Н	н
XA120	снз-	н	н	Ţ,	Н	Н
XA121	СН3-	Н	н	(T)-1	н	н
XA122	CH3-	Н	н		н	н
XA123	СН3-	н	н		Н	н
XA124	СН3-	н	н	T)	Н	н
XA125	снз-	Н	н	,CT	Н	Н
XA126	СН3-	н	н	<u>Ç</u> 3	н	н
XA127	СН3-	н	н	(T)>1	Н	н
XA128	СН3-	Н	н		н	н
XA129	СН3-	H	н	Ū;	Н	н
XA130	СН3-	Н	Н	(C)	Н	н
XA131	СН3-	н	н	,CT\$	Н	н
XA132	СН3-	Н	н	Çîs	н	н
XA133	СН3-	н	н	Q'r	н	Н
XA134	СН3-	Н	н	J'N	н	н
XA135	СН3-	н	н		Н	н

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No.	R1	R2	R3	R4	R5	R6
XA136	СН3-	Н	н	,Ch	Н	Н
XA137	снз-	н	н	ĈŢ,	Н	н
XA138	СН3-	Н	н	© k k k k k k k k k k k k k k k k k k k	н	н
XA139	CH3-	Н	н	Č,	н	н
XA140	снз-	н	н	T N	Н	н
XA141	СН3-	Н	Н		н	Н
XA142	СН3-	Н	Н	Ĭ, N,	н	н
XA143	СН3-	Н	Н	'CI'	Н	Н
XA144	СН3-	Н	н	, (I)	н	н
XA145	СН3-	Н	н	Ţ,	н	н
XA146	СН3-	н	н	O's'	Н	Н
XA147	СН3-	Н	Н	Ţ _N	н	Н
XA148	снз-	н	Н	TT,	н	Н
XA149	СН3-	н	н	, IS	н	Н
XA150	СН3-	Н	н	Ž, s	Н	Н
XA151	СН3-	Н	н		н	Н
XA152	CH3-	Н	н	j.	н	н
XA153	СН3-	Н	н -	"CT"	Н	Н
XA154	СН3-	Н	н	,CTo	Н	Н
XA155	снз-	Н	н	Ĉ.	Н	Н
XA156	снз-	н	н	Q.	н	Н
XA157	CH3-	Н	Н	Ĩ,	н	н

No.	R1	R2	R3	R4	R5	R6
XA158	снз-	Н	Н	~ CI's	Н	н
XA159	СН3-	Н	н	,CTsN	Н	н
XA160	СН3-	н	н	Ž.	н	н
XA161	СН3-	Н	н		Н	н
XA162	СН3-	Н	н	F O	н	н
XA163	снз-	Н	Н	F C	н	Н
XA164	СН3-	Н	н	الم الم	н	н
XA165	СН3	Н	Н	G O	Н	Н
XA166	CH3-	н	н	CI July	Н	н
XA167	CH3-	Н	н		н	Н
XA168	СН3-	Н	н	Br O	Н	Н
XA169	СН3-	Н	Н	Br	Н	н
XA170	CH3-	Н	н		Н	Н
XA171	CH3-	Н	н	CHO CHO	Н	Н
XA172	СН3-	Н	н	H ₃ C	Н	н
XA173	СН3-	Н	н		Н	н
XA174	снз-	Н	н	CH3O O	Н	н
XA175	СН3-	Н	н	H₃CO.	Н	н
XA176	СН3-	Н	Н		Н	н
XA177	СН3-	Н	н	1000	н	н
XA178	снз-	Н	Н	O ₂ N	н	Н
XA179	СН3-	н	н	ر ال	н	н

No.	R1	R2	R3	R4 GH O	R5	R6
XA180	СН3-	н	н	OH O	н	н
XA181	снз-	н	н	но	Н	Н
XA182	СН3-	н	н	LIO DE LA CALLACTE	Н	Н
XA183	СН3-	н	н	NHO.	н	н
XA184	СН3-	н	н	H ₂ N	н	Н
XA185	СН3-	н -	н	N N N	н	Н
XA186	снз-	н	н	CN O	н	Н
XA187	СН3-	Н	н	NC J.	Н	Н
XA188	СН3-	н	н	NC J.	Н	н
XA189	CH3-	н	Н	Qi,	н	Н
XA190	СН3-	Н	Н	OJ.	Н	Н
XA191	СН3	Н	н	O,	н	Н
XA192	СН3-	н	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н
XA193	СН3-	н	н	~~~	н	Н
XA194	СН3-	н	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н
XA195	CH3-	н	н	مر نک _ی ہ	н	Н
XA196	СН3-	н	н	~~~~	н	Н
XA197	СН3-	н	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н.	Н
XA198	СН3-	н	н	~~~~~	н	Н
XA199	СН3-	н	Н	~~~°	Н	н
XA200	снз-	н	н	~~~~~,	Н	н
XA201	СН3-	н	Н	V v	Н	Н

general control of the first section and the section of the sectio

No.	R1	R2	R3	R4	R5	R6
XA202	СН3-	Н	н		н	Н
XA203	СН3-	Н	Н	() ⁱ ,	Н	Н
XA204	СН3-	Н	Н	, , , , , , , , , , , , , , , , , , ,	н	Н
XA205	СН3-	О Н ₃ СО У	Н	Н	н	Н
XA206	СН3-	O H₃CO ≻	н	СН3-	н	Н
XA207	CH3-	O H₃CO ≻	н.	снзсн2-	н	н
XA208	снз-	O H₃CO √	н	^ ∖`	Н	н
XA209	СН3-	O H₃CO ≻	н	7	н	н
XA210	СН3-	O H₃CO ≻	н	\\\\	Н	н
XA211	снз-	O H₃CO ,	н	L.	н	н
XA212	СН3-	O H₃CO ≻	н	~~`	н	н
XA213	СН3-	O H₃CO ≻	н	个	н	Н
XA214	СН3-	H³CO, >	н	^^\	н	н
XA215	снз-	H³CO, ≻	Н	\ \\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	н
XA216	СН3-	H³CO_^\	н	人人	Н	н
XA217	СН3-	H³CO_>	н	7	н	Н
XA218	снз-	O H₃CO ≻	н	\\\\	н	Н
XA219	СН3-	O H₃CO ≻	Н		Н	Н
XA220	СН3-	O H₃CO ≻	Н	^^^\\	н	н
XA221	СН3-	O H₃CO ≻	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	н
XA222	СН3-	O H₃CO ≻	н	n-C8H17-	Н	н
XA223	СН3-	O H₃CO ≻	н		Н	н

No.	R1	R2	R3	R4	R5	R6
XA224	СН3-	O H ₃ CO >	Н	Q	н	Н
XA225	снз-	O H₃CO →	н		н	н
XA226	снз-	H ₃ CO >	н		н	Н
XA227	СН3-	0 H₃CO >	н	D }	н	н
XA228	снз-	H₃CO →	н	\Diamond -1	н	Н
XA229	СН3-	H ₃ CO >	н	$\bigcirc \dashv$	н	Н
XA230	СН3-	H³CO, ≻	н	\bigcirc	н	Н
XA231	СН3-	O H₃CO →	н	\bigcirc	н	Н
XA232	СН3-	H ₃ CO >	Н		н	Н
XA233	СН3-	O H₃CO ≻	Н	F	Н	Н
XA234	СН3-	H ₃ CO y	н	F{}	Н	н
XA235	СН3-	O H₃CO →	н	F-\	н	Н
XA236	СН3-	O H₃CO →	н	CI →	н	н
XA237	СН3-	O H₃CO ≻	Н	CI	Н	Н
XA238	СН3-	H³CO, ≻	н	C - -{_}-{	Н	н
XA239	СН3-	O H₃CO ≻	Н	Br →	н	н
XA240	СН3-	O H ₃ CO >	Н	Br.	н	Н
XA241	снз-	O H₃CO ≻	н	Br- ⟨ _}-{	Н	н
XA242	СН3-	O H₃CO ≻	н	CH ₃	Н	н
XA243	СН3-	H³CO, ≻	Н	H ₃ C 	Н	н
XA244	СН3-	O H₃CO >	н	H ₃ C-{}-{	н	н
XA245	СН3-	O H₃CO ≻	н	C ₂ H ₅ -{}-{	н	н

No.	R1	R2	R3	R4	R5	R6
XA246	снз-	O H₃CO ≻	н	n-C ₃ H ₇ {}-{	н	н
XA247	СН3-	H³CO ≻	н	n-C ₄ H ₉ -	н	н
XA248	СН3-	O H₃CO →	Н	OCH ₃	н	Н
XA249	снз-	O H³CO ≻	Н	H ₃ CO	н	н
XA250	СН3-	O H₃CO →	н	H ₃ CO-{}-{	н	Н
XA251	снз-	H³CO_}≻	н	C ₂ H ₅ O-{	н	н
XA252	СН3	H³CO_≻	н	n-C ₃ H ₇ O-{}-{	н	н
XA253	снз-	H³CO, ≻	Н	n-C ₄ H ₉ O-	н	Н
XA254	СН3-	H³CO_^ ≻	н	NO ₂	Н	Н
XA255	СН3-	H₃CO ≻	н	O ₂ N	Н	н
XA256	снз-	H ₃ CO >	н	O ₂ N-{	Н	н
XA257	СН3-	H³CO, ≻	н	CN	Н	Н
XA258	СН3-	H³CO_}^ O	н	NC	Н	н
XA259	СН3-	O H₃CO >>	Н	NC-{}-{	Н	н
XA260	СН3-	O H₃CO ≻	Н	NMe ₂	Н	н
XA261	CH3-	H³CO_≻ O	Н	Me ₂ N	н	н
XA262	CH3-	O H₃CO →	Н	Me ₂ N-(н	н
XA263	СН3-	O H³CO,^≻	Н		Н	н
XA264	снз-	O H₃CO ≻	н	CCC'	н	н
XA265	СН3-	O H₃CO ✓	н		н	н
XA266	СН3-	H³CO,≻	н	Qi,	н	н
XA267	СН3-	H³CO_≻	н	OD ⁱ ,	Н	н

No.	R1	R2	R3	R4	R5	R6
XA268	СН3-	O H₃CO ≻	Н	<u>,</u>	н	н
XA269	СН3-	O H₃CO ≻	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
XA270	СН3-	O C ₂ H ₅ O //	н	Н	н	Н
XA271	снз-	C ₂ H ₅ O }	н	СН3-	н	н
XA272	СН3-	C ₂ H ₅ O 7	н	снзсн2-	Н	н
XA273	СН3-	C ₂ H ₅ O >	Н	\sim	н	н
XA274	СН3-	O C₂H₅O →	н	Y	Н	н
XA275	CH3-	O C ₂ H ₅ O 7	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
XA276	СН3-	C ₂ H ₅ O >	н	人、	н	н
XA277	снз-	O C₂H₅O →	н	~~	н	н
XA278	СН3-	C ₂ H ₅ O →	н	丫	н	н
XA279	CH3-	O C₂H₅O →	н	~ ~~``\	н	н
XA280	СН3-	C₂H₅O →	н	\	н	н
XA281	CH3-	O C₂H₅O →	Н	人、	Н	н
XA282	CH3-	O C₂H₅O →	н	7	Н	Н
XA283	CH3-	C₂H₅O →	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н
XA284	снз-	C ₂ H ₅ O · · ·	н		Н	н
XA285	СН3-	O C₂H₅O ,	Н	^^^\	Н	Н
XA286	СН3-	C ₂ H ₅ O y	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н
XA287	снз-	C ₂ H ₅ O >	Н	n-C8H17-	Н	Н
XA288	СН3-	C ₂ H ₅ O /	н	L	н	Н
XA289	СН3-	C ₂ H ₅ O y	н	Q	н	Н

No.	R1	R2	R3	R4	R5	R6
XA290	снз-	C ₂ H ₅ O ^H >	н		Н	н
XA291	СН3-	O C₂H₅O →	н		н	Н
XA292	СН3-	O C₂H₅O →	н	$\triangleright \rightarrow$	н	н
XA293	CH3-	O C₂H₅O →	н	\Diamond	Н	н
XA294	СН3-	C ₂ H ₅ O ^H >	н	$\bigcirc \dashv$	Н	Н
XA295	СН3-	O C₂H₅O →	н	\bigcirc - \downarrow	н	н
XA296	CH3-	C ₂ H ₅ O ^H >	н	\bigcirc \dashv	н	н
XA297	СН3-	O C₂H₅O →	Н	◯ -₁ .	н	н
XA298	СН3-	O C₂H₅O →	Н	F —	н	Н
XA299	СН3-	C ₂ H ₅ O y	н	F	н	Н
XA300	СН3-	C ₂ H ₅ O y	н	F-{}-{	н	Н
XA301	снз-	C ₂ H ₅ O	н	CI →	н	н
XA302	СН3-	O C ₂ H ₅ O ''	н	CI	н	Н
XA303	снз-	O C₂H₅O →	Н	CH{	Н	Н
XA304	CH3-	C ₂ H ₅ O y	н	Br	н	н
XA305	СН3-	O C₂H₅O ✓	н	Br.	н	н
XA306	CH3-	C ₂ H ₅ O	н	Br—{_}_{{}}	н	н
XA307	снз-	C ₂ H ₅ O /	н	CH₃ CH₃	Н	н
XA308	снз-	O C ₂ H ₅ O	н	H ₃ C	Н	н
XA309	СН3-	O C ₂ H ₅ O >	н	H ₃ C-{	н	н
XA310	снз-	O C ₂ H ₅ O →	н	C ₂ H ₅ —{}	н	н
XA311	СН3-	C ₂ H ₅ O ×	н	n-C ₃ H ₇ -{	н	н

No.	R1	R2	R3	R4	R5	R6
XA312	снз-	O C₂H₅O →	н	n-C₄H ₉ {}-{	н	н
XA313	СН3-	C ₂ H ₅ O ·	н	OCH ₃	н	н
XA314	CH3-	C ₂ H ₅ O →	н	H ₃ CO	н	н
XA315	снз-	O C ₂ H ₅ O ->-	н	H₃CO- ⟨ _}–{	Н	Н
XA316	CH3-	C₂H₅O →	н	C ₂ H ₅ O-{}{	Н	н
XA317	СН3-	C ₂ H ₅ O ·	н	n-C ₃ H ₇ O-	Н	н
XA318	СН3-	C ₂ H ₅ O y	н	n-C ₄ H ₉ O-	н	н
XA319	CH3-	C ₂ H ₅ O √	н	NO ₂	н	Н
XA320	СН3-	C ₂ H ₅ O →	Н	O ₂ N	Н	н
XA321	снз-	C ₂ H ₅ O >	Н	O ₂ N-{_}{	Н	Н
XA322	снз-	C ₂ H ₅ O	н	CN ◯→i	Н	Н
XA323	СН3-	C ₂ H ₅ O →	Н	NC	Н	Н
XA324	снз-	C ₂ H ₅ O ·	Н	NC-{}-{	Н	Н
XA325	СН3-	C ₂ H ₅ O ·	н	NMe ₂	н	н
XA326	снз-	O C ₂ H ₅ O 7	Н	Me ₂ N —→	Н	Н
XA327	СН3-	O C ₂ H ₅ O 7	Н	Me ₂ N-(н	Н
XA328	снз-	C ₂ H ₅ O >	н		н	Н
XA329	снз-	O C₂H₅O →	н		Н	н .
XA330	СН3-	O C₂H₅O '>'	н	O ⁱ ,	Н	Н
XA331	снз-	C ₂ H ₅ O	н	Qi,	н	н
XA332	СН3-	O C₂H₅O ≻	Н		Н	Н
XA333	СН3-	C ₂ H ₅ O y	н	2,	н	Н

No.	R1	R2	R3	R4	R5	R6
XA334	снз-	O C₂H₅O ≻	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н
XA335	снз-	СН3-	н	н	н	н
XA336	сн3-	СН3СН2-	Н	H	Н	н
XA337	СН3-	^ \	н	Н	Н	Н
XA338	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н	Н	н
XA339	снз-	\\\ \\	Н	н	н	н
XA340	СН3-	人、	н	Н	н	Н
XA341	снз-		Н	н	Н	н
XA342	снз-	*	Н	Н	н	н
XA343	СН3	^ ^\	Н	н	Н	н
XA344	снз-	\ \	Н	н	Н	Н
XA345	снз-	<u>ل</u> ا	Н	н	н	н
XA346	снз-	$\stackrel{\sim}{\sim}$	н	н	н	н
XA347	снз-	\\\\	Н	н	Н	н
XA348	СН3-		H	н	н	н
XA349	СН3-	^ ~~~\	Н	Н	н	Н
XA350	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н	н	н
XA351	СН3-	n-C8H17-	н	Н	Н	н
XA352	СН3-		Н	н	Н	н
XA353	снз–		н	Н	Н	н
XA354	СН3-		н	Н	Н	н
XA355	СН3-		Н	Н	н	Н

No.	R1	R2	R3	R4	R5	R6
XA356	СН3-	D-4	н	Н	Н	н
XA357	снз-	\Diamond -1	Н	н	н	н
XA358	СН3-	\bigcirc	н	Н	Н	н
XA359	снз-	\bigcirc \dashv	н	н	Н	Н
XA360	CH3-	\bigcirc \dashv	н	Н	Н	Н
XA361	снз–		Н	н	H	Н
XA362	снз-		н	н	н	н
XA363	снз-	⊘ ™{	Н	Н	н	Н
XA364	СН3-	F	н	н	Н	н
XA365	снз-	F	Н	Н	Н	н
XA366	снз-	F-(-)(н	н	н	н
XA367	СН3-	F-(>-1	Н	н	н	н
XA368	снз-	F——>ı{	н	н	н	Н
XA369	СН3	CI	Н	н	Н	н
XA370	снз-	CI 	н	н	н	н
XA371	снз-	c⊢ ()–{	н	н	Н	Н
XA372	СН3-	c⊢(<u></u> }-{	н	н	н	н
XA373	СН3-	CI()····(н	н	Н	н
XA374	СН3-	Br	Н	Н	н	н
XA375	CH3-	Br.	н	Н	Н	н
XA376	CH3-	Br- (){	Н	Н	н	н
XA377	снз-	Br- _ {	н	н	н	н
		·		·	·	

No.	R1	R2	R3	R4	R5	R6
XA378	снз-	Br—{	н	Н	н	Н
XA379	СН3-		н	Н	н	н
XA380	CH3-	<u> </u>	н	н	Н	н
XA381	снз-	 -{}- {	Н	н	н	Н
XA382	CH3-	CH ₃	н	Н	н	н
XA383	снз-	H ₃ C	н	Н	н	н
XA384	снз-	H ₃ C-{}-{	Н	Н	Н	н
XA385	СН3-	C ₂ H ₅ —{}	Н	Н	н	н
XA386	снз-	n-C ₃ H ₇ {}{	н	Н	Н	Н
XA387	СН3-	n-C ₄ H ₉ {}{	н	н	н	н
XA388	СН3-	OH →	н	Н	н	н
XA389	снз-	HO	Н	Н	н	н
XA390	снз-	HO-{\bigcirc}{	н	Н	н	Н
XA391	снз-	OCH₃	н	Н	н	Н
XA392	снз-	H ₃ CO	н	н	Н	н
XA393	снз-	H ₃ CO-{}-{	н	Н	Н	Н
XA394	СН3-	H ₃ CO-{}	Н	Н	Н	н
XA395	снз-	H ₃ CO-{	н	Н	Н	н
XA396	снз-	OC ₂ H ₅	Н	н	н	н
XA397	снз-	C ₂ H ₅ O —}-{	Н	Н	н	н
XA398	снз-	C ₂ H ₅ O-{}-{	н	Н	Н	н
XA399	снз-	n-C ₃ H ₇ O-{}-{	н	Н	н	н

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No.	R1	R2	R3	R4	R5	R6
XA422	Сн3-	SO₂Me	н	н	н	н
XA423	СН3-	MeO ₂ S {}	н	Н	н	н
XA424	СН3-	MeO ₂ S-∕_}	н	н	Н	н
XA425	снз-	NH ₂	н	н	н	Н
XA426	СН3-	H₂N ——	Н	Н	н	н
XA427	снз-	H ₂ N-{}	н	н	н	н
XA428	СН3-	NMe ₂	H ·	Н	н	Н
XA429	СН3-	Me ₂ N	Н	н	Н	н
XA430	СН3-	Me ₂ N-\\	Н	н	н	Н
XA431	СН3-		Н	Н	н	Н
XA432	снз-		Н	Ĥ	Н	Н
XA433	СН3-	(n-<)-;	н	н	н	н
XA434	СН3-		Н	н	н	Н
XA435	снз-	Cn-	Н	н	Н	н
XA436	снз-	_v-<_>-;	Н	Н	Н	Н
XA437	снз–	○	н	Н	Н	H .
XA438	снз-	○~	Н	Н	Н	н
XA439	снз-	○ ^ →	н	Н	Н	н
XA440	СН3-	H ₃ CN N	Н	Н	Н	н
XA441	снз-	H³CN_N-⟨}	Н	Н	Н	Н
XA442	СН3-	H₃CN_N-{}-{	Н	н	н	н
XA443	СН3-	H₃C_CH₃	Н	Н	Н	н

No.	R1	R2	R3	R4	R5	R6
140.		CH ₃				
XA444	СН3-	н₃с-{_}/	н	Н	Н	Н
XA445	СН3-	H₃C	н	Н	Н	н
XA446	снз-	€ `	н	H	н	н
XA447	снз-	3° 🖤 '	Н	Н	н	н
XA448	снз-	н₃с Д—; н₃с	Н	Н	Н	н
XA449	снз–		Н	H	н	н
XA450	снз-	F-(5)	н	н	Н	н
XA451	СН3-		Н	H	Н	н
XA452	снз-	Ç `	Н	Н	Н	н
XA453	СН3-		Н	н	н	н
XA454	СН3-		н	Н	н	н
XA455	снз-	a_a	н	Н	н	н
XA456	снз-	ci—Ci	н	Н	Н	н
XA457	снз-		н	Н	н :	Н
XA458	снз-	© d	н	н	н	н
XA459	СН3-	CI CI—	н	н	Н	н
XA460	СН3-		Н	н	н	н
XA461	СН3-	H₃CO OCH₃	н	Н	н	н
XA462	СН3-	H ₃ CO-	н	Н	н	Н
XA463	СН3-	OCH ₃ → → H ₃ CO	н	Н	н	н
XA464	снз-	OCH ³	н	Н	н	н
XA465	СН3-	H₃CO————————————————————————————————————	Н	Н	Н	н

No.	R1	IR2	R3	R4	R5	R6
140.	-	R2 H₃co			110	1
XA466	СН3-	H3CO	н	Н	н	Н
XA467	СН3-	E_OCH ₃	н	н	н	н
XA468	снз-	, & ,	н	н	Н	н
XA469	снз-		н	Н	н	н
XA470	снз-	· — ·	Н	Н	н	н
XA471	снз-	F	Н	н	Н	н
XA472	СН3-)F	н	н	н	н
XA473	снз-	· 🖤 '	Н	н	н	н
XA474	снз-	H ₃ CO F	н	н	н	н
XA475	снз-	H₃CO_F →	Н	н	н	н
XA476	СН3-	H₃CO-{	н	Н	н	Н
XA477	СН3-	H³co ————————————————————————————————————	Н	Н	Н	Н
XA478	СН3-	352	Н	Н	н	н
XA479	снз-	_ '	Н	н	н	Н
XA480	СН3-	9. 🖤 ′	Н	Н	н	н
XA481	снз-	CH,	н	н	н	н
XA482	СН3-	(CI	Н	Н	н	Н
XA483	снз-	H₃CO C⊢√∑→-;	Н	н	н	Н
XA484	СН3-	a'	Н	Н	Н	н
XA485	СН3-	H₃CO_CI	Н	н	Н	н
XA486	снз-	H³CO-{	H	н	Н	н
XA487	СН3-	G: H₃CO H₃CO	Н	н	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA488	снз-	CI H₃CO-⟨¯¯}—{	н	н	Н	н
XA489	снз-	F_CH ₃	н	н	н	н
XA490	CH3-	CH ₃ F—√ .	н	н	н	н
XA491	СН3-	CH, F	н	н	н	Н
XA492	СН3-	CH,	н	Н	н	н
XA493	СН3-	H ₃ C F—{}—{	н	н	Н	н
XA494	снз-	H3C D F	н	н	н	Н
XA495	CH3-	H₃C_F ⟨	н	Н	н	Н
XA496	СН3-	H₃C-{	Н	Н	н	Н
XA497	СН3-	H3C	н	н	н	Н
XA498	СН3-	F H₃C-⟨¯_}}	Н	Н	Н	Н
XA499	снз-	Br, OCH₃	Н	Н	Н	н
XA500	снз-	OCH₃ Br—√	Н	н	Н	Н
XA501	СН3-	осн _з	н	Н	Н	Н
XA502	СН3	OCH ₃	Н	Н	Н	Н
XA503	СН3-	H₃CO Br—√—}	Н	н	Н	Н
XA504	СН3-	Br	Н	н	н	н
XA505	СН3-	H₃CO_Br	н	н	н	н
XA506	снз-	Br H₃CO-{}	н	н	н	Н
XA507	СН3-	H ₃ CO Br	Н	н .	н	Н
XA508	СН3-	Br, H₃CO-⟨¯_}{	н	н	Н	Н
XA509	СН3-	H ₃ CO >	Н	н	Н	н

 $(x,y,y,y,z,z) \in \mathcal{C}_{X}(x,y,z) \times \mathcal{C}_{X}(x,y$

and the control of the second of the second

No.	R1	TD2	R3	R4	R5	R6
140.	IKI	R2 H₃co	N3	17.4	No.	170
XA532	CH3-		Н	Н	Н	Н
XA533	СН3-	н₃со-⟨	н	Н	н	н
XA534	СН3-	₫-	н	Н	н	н
XA535	снз-	Ò- ⊘-₁	н	Н	Н	н
XA536	снз-	F-()-()-1	н	н	н	н
XA537	снз-	ďď	н	н	н	н
XA538	СН3-		Н	н	н	н
XA539	СН3		н	н	н	Н
XA540	СН3-	Ø-{\phi}	н	н	Н	Н
XA541	снз-	\$\doldsymbol{\phi}	Н	н	Н	Н
XA542	снз-		Н	Н	Н	Н
XA543	СН3-		Н	Н	Н	Н
XA544	снз-		н	н	Н	Н
XA545	снз-	LZ ,	н	н	Н	Н
XA546	СН3-	HN	н	Н	Н	н
XA547	СН3-	Q.	н	н	н	Н
XA548	СН3-	6.7.	н	н	Н	Н
XA549	CH3-	(s)	н	Н	н	н
XA550	CH3-	\$7,	н	Н	н	Н
XA551	CH3-	HNN	н	Н	Н	н
XA552	СН3-	HNZ,	н	Н	Н	Н
XA553	СН3-	HN /	н	Н	Н	н

No.	R1	R2	R3	R4	R5	R6
110.		/-N		1	· · · · · · · · · · · · · · · · · · ·	
XA554	CH3-	QN Y	н	Н	Н	H
XA555	снз-		Н	н	н	н
XA556	СН3-	'	н	н	н	н
XA557	СН3-	,	н	Н	Н	н
XA558	СН3-	S _N ,	н	Н	Н	Н
XA559	СН3-	,	Н	Н	н	н
XA560	СН3-	,	н	Н	н	н
XA561	снз-	/=N O_/	н	н	н	н
XA562	СН3-	0 ,	Н	Н	н	н
XA563	снз-	L ' '	н	н	н	н
XA564	СН3-	S S	н	Н	Н	н
XA565	СН3-	3 ,	Н	Н	н	н
XA566	СН3-	N ,	н	н	н	н
XA567	снз-	CN-↓	Н	н	н	н
XA568	СН3-	N →-	Н	н	н	н
XA569	Сн3-	N	Н	Н	н	н
XA570	СН3-	N-₹	Н	н	н	н
XA571	СН3-	N_N_{	н	Н	Н	н
XA572	СН3-	N=>-1	н	Н	н	н
XA573	СН3-	OT;	н	н	н	н
XA574	СН3-		н	Н	н	н
XA575	снз-		Н	H .	н	н

No.	R1 _	R2	R3	R4	R5	R6
XA576	снз-		н	н	н	Н
XA577	СН3-	,CT)	Н	Н	н	н
XA578	снз-	Ç,	н	н	н	н
XA579	СН3-	() \	н	н	н	н
XA580	снз-		Н	н	Н	н
XA581	СН3-	Ö	Н	н	н	Н
XA582	снз-	TOO:	Н	Н	н	н
XA583	СН3-	,CT	Н	н	н .	н
XA584	снз-	Ĉ.	Н	Н	н	Н
XA585	СН3-	(T)-1	Н	н	Н	н
XA586	снз-		Н	н	н	н
XA587	СН3-		н	Н	н	н
XA588	снз-	T)	Н	Н	Н	н
XA589	снз-	,CTS	н	H	н	Н
XA590	снз-	Ĉ.s	Н	Н	Н	Н
XA591	СН3-	O'r	н	Н	Н	Н
XA592	СН3-		н	Н	н	н
XA593	СН3-		н	Н	н	н
XA594	снз-		н	н	н	Н
XA595	СН3-	Ţ	н	н	Н	н
XA596	снз-	(C) ^x XI	Н	н	Н	н
XA597	снз-	Ž _N	Н	н	Н	н

No.	R1	R2	R3	R4	R5	R6
		1 N				
XA598	CH3-	U N	Н	Н	Н	Н
XA599	снз-	(T)-1	н	н	Н	н
XA600	снз-	ŽN N	н	Н	н	н
XA601	снз-	'CI'	н	н	н	н
XA602	СН3-	, CO	н	н	н	Н
XA603	СН3-	Ç,	н	Н	н	н
XA604	CH3-	O's	н	H	н	Н
XA605	снз-	Ž S	н	н	н	н
XA606	снз-	TO'S	н	н	Н	н
XA607	СН3-	, CI'S	н	Н	н	н
XA608	СН3-	ÇŢ\$	Н	Н	н	Н
XA609	снз-	CT,	н	Н	Н	н
XA610	СН3-	Ĉ.	н	Н	н	Н
XA611	снз-	TO TO	Н	Н	н	Н
XA612	снз-	(CC),	н	Н	н	Н
XA613	СН3-	ČĽ,	Н	Н	Н	н
XA614	снз-	OT'S	н	Н	Н	н
XA615	снз-	ĈŢ,	н	Н	н	н
XA616	СН3-	, CIŞ	н	Н	н	н
XA617	СН3-	'\C\s\n	н	н	н	н
XA618	СН3-	ČĽ,	Н	Н	Н	н
XA619	снз-	Õ.	н	Н	н	н

Linear of the second second

No.	R1	R2	R3	R4	R5	R6
140.	101	43	110	1111	110	1,,,,
XA664	CH3-	Br- ()—{	Н	СНЗ	Н	н
XA665	снз-	Br—(н	СНЗ	н	н
XA666	снз-	Br⊸€	н	снз	н	Н
XA667	СН3-	<u></u>	н	сн3	Н	н
XA668	СН3-		Н	СНЗ	H	н
XA669	СН3-	_	н	снз	Н	Н
XA670	снз-	CH₃	н	СНЗ	н	н
XA671	СН3-	H ₃ C	Ĥ	СН3	н	Н
XA672	СН3-	H ₃ C-{}	н	СНЗ	Н	н
XA673	снз-	C ₂ H ₅ -{}-{	н	СН3	н	Н
XA674	СН3-	n-C ₃ H ₇ {}{	Н	СН3	Н	н
XA675	СН3-	n-C ₄ H ₉ {_}	н	СН3	Н	н
XA676	СН3-	ОН	н	снз	н	н
XA677	СН3-	HO —	н	СН3	Н	н
XA678	СН3-	HO-{\bigcream}-4	Н	снз	н	н
XA679	СН3-	OCH₃ ◯	Н	СНЗ	н	н
XA680	CH3-	H ₃ CO	н	СНЗ	н	н
XA681	СН3-	H ₃ CO-{_}-{	н	СНЗ	н	н
XA682	CH3-	H₃CO- {_} -1	н	снз	Н	Н
XA683	СН3-	H ₃ CO-{_}\!\	н	СНЗ	Н	н
XA684	СН3-	OC ₂ H ₅	Н	снз	н	н
XA685	СН3-	C ₂ H ₅ O	Н	СНЗ	Н	н

No.	R1	R2	R3	R4	R5	R6
XA708	снз-	MeS	н	СНЗ	н	н
XA709	СН3-	MeS-{}-{	н	снз	н	Н
XA710	СН3-	SO₂Me	Н	СНЗ	н	н
XA711	СН3-	MeO ₂ S	н	СН3	н	Н
XA712	СН3-	MeO ₂ S-{_}-{	н	СНЗ	Н	Н
XA713	снз-	NH ₂	н	СНЗ	н	н
XA714	СН3-	H ₂ N	н	СНЗ	н	Н
XA715	снз-	H ₂ N-	н	СН3	н .	Н
XA716	СН3-	NMe ₂	Н	СНЗ	Н	н
XA717	СН3-	Me ₂ N	н	СНЗ	н	Н
XA718	снз-	Me ₂ N-{}	н	СН3	Н	Н
XA719	снз-		н	СНЗ	Н	Н
XA720	СН3-	CN-C)_	Н	СНЗ	Н	Н
XA721	СН3-	Cn-⟨>-1	Н	СНЗ	н	Н
XA722	СН3-		н	СН3	н	H .
XA723	СН3-	(N-(2)	н	СНЗ	Н	н
XA724	СН3-	\n_\-\\	Н	СНЗ	н	Н
XA725	снз-	On-√	Н	СНЗ	Н	н
XA726	снз-	○N-	Н	СНЗ	Н	н
XA727	СН3-	O_N-{_}-;	Н	СНЗ	н	н
XA728	снз-	H ₃ CN N-	н	СНЗ	н	Н
XA729	снз-	H ₃ CN N-	Н	СН3	н	н

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No.	R1	R2	R3	R4	R5	R6
XA730	снз-	H₃CN_N-{_}-{	н	снз	н	н
XA731	СН3-	H₃C CH₃	Н	сн3	Н	Н
XA732	СН3-	CH ₃ H ₃ C-√∑	Н	СН3	н	Н
XA733	СН3-	CH₃ H₃c	н	СН3	н	Н
XA734	снз-	сн _з	н	снз	Н	н
XA735	снз-	H ₃ C H ₃ C-⟨¯⟩−∤	,н	сн3	н	н
XA736	снз–	H ₃ C	Н	СНЗ	н	н
XA737	снз-		Н	СН3	Н	Н
XA738	СН3-	F-S-4	н	снз	н	Н
XA739	СН3-		н	снз	н	Н
XA740	снз-	C.	Н	СНЗ	н	н
XA741	СН3-	F	н	СНЗ	н	н
XA742	СН3-		н	сн3	Н	н
XA743	СН3-		н	СНЗ	н	Н
XA744	СН3-	ci—Ci	н	СНЗ	н	Н
XA745	СН3-		Н	снз	н	н
XA746	СН3-	a Qa	н	СНЗ	н	н
XA747	СН3-	a ci—⟨	н	СНЗ	н	н
XA748	СН3-	CI CI	н	СНЗ	н	Н
XA749	СН3-	H₃CO_OCH₃ →	н	СНЗ	н	Н
XA750	СН3-	H ₃ CO-⟨□⟩→	н	СНЗ	н	н
XA751	снз-	ocн, →	н	снз	Н	н

No.	R1	ID2	R3	R4	Inc	Toc
No	121	R2	173	K4	R5	R6
XA752	CH3-	осн,	Н	СНЗ	Н	н
XA753	СН3-	H ₃ CO-	н	снз	н	н
XA754	снз-	H³CO ₩3CO	н	СНЗ	н	н
XA755	снз-	F_OCH ₃	н	СНЗ	н	н
XA756	снз-	OCH ₃	н	СНЗ	н	Н
XA757	СН3-	OCH ₃	н	СНЗ	н	н
XA758	СН3-	OCH ₃	н	СНЗ	н	Н
XA759	СН3-	осн, F	Н	СНЗ	Н	Н
XA760	СН3-	осн _з	Н	СНЗ	Н	н
XA761	снз-	H ₃ CO	н	СНЗ	н	н
XA762	снз-	H ₃ CO	Н	снз	Н	н
XA763	CH3-	H₃CO_F →	н	СНЗ	н	н
XA764	СН3-	H₃CO-{\(\)}	Н	снз	Н	Н
XA765	СН3-	H ₃ CO	н	СНЗ	н	н
XA766	СН3-	H ₃ CO-	н	СНЗ	н	н
XA767	СН3-	CI_OCH ₃	н	СНЗ	н	н
XA768	СН3-	OCH₃ CI—(□)—;	Н	СНЗ	Н	н
XA769	СН3-	CI OCH3	Н	СНЗ	Н	н
XA770	СН3-	OCH₃ G	н	СНЗ	н	Н
XA771	СН3-	H₃CO CI—⟨¯_}—;	Н	СНЗ	Н	Н
XA772	снз-	H ₃ CO CO	Н	СНЗ	н	н
XA773	СН3-	H₃CO_CI	н	СНЗ	н	н

No.	R1	R2	R3	R4	R5 .	R6
XA774	СН3-	CI H₃CO-{_}}	н	СНЗ	Н	н
XA775	снз-	H³co	Н	СНЗ	н	н
XA776	СН3-	CI H₃CO-⟨}-{	н	СНЗ	Н	н
XA777	CH3-	F_CH₃ →	н	СНЗ	Н	н
XA778	СН3-	CH₃ F—{}	н	СНЗ	н	н
XA779	СН3	CH₃ F	н .	снз	н	н
XA780	СН3-	Ø,	н	СНЗ	н	н
XA781	СН3-	H ₃ C F—_}-{	Н	СНЗ	н	н
XA782	CH3-	H-3C	н	СНЗ	н	н
XA783	CH3-	H₃C_F ————————————————————————————————————	Н	СНЗ	н	Н
XA784	СН3	H₃C-{	н	СНЗ	н	н
XA785	CH3-	H,C	Н	СНЗ	н	н
XA786	СН3-	F H₃C-⟨}}	н	СНЗ	Н	н
XA787	CH3-	Br_OCH₃	н	СНЗ	н	н
XA788	снз-	OCH₃ Br—√→	Н	СНЗ	н	н
XA789	СН3	Br OCH3	Н	СНЗ	н	Н
XA790	CH3-	OCH ₃	н	снз	Н	н
XA791	CH3-	H₃CO Br—√—}	Н	СНЗ	н	н
XA792	СН3-	H ₃ CO	Н	СНЗ	н	н
XA793	СН3-	H ₃ CO_Br	Н	СНЗ	н	н
XA794	СН3-	H₃CO-{\bigset}	Н	СНЗ	н	н
XA795	СН3-	H³CO B₁	н	СНЗ	н	н

No.	R1		R3	R4	R5	R6
XA796	СН3-	Br H₃CO-⟨};	н	СНЗ	Н	н
XA797	снз–		н	СНЗ	Н	н
XA798	СН3-	OCH ₃	H 	СНЗ	н	н
XA799	снз–	Cn-<->-och₃	Н	СНЗ	н	н
XA800	снз-	H3CO >	н	СН3	Н	Н
XA801	СН3-	H ₃ CO N-(){	Н	СНЗ	н	н
XA802	снз-	CN CY	Н	СНЗ	н	Н
XA803	снз-	F	H	СНЗ	н	н
XA804	снз-	OCH ₃	н	снз	Н	н
XA805	СН3-	H ₃ CO-{}	Н	СНЗ	н	н
XA806	снз-	OCH ₃	Н	снз	н	н
XA807	СН3-	OCH₃ H₃CO-⟨_}-} OCH₃	H	СНЗ	Н	н
XA808	СН3-	ci—();	н	снз	Н	н
XA809	снз-	CI	н	СНЗ	Н	н
XA810	СН3-	H³CO-{{}} CI	Н	СНЗ	н	н
XA811	СН3-	осн ₃	Н	СНЗ	Н	н
XA812	снз-	OCH3	н	СНЗ	Н	н
XA813	СН3-	OCH ₃	Н	снз	H	н
XA814	СН3-	H ₃ CO	н	снз	Н	н
XA815	снз-	H3CO-{_}-{_}-{	н	СНЗ	Н	н
XA816	СН3-	OCH ₃ \	н	СНЗ	Н	н
XA817	CH3-	H ₃ CO ,	Н	СНЗ	н	н

No.	R1	R2	R3	R4	R5	R6
		7		OUIS		
XA818	СН3-	H₃CO-()(_)	Н	CH3	Н	Н
XA819	снз-	٧	н	СН3	н	н
XA820	СН3-	H,.CO	Н	СН3	н	н
XA821	СН3	н₃со-⟨У-{_>	Н	СНЗ	н	н
XA822	снз-	₫	Н	СНЗ	н	н
XA823	СН3-	├ ,	Н	снз	Н	н
XA824	снз-	F-()-()-1	н	снз	н	н .
XA825	снз-	<u></u>	Н	СНЗ	н	н
XA826	снз-	E < ○	Н	СНЗ	Н	н
XA827	снз-		Н	СНЗ	Н	н
XA828	СН3-	Ø-	н	сн3	н	Н
XA829	СН3-	\$\disp\\phi\$	н	снз	н	н
XA830	СН3-		н	снз	н	н
XA831	СН3-		н	снз	н	н
XA832	СН3-		н	снз	н	н
XA833	снз-	CT _N	н	снз	н	н
XA834	снз-		Н	снз	н	Н
XA835	снз-		н	снз	н	н
XA836	CH3-	(0)	н	СНЗ	н	н
XA837	снз-	,CT	Н	СНЗ	Н	н
XA838	СН3-	Çî;	н	снз	н	н
XA839	СН3-	CT>-1	н	СНЗ	н	н

No.	R1	R2	R3	R4	R5	R6
XA840	снз-	O.	Н	сн3	н	н
XA841	снз-	Ğ:	н	снз	н	н
XA842	СН3-	TO?	Н	снз	H	Н
XA843	СН3-	,CT	н	снз	н	Н
XA844	снз-	Ţ?	н	снз	Н	н
XA845	СН3-	(T)>1	н	снз	н	н
XA846	CH3-	CT)	н	снз	Н	н
XA847	снз-		Н	СНЗ	н	н
XA848	СН3-	T)	н	снз	Н	н
XA849	СН3-	,CTS	Н	снз	Н	н
XA850	СН3-	Ť.s	н	снз	н	н
XA851	СН3-		Н	СНЗ	н	Н
XA852	Сн3-	ĈŢ,	Н	СН3	Н	н
XA853	снз-	TON	н	СН3	Н	н
XA854	СН3	,CTjr	н	сн3	н	Н
XA855	СН3-	Ţŗ,	Н	СНЗ	н	н
XA856	CH3	(CT _N [×])	Н	СН3	Н	н
XA857	снз-	Č,	Н	СН3	Н	н
XA858	снз-	, S	н	СНЗ	Н	н
XA859	СН3-	(IN)→	н	СНЗ	н	Н
XA860	СН3-	Ŭ _o N	н	снз	н	н

No.	R1	R2	R3	R4	R5	R6
XA861	снз-	'CI'	н	снз	н	Н
XA862	CH3-	, CO	н	СНЗ	Н	н
XA863	CH3	ÇN,	н	снз	н	н
XA864	СН3	O's-	н	СН3	н	н
XA865	СН3-	N S	н	снз	н	H
XA866	СН3	TO'S	н	СН3	н	Н
XA867	СН3-	, CI's	Н	СН3	н	н
XA868	СН3-	Çr S S	Н	СН3	н	Н
XA869	СН3-		н	сн3	Н	Н
XA870	СН3-		н	снз	Н	н
XA871	СН3-	KD,	н	СН3	Н	Н
XA872	СН3-	,CT	Н	СН3	н	н
XA873	СН3-	<u>Ĉ</u>	н	СНЗ	Н	Н
XA874	СН3	Z ^a	н	СН3	Н	Н
XA875	СН3-	ř Š	н	СНЗ	Н	Н
XA876	СН3-	Y Sh	н	СН3	н	н
XA877	снз-	,CTsN	н	СН3	Н	Н
XA878	снз-	<u>Ť</u> g	н	СН3	н	Н
XA879	СН3-	Č.	н	СН3	н	н
XA880	СН3-	,CD;	н	СН3	н	н
XA881	СН3-	TO	н	СН3	н	н
XA882	СН3-	Ğ;	н	СН3	н	н

Line of the personal programment of

No.	R1	R2	R3	R4	R5	R6
XA883	СН3	СН3-	Н	Qu	н	н
XA884	снз-	СН3СН2-	Н		Н	Н
XA885	СН3-	∕ ~\`\	Н	Q	Н	Н
XA886	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Qu	н	н
XA887	снз–	√ ~\	Н	Qu	н	Н
XA888	СН3-	人工	Н	Qu	н	н
XA889	СН3-	~~` <u> </u>	Н	Q	н	н
XA890	СН3-	7	н	Q	Н	Н
XA891	СН3	~~~	н	Q.,	н	н
XA892	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Qu	н	н
XA893	СН3-	<u> </u>	н	Qu	н	н
XA894	СН3-	7	Н	Q	н	н
XA895	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Q	н	н
XA896	СН3-	<u></u>	Н		Н	н
XA897	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Q	Н	н
XA898	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Q.	н	н
XA899	снз-	n-C8H17-	н	Q	Н	н
XA900	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	<u> </u>	н	н
XA901	СН3-	Q.	н	Ox	Н	н
XA902	СН3-		Н		Н	н
XA903	СН3-		н		н	н
XA904	СН3-	\triangleright	н		Н	н

No.	R1	R2	R3	R4	R5	R6
XA905	СН3-	\Diamond -1	н		н	н
XA906	СН3-	\bigcirc +	Н	Q	н	Н
XA907	снз-	\bigcirc \dashv	н .	Q	н	н
XA908	снз-		Н		Н	Н
XA909	снз-	◯ −₁	н		н	н
XA910	снз-		Н		н	н
XA911	снз-		н		н	Н
XA912	СН3-	√ -;	н		н	Н
XA913	СН3-	F	н		H	Н
XA914	СН3-	F-(-)(н	Q	н	н
XA915	снз-	F-(-)(н	Q	н	Н
XA916	СН3-	F—C)III4	н	Q	Н	Н
XA917	СН3	CI →	Н	Q	н	Н
XA918	СН3-	CI →	н	Q	н	н
XA919	СН3-	c⊢(н	Q	н	н
XA920	СН3-	c⊢(_ > -(Н	Q	н	Н
XA921	СН3-	CH	Н	Q	Н	н
XA922	СН3-	Br	Н	Q	Н	н
XA923	СН3-	Br.	H'	Q	Н	н
XA924	снз-	Br—{_}_{{}}	Н	Q	Н	н
XA925	СН3-	Br—{}	Н	Qu	н	н
XA926	СН3-	Вг{	н	Q	Н	н

 $\mathcal{L}_{\mathrm{tot}}$, which makes the transfer of the second contraction of the $\mathcal{L}_{\mathrm{tot}}$

No.	R1	R2	R3	R4	R5	R6
XA949	СН3-	NO ₂	н		Н	н
XA950	снз-	O ₂ N —}	н		Н	н
XA951	СН3-	O ₂ N-{}	н	Qu	н	н
XA952	снз-	CN	н	Q.,	Н	н
XA953	снз-	NC	Н	Q1_	Н	н
XA954	СН3-	NC-{}-{	н	Qu	Н	н
XA955	снз-	CF ₃	Н	Qu	Н	н
XA956	снз-	F ₃ C	Н	Qu	Н	Н
XA957	СН3-	F ₃ C-{}-{	н		Н	Н
XA958	CH3-	COOH	н		н	Н
XA959	СН3-	HOOC	н	Q	H	н
XA960	снз-	HOOC-{_}-{	н		н	н
XA961	СН3	CO ₂ Me	Н		Н	н
XA962	СН3-	MeO ₂ C	н		н	н
XA963	СН3-	MeO ₂ C-{}-{	н		н .	Н
XA964	СН3-	CO ₂ Et	Н	Qu	Н	н
XA965	СН3-	EtO ₂ C	н		Н	н
XA966	снз-	EtO ₂ C-{}	н		н	н
XA967	СН3-	SMe	Н		Н	н
XA968	СН3-	MeS ;	н		н	Н
XA969	СН3-	MeS-{_}-{	н	Q	н	н
XA970	снз-	SO₂Me	н		н	н

The control of the co

No.	R1	R2	R3	R4	R5	R6
	0110	MeO ₂ S				
XA971	CH3-	<u></u> };	H		Н	Н
XA972	СН3-	MeO ₂ S-{_}-{	н		н	н
XA973	СН3-	NH ₂	Н		Н	н
XA974	СН3-	H ₂ N	н		н	н
XA975	СН3-	H ₂ N-⟨¯¯⟩{	н	Q	н	н
XA976	снз-	NMe ₂	н	Q	Н	н
XA977	СН3-	Me ₂ N	н .	Q	Н	н
XA978	СН3	Me ₂ N—(Н	Q	н	н
XA979	СН3-		Н		Н	н
XA980	СН3-		н	Q	Н	н
XA981	СН3		Н	Q.	Н	н
XA982	СН3-	_________	Н	Qu	Н	н
XA983	СН3-	(N-()	Н	Q	н	н
XA984	СН3-	_v-(_)-;	Н	Q	Н	н
XA985	СН3-	O_N-{	Н	Q	Н	н
XA986	СН3-	0_N-{_}	Н	Q	Н	н
XA987	СН3-	O_N-{_}-}	Н	Q	н	н
XA988	СН3-	H ₃ CN_N_	Н	Q	н	н
XA989	СН3-	H3CN_N-{}	Н		Н	н
XA990	СН3-	H3CN_N-{}-{	н	Q	н	н
XA991	СН3-	H ₃ C CH ₃	н		н	н
XA992	СН3-	CH ₃ H ₃ C-⟨//	н	Q	н	н

No.	R1	R2	R3	R4	R5	R6
XA993	СН3-	H ₂ CH ₃	н		н	Н
XA994	снз-	CH,	н	Q	н	н
XA995	СН3-	H ₃ C H ₃ C-\-\\\\\\\\\\\\	н		Н	н
XA996	СН3-	H³C ————————————————————————————————————	н		н	н
XA997	СН3-	\	н	Q	Н	Н
XA998	сн3-	F-(5);	н		н	н
XA999	снз-	\$	Н		н	Н
XA1000	СН3-	₫	Н	Q	Н	н
XA1001	СН3-	F—————————————————————————————————————	н		Н	н
XA1002	СН3-		н		н	н
XA1003	СН3-		н		н	н
XA1004	CH3-	a-{□}	н		Н	н
XA1005	снз-	a a	н		Н	н
XA1006	СН3-		н		Н	н
XA1007	CH3-	CI—	н		н	н
XA1008	CH3-	a a	н		Н	Н
XA1009	СН3-	H₃CO OCH₃	Н		н	н
XA1010	СН3-	OCH ₃	н		H	н
XA1011	СН3-	H³CQ →	н		н	Н
XA1012	снз-	OCH,	Н		н	Н
XA1013	СН3-	H₃CO-⟨¯_);	н	Q	н	н
XA1014	снз-	H³co H³co	н		н	Н

No.	R1	R2	R3_	R4	R5	R6
XA1015	снз-	F_OCH₃ →	н	Qu	H	н
XA1016	СН3-	' \ '	Н		н	н
XA1017	СН3-	OCH ₃	н		н	н
XA1018	снз-	OCH ₃ F——	н		н	н
XA1019	СН3-	CH,	н	Qu	н	Н
XA1020	СН3-	OCH,	н		н	н
XA1021	снз-	H₃CO F-⟨□};	н		Н	н
XA1022	СН3-	H ₃ CO	Н		н	н
XA1023	СН3-	H ₃ COF	Н		Н	н
XA1024	СН3-	H₃CO-{∑}{	Н		н	н
XA1025	СН3-	H³co	н		н	н
XA1026	СН3-	H₃CO-⟨	Н		Н	Н
XA1027	СН3-	CI_OCH ₃	Н	Qu	н	Н
XA1028	СН3-	OCH₃ CI—{	н		н	н
XA1029	снз-	OCH,	н		н	н
XA1030	СН3-	OCH,	Н		Н	н
XA1031	снз-	H₃CO CI—⟨☐}—;	н		Н	н
XA1032	СН3-	H ₃ CO C	Н	Q	Н	н
XA1033	снз-	H₃CO_CI	Н		Н	н
XA1034	СН3-	H₃CO-{_}}	Н	Q	Н	н
XA1035	СН3-	H3COC	Н	Q	н	н
XA1036	СН3-	CI H₃CO-⟨¯¯⟩—}	н	Q	н	н

No.	R1	R2	R3	R4	R5_	R6
XA1037	Снз-	E_CH₃ →	н	Qui	н	н
XA1038	снз-	CH ₃ F————————————————————————————————————	н	Qi	н	н
XA1039	СН3-	сн, С	н	Qu	Н	н
XA1040	СН3-	CH₃ F	н	Q	Н	н
XA1041	СН3-	H ₃ C F—{}—{	н	Qu	Н	н
XA1042	снз-	H ₃ C F	Н	Qu	Н	Н
XA1043	СН3-	H₃C F →	н	Q	Н	н
XA1044	СН3-	H₃C-{=}F	Н	Q	Н	н
XA1045	СН3-	H ₃ C	н		н	н
XA1046	СН3-	H₃C-⟨¯¯}{	н	Q	Н	н
XA1047	снз-	Br. OCH₃	н	Q	Н	н
XA1048	СН3-	OCH₃ Br—{ →	н		Н	н
XA1049	СН3-	OCH,	Н		Н	Н
XA1050	СН3-	OCH ₃	н		н	н
XA1051	СН3-	H₃CO Br-⟨	н	Q	Н	н
XA1052	СН3-	H ₃ CO B/	Н		Н	Н
XA1053	СН3-	H ₃ CO_Br →	Н		н	н
XA1054	CH3-	H₃CO.————Br	Н	Qu	н	Н
XA1055	CH3-	Br H ₃ CO	н		н	н
XA1056	CH3-	Br H₃CO-⟨}	Н		Н	
XA1057	СН3-	H3CO >	н	Q	н	н
XA1058	СН3-	OCH ₃	н	Q	Н	н

. The the this highest constant and the state of the second constant $(1,1,2,\ldots,1)$

No.	R1	R2	R3	R4	R5	R6
XA1081	CH3-	H₃CO-{	н		н	н
XA1082	СН3-	₫	н		Н	н
XA1083	СН3-		н		н	н
XA1084	снз-		н	Qu	н	н
XA1085	снз-	<u>5</u> 0	н		н	н
XA1086	СН3-		н	Qu	Н	н
XA1087	СН3-		н		Н	н
XA1088	СН3	ď∙	н	Qu	Н	н
XA1089	СН3-	₽ -\$	Н		Н	Н
XA1090	Снз-		Н	Qu	Н	Н
XA1091	снз-	OP	н	Qu	н	Н
XA1092	СН3-		Н	Q	н	н
XA1093	СН3-	OTN H	Н	Qu	Н	Н
XA1094	СН3-		Н		н	н
XA1095	CH3-		Н		Н	н
XA1096	СН3-	TON .	Н	Q	Н	Н
XA1097	снз-		Н		Н	н
XA1098	СН3-	Çî	н		Н	н
XA1099	СН3-	C)-1	н		Н	н
XA1100	СН3-	CT)	Н		Н	Н
XA1101	снз-	Č;	Н	Q	Н	н
XA1102	снз-	TO:	Н	Qu	Н	н

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No.	R1	R2	R3	R4	R5	R6
XA1103	СН3-	,CT	н		н	н
XA1104	снз-	Ţ?	н		н	н
XA1105	СН3-	(Ts-1	н		Н	н
XA1106	снз-		н	Qu	н	н
XA1107	СН3-	Ū;	н	Qu	н	н
XA1108	CH3-	TO 3	н	Qu	Н	н
XA1109	снз-	,CIŞ	н	Qu	Н	н
XA1110	CH3-	Ţs .	н	Qu	н	н
XA1111	CH3-	CT,	Н		н	н
XA1112	CH3-		Н	Q	Н	н
XA1113	СН3-		н		Н	Н
XA1114	СН3-	,CTh	Н		н	н
XA1115	СН3-	Ç,	Н	Qu	н	н
XA1116	СН3-	(C) X X X	н		н	н
XA1117	СН3-	Z, Z, Z,	Н		н	н
XA1118	снз-	~ H	н		н	Н
XA1119	снз-	Ç, Ç,	Н		Н	н
XA1120	СН3-	ž O S	Н		н	Н
XA1121	снз-	'CI'	Н		Н	Н
XA1122	СН3-	, (I)	Н		н .	Н
XA1123	СН3-	↓ _	Н		н	н
XA1124	снз-	(C)s ^N →t	Н		Н	Н

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No.	R1	R2	R3	R4	R5	R6
XA1125	СН3-	N S	н		Н	Н
XA1126	снз-	(C)	Н	Q	н	н
XA1127	снз-	, (Is	н	Q	Н	н
XA1128	СН3-	Ç,	н		Н	н
XA1129	СН3-	C.	н		н	н
XA1130	СН3-	Č.	Н		H-	Н
XA1131	СН3-	NOTO Y	н	Qi	н	н
XA1132	СН3-	,CT	Н		н	н
XA1133	снз-	Ĉ.	н		н	н
XA1134	снз	O's N	н		н	Н
XA1135	снз-	Ĩ,	н	Q	н	н
XA1136	снз-	TIN	н	Q	н	Н
XA1137	снз-	,CTsh	Н	Q	н	н
XA1138	снз-	Î,	Н		н	н
XA1139	снз-	Č.	н		н	Н
XA1140	снз-	,CC	H	Q	Н	н
XA1141	снз-	TOP:	Н		Н	Н
XA1142	снз-	Ğ;	Н		Н	Н
XA1143	снз-	СН3-	н	Ŷ,	н	н
XA1144	снз-	СН3СН2-	Н	Ů,	н	н
XA1145	снз-	∼ \	н	Å,	н	н
XA1146	СН3-	Y	н	Ŷ,	Н	н

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No.	R1	R2	R3	R4	R5	R6
XA1169	снз-		Н	Ŷ,	н	н
XA1170	снз-		н	گ _ا ر	Н	н
XA1171	СН3-		Н	Å,	Н	н
XA1172	СН3-	F —	н	Û,	Н	н
XA1173	СН3-	<u></u>	н	پ	Н	н
XA1174	снз-	F-{\}-{	н	Ů,	Н	н
XA1175	СН3-	F-(>\	н	Ŷ,	Н	н
XA1176	СН3-	F-{>\{	н	<u> </u>	Н	н
XA1177	снз-	CI	н	Ŷ,	Н	н
XA1178	снз-	CI. →	н	Ů,	Н	н
XA1179	снз-	C⊢{{-{1}}	н	پ	н	н
XA1180	снз-	c⊢ ()~-(Н	Ů,	Н	н
XA1181	снз-	CH	Н		н	Н
XA1182	снз-	Br	н	<u></u>	н	н
XA1183	CH3-	Br.	н	Ŷ,	Н	н
XA1184	снз-	Br—{	н	l i,	Н	н
XA1185	СН3-	Br——	н	Ŷ,	Н	Н
XA1186	CH3-	Br————	н	<u></u>	н	н
XA1187	CH3-		Н	2,	н	н
XA1188	СН3-		н	2,	н	н
XA1189	СН3-	H	н	2,	н	н
XA1190	СН3-	CH₃	н	<u>گ</u> ر	н	Н

No.	R1	R2	R3	R4	R5	R6
XA1191	CH3-	H ₃ C	Н	Ŷ,	Н	Н
XAIISI	CH3-			7 7	<u> </u>	<u> </u>
XA1192	СН3-	H ₃ C-{}{	н	<u></u>	н	Н
XA1193	СН3-	C ₂ H ₅ {}	Н	<u>گ</u> ر	н	Н
XA1194	CH3-	n-C ₃ H ₇ {}{	н	Ů,	Н	Н
XA1195	СН3-	n-C ₄ H ₉ -{_}-{	н	Ŷ,	Н	Н
XA1196	СН3-	OH →	Н	Ů,	Н	н
XA1197	CH3-	HO HO	Н	Ů,	Н	Н
XA1198	СН3-	HO-{\rightarrow}{	н	Ů,	н	н
XA1199	CH3-	OCH₃	н	Ů,	Н	Н
XA1200	CH3-	H ₃ CO	н	Ů,	н	Н
XA1201	снз-	H ₃ CO-{_}-{	н	Ů,	Н	н
XA1202	снз-	H ₃ CO-{_}-{	н	Ů,	Н	Н
XA1203	CH3-	H ₃ CO-{\bigs\minu	н	Ŷ,	н	Н
XA1204	CH3-	OC ₂ H ₅	н	Ů,	н	Н
XA1205	снз-	C ₂ H ₅ O	н	Ů,	н	н
XA1206	СН3-	C ₂ H ₅ O-{}-{	н	,	Н	Н
XA1207	СН3-	n-C ₃ H ₇ O-	н	Ŷ,	н	Н
XA1208	СН3-	n-C ₄ H ₉ O-{}-{	н	,	Н	Н
XA1209	снз-	NO ₂	н	Ŷ,	Н	Н
XA1210	СН3-	O ₂ N	н	<u></u>	н	н
XA1211	СН3-	O ₂ N-{}	н	Ŷ,	н	н
XA1212	СН3-	CN	н	Ŷ,	Н	Н

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No.	R1	R2	R3	R4	R5	R6
XA1213	СН3-	NC	н	Ŷ,	н	н
XA1214	СН3-	NC-{}-{	Н	Ŷ,	н	н
XA1215	CH3-	CF ₃	н	Ŷ,	н	Н
XA1216	СН3-	F ₃ C	н	Ŷ,	н	н
XA1217	снз-	F ₃ C-{}-{	н	٠,	н	н
XA1218	СН3-	COOH	н	Ŷ,	н	Н
XA1219	CH3-	ноос	н	Ŷ,	н	н
XA1220	СН3-	HOOC-{_}-{	н	<u></u>	н	н
XA1221	снз-	CO ₂ Me	н	Ŷ,	н	н
XA1222	СН3-	MeO ₂ C	Н	Ŷ,	н	Н
XA1223	СН3	MeO ₂ C-{	Н	<u>ڳ</u>	Н	н
XA1224	СН3-	CO ₂ Et	Н	Ŷ,	н	н
XA1225	СН3-	EtO ₂ C	н	ئى ر	Н	н
XA1226	СН3-	EtO ₂ C-{}	н	l i,	н	Н
XA1227	снз-	SMe	н	Ŷ,	н	н
XA1228	снз-	MeS	н	<u>گ</u> ر	н	Н
XA1229	СН3-	MeS-{}	н	Î,	н	н
XA1230	снз-	SO₂Me	н	Î,	Н	Н
XA1231	снз-	MeO ₂ S	н	Ŷ,	н	н
XA1232	СН3-	MeO ₂ S-{}{	н	Ŷ,	н	н
XA1233	снз-	NH ₂	Н	<u></u>	Н	н
XA1234	CH3-	H ₂ N	н	٨,	н	Н

No.	R1	R2	R3	R4	R5	R6
XA1235	СН3-	H ₂ N-	н	0	н	н
XA1236	снз-	NMe ₂	н	<u></u>	Н	н
XA1237	снз-	Me ₂ N	н	Ŷ,	н	н
XA1238	снз-	Me ₂ N—{	Н	Ů,	н	Н
XA1239	снз-	Cn-\(\)	Н	Ŷ,	Н	Н
XA1240	снз-	(N-()	н	Å,	Н	н
XA1241	снз-	Cn-⟨∑-1	н	Ŷ,	н	н
XA1242	СН3-	Cn-\(\(\)	н	Ŷ,	н	н
XA1243	СН3-	Cr-Q	н	Ŷ,	н	Н
XA1244	СН3-		н	Ŷ,	н	н
XA1245	СН3-		н	O. T.	Н	н
XA1246	снз-		Н	Ů,	Н	Н
XA1247	СН3-	O_N-{_}-1	Н	Ŷ,	Н	Н
XA1248	СН3-	H³CN_N—	Н	Ŷ,	н	н
XA1249	снз–	H3CN N-	н	,	н	н
XA1250	снз–	H3CN_N-{}-{	Н	Ŷ,	н	н
XA1251	снз-	H ₃ C_CH ₃	Н	Ŷ,	н	н
XA1252	СН3-	CH ₃ H ₃ C-⟨∑∕{	н	Ŷ,	н	н
XA1253	снз-	СН ₃	н	Ŷ,	н	н
XA1254	СН3-	CH₃ CH₃	н		н	н
XA1255	СН3-	н₃С н₃С-{}_{}{	н	Ŷ,	н	н
XA1256	СН3-	H₃C H₃C	Н		н	н

No.	R1	R2	R3	R4	R5	R6
XA1257	СН3-		н	Ů,	Н	н
XA1258	снз-	F-{=}-	Н	٠	Н	н
XA1259	СН3-		н	<u></u>	Н	н
XA1260	СН3-		н	<u></u>	н -	н
XA1261	снз-	<u>-</u>	н	Ŷ,	н	н
XA1262	Сн3-		н	Ů,	Н	н
XA1263	СН3-	a_a	н	٩	н	н
XA1264	снз-	CI—⟨¯	н	<u></u>	н	н
XA1265	СН3		Н	٩	Н	н
XA1266	СН3-	a C	н	ب	н	н
XA1267	СН3-		Н	Ů,	Н	н
XA1268	снз-		н	Ŷ,	Н	н
XA1269	СН3-	H₃CO OCH₃	н	Ŷ,	н	H ·
XA1270	CH3-	н₃со-⟨У;	Н	<u></u>	Н	н
XA1271	СН3-	H³CO OCH?	Н	٩	Н	н
XA1272	СН3-	OCH³	н	Ĵ,	Н	Н
XA1273	СН3-	H³CO-⟨}-	н	O A	н	Н
XA1274	СН3-	H3CO H3CO	н	Ů,	Н	н
XA1275	Снз-	F_OCH₃ →	Н	Å,	Н	н
XA1276	СН3-	OCH₃ F—⟨SH	н	٩	Н	н
XA1277	СН3-	OCH ₃	н	<u></u>	Н	н
XA1278	СН3-	OCH ₃	н	٨	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1279	СН3-	OCH₃ F	н	<u></u>	Н	н
XA1280	снз-	OCH ₃	н	Ŷ,	Н	н
XA1281	СН3-	H₃CO F—⟨□}—;	н	Ŷ,	Н	н
XA1282	снз-	H₃CO F	н	<u>ک</u> ہ	н	н
XA1283	СН3-	H₃CO_F →	н	Å,	н	Н
XA1284	снз-	H₃CO-⟨¯¯ > }	н	Ů,	Н	н
XA1285	снз-	H ³ CO	н	Ŷ,	Н	Н
XA1286	снз-	H₃CO-⟨	н	Ŷ,	Н	Н
XA1287	снз-	CI_OCH₃	н	Ů,	Н	н
XA1288	снз–	OCH₃ CI—(□)—;	н	پا	Н	н
XA1289	снз–	OCH,	н	Å,	н	н
XA1290	снз-	осн,	н	Ŷ,	H	н
XA1291	СН3-	H³CO CI—⟨}—	н	Ŷ,	Н	н
XA1292	СН3-	H₃CO CI	Н	<u>گ</u> ر	н	н
XA1293	снз-	H₃CO_CI	Н	ي ب	Н	н
XA1294	снз-	H₃CO-⟨¯ <mark>></mark>	Н	<u>گ</u>	Н	н
XA1295	снз-	H ₃ 00	н	Ŷ,	Н	Н
XA1296	снз-	CI H₃CO-⟨	Н	٩	Н	н
XA1297	снз-	F_CH ₃ →	н	<u>,</u>	н	н
XA1298	СН3-	CH ₃ F—{}-{	н	Ŷ,	Н	н
XA1299	СН3-	CH ₃	н	<u></u>	Н	н
XA1300	СН3-	F CH ₃	H	,	н	Н

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, we can be a single dependence of the second of the seco

No.	R1	R2	R3	R4	R5	R6
XA1323	снз-	F-Q-1	н	Ŷ,	н	н
XA1324	СН3-	OCH ₃ F-C>-/s F	Н	Ŷ,	н	н
XA1325	снз-	H²CO-< E	н	Ů,	н	Н
XA1326	СН3-	OCH ₃ FC>-/ OCH ₃	Н	٩	н	Н
XA1327	СН3-	н₃со-{_}-; осн₃	н	پار	Н	Н
XA1328	снз-	ci—C\$	н	Ŷ,	н	Н
XA1329	CH3-	осн, ci—{_}_; a	н	پ	Н	Н
XA1330	CH3-	а н,co-() а	н	پار	Н	Н
XA1331	CH3-	OCH ₃ CI—(2)—1 OCH ₃	Н	Ů,	н	н
XA1332	СН3-	H³CO-⟨_}-\ OCH³	н	Ů,	н	н
XA1333	СН3-	OCH ₃	н	,	Н	Н
XA1334	CH3-	H ₃ CO	н	Ŷ,	н	Н
XA1335	СН3-	H3CO-{_}-{}-{	Н	Ů,	н	н
XA1336	СН3-	OCH ₃ }.	Н	Ů,	Н	н
XA1337	СН3-	H ₃ CO	Н	Ů,	н	н
XA1338	СН3-	H ₃ CO-{\}-{\}	н	<u></u>	н	Н
XA1339	СН3-	Ø ` (\$	н	Ŷ,	Н	Н
XA1340	СН3-	H3CO	Н	Ŷ,	Н	Н
XA1341	СН3-	H3CO-{_}_	н	<u></u>	н	н
XA1342	снз-	₫-	н	Ŷ,	Н	н
XA1343	снз-	<u></u>	н	Ŷ,	н	н
XA1344	СН3-	F-()-()-1	Н	°,	н	н

No.	R1	R2	R3	R4	R5	R6
XA1345	СН3-	J-J	н	٨	Н	н
XA1346	СН3-	Ò -♂	н	l _y ,	Н	н
XA1347	СН3		н	Ů,	н	н
XA1348	снз-	Q- (5)	Н	٩	н	н
XA1349	СН3-	\$\ldot\phi\	Н	Ŷ,	Н	н
XA1350	снз-		Н	<u></u>	Н	н
XA1351	СН3-		Н	گې	Н	н
XA1352	СН3-		н	Ŷ,	н	н
XA1353	снз-	(T)	н	Ŷ,	н	н
XA1354	снз-		Н	<u></u>	Н	Н
XA1355	СН3-		Н	<u></u>	н	Н
XA1356	СН3-	'CT	Н	Ŷ,	Н	Н
XA1357	СН3-	, Cr	Н	Ŷ,	Н	н
XA1358	СН3-	Ç;	н	Ŷ,	н	н
XA1359	СН3-		н	Ŷ,	н	Н
XA1360	СН3-		н		н	н
XA1361	СН3-	Ţ.	Н	Ŷ,	н	н
XA1362	СН3-	T)	н	<u></u>	н	н
XA1363	СН3-	ÇQ,	Н	°,	н	н
XA1364	СН3-	Ğ.	Н	<u></u>	н	н
XA1365	СН3-	(T}-1	н	<u></u>	Н	н
XA1366	СН3-		н	Ŷ,	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1367	снз-	Ţ.	н	Ŷ,	н	н
XA1368	СН3-	TOS	н	Ů,	н	н
XA1369	СН3-	,CT3	Н	Ŷ,	Н	Н
XA1370	СН3-	Çi;	н	2,	н	н
XA1371	СН3-	CT'r	Н	Å,	н	н
XA1372	CH3-	Ţ'n	н	ů,	н	Н
XA1373	СН3-	TO	н	Ŷ,	н	н
XA1374	СН3-	,CTh	н	Ŷ,	н	н
XA1375	снз-	Ţŗ	н	Ŷ,	н	н
XA1376	СН3-	(C) N → N → N → N → N → N → N → N → N → N	н	Ŷ,	Н	н
XA1377	СН3-	Ç,	Н	<u></u>	н	Н
XA1378	СН3-	, CZ,	н	Ů,	Н	Н
XA1379	СН3-	(I)→	Н	Ŷ,	Н	н
XA1380	снз-	Ž _N	Н		н	н
XA1381	СН3-	'CI'	Н	Ŷ,	Н	н
XA1382	снз-	√ √√°	н	Ŷ,	Н	Н
XA1383	снз-		н	Ŷ,	н	н
XA1384	СН3-	() s	Н	Ŷ,	н	н
XA1385	СН3-	N S	Н	٨	Н	Н
XA1386	СН3-	'CI'S	н	Ŷ,	н	Н
XA1387	снз-	, CI'S	Н	Ŷ,	н	н
XA1388	СН3-	޲s ××	Н	Ŷ,	н	Н

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No.	R1	R2	R3	R4	R5	R6
		Ę				
XA1433	СН3-	<u></u>	CH3-	н	Н	Н
XA1434	СН3-	F-{_}-{	СН3-	н	Н	н
XA1435	СН3-	F-()-{	СН3-	Н	Н	н
XA1436	снз-	F-(){	СН3-	н	н	н
XA1437	СН3-	CI	снз–	н	н	н
XA1438	СН3-	CI	снз-	н	н	н
XA1439	СН3-	c⊢ ()—∤	снз-	Н	н	н
XA1440	СН3-	c⊢ <u></u>	снз-	н	н	н
XA1441	СН3-	C⊢ ()…{	СН3-	Н	Н	н
XA1442	СН3-	Br ∰-	снз-	н	н	Н
XA1443	снз-	Br	СН3-	Н	н	Н
XA1444	СН3-	Br—{_}{	СН3-	н	н	Н
XA1445	СН3-	Br——	СН3-	н	н	н
XA1446	снз-	Br—⟨``···{	СН3-	н	Н	Н
XA1447	СН3-		снз-	н	н	Н
XA1448	СН3-		сн3-	н	Н	н
XA1449	снз-	├ ── 	снз-	Н	Н	Н
XA1450	СН3-	CH₃	снз-	н	н	Н
XA1451	снз-	H ₃ C	снз-	н	н	н
XA1452	СН3-	H ₃ C-{}	снз-	Н	Н	Н
XA1453	снз-	C ₂ H ₅ —{}{	СН3-	Н	н	Н
XA1454	снз-	n-C ₃ H ₇ {}{	СН3-	н	н	н

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No.	R1	R2	R3	R4	R5	R6
110.			110	100	11.5	110
XA1477	СН3-	H ₂ N-()(СН3-	Н	Н	н
XA1478	СН3-	NMe ₂	СН3-	н	н	н
XA1479	снз-	Me ₂ N —}-{	снз-	н	н	н
XA1480	СН3-	Me ₂ N-	снз-	н	н	н
XA1481	СН3-		снз-	н	н	н
XA1482	СН3-	CHQ	снз-	Н	Н	н
XA1483	снз-	(N-()-1	СН3-	Н	Н	Н
XA1484	СН3-	____	CH3-	Н	Н	н
XA1485	снз-	\(\rightarrow\rightarr	снз-	н	н	Н
XA1486	СН3-	___\\	СН3-	Н	н	н
XA1487	СН3-		СН3-	Н	н	Н
XA1488	снз-		СН3-	Н	н	н
XA1489	снз-	O_N-{_}-1	СН3-	Н	Н	н
XA1490	СН3-	H ₃ CN_N_	СН3-	н	н	Н
XA1491	СН3-	H₃CN_N-⟨_}	снз-	н	н	Н
XA1492	снз-	H₃CN_N-{_}-{	снз-	н	Н	н
XA1493	снз-	OCH ₃	СН3-	н	н	н
XA1494	СН3-		СН3-	Н	Н	н
XA1495	СН3-	OCH ₃ F——	СН3-	Н	Н	н.
XA1496	СН3-		СН3-	Н	Н	Н
XA1497	СН3-	CCT'	СН3-	Н	Н	н
XA1498	СН3-	СН3-	н	Н	СН3-	Н

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No.	R1	R2	R3	R4	R5	R6
XA1499	СН3-		Н	н	СН3-	н
XA1500	CH3-	∼ ≻	Н	н	СН3-	н
XA1501	СН3-	Y	Н	н	СН3-	н
XA1502	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н	СН3-	н
XA1503	снз-	人、	н	н	СН3-	Н
XA1504	снз-	~\`\	н	н	СН3-	Н
XA1505	снз-	*	н	H	СН3-	Н
XA1506	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н	СН3-	Н
XA1507	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н	СН3	н
XA1508	снз-	X.	Н	Н	СН3-	н
XA1509	СН3-	*	н	Н	СН3-	н
XA1510	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	СН3-	н
XA1511	СН3-	<u></u>	н	Н	СН3-	Н
XA1512	СН3-	^^^\	Н	н	СН3-	н
XA1513	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	СН3-	н
XA1514	СН3-	n-C8H17-	н	Н	СН3-	н
XA1515	сн3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н	СН3-	н
XA1516	CH3-	Q	н	Н	снз-	н
XA1517	СН3-		н	Н	сн3-	Н
XA1518	СН3-		н	Н	СН3-	н
XA1519	СН3-	> →	н	Н	снз-	н
XA1520	СН3-	\Diamond	Н	н	снз-	н

No.	R1	R2	R3	R4	R5	R6
XA1521	снз-		н	Н	снз-	н
XA1522	СН3-	\bigcirc	Н	н	СН3-	н
XA1523	СН3-	\bigcirc	Н	Н	СН3-	Н
XA1524	СН3-		н	H	снз-	н
XA1525	СН3-		Н	н -	снз-	Н
XA1526	СН3-	<u></u>	Н	H	СН3-	Н
XA1527	СН3-	F 	н .	Н	СН3-	Н
XA1528	снз-	F	н	н	снз-	Н
XA1529	СН3-	F(-)(Н	н	СН3-	Н
XA1530	СН3-	F-(>-{	Н	н	СН3-	Н
XA1531	снз-	F(н	н	СН3-	н
XA1532	СН3-	CI CI	Н	Н	СН3-	н
XA1533	СН3-	CI	н	н	СН3-	н
XA1534	СН3-	c⊢(_ <u>}</u> -;	н	Н	СН3-	н
XA1535	снз-	c⊢ (}-(Н	н	СН3-	н
XA1536	СН3-	c⊢(_)(Н	н	СН3-	н
XA1537	снз-	Br ∰-∤	Н	н	СН3-	Н
XA1538	снз-	Br.	н	н	снз-	Н
XA1539	снз-	Br { }	Н	Н	СН3-	Н
XA1540	снз-	Br— (Н	н	СН3-	Н
XA1541	снз-	Br—⟨`m-{	н	н	СН3-	н
XA1542	снз-	□	Н	н	CH3-	н

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No.	R1	R2	R3	R4	R5	R6
XA1609	СН3-	n-C8H17-	н	Н	СН3-	CH3-
XA1610	СН3-	L~~~	н	Н	СН3-	СН3-
XA1611	СН3-		н	Н	СН3-	СН3-
XA1612	СН3-		н	н	СН3	снз–
XA1613	снз-		н	Н	снз-	снз-
XA1614	снз-	$\triangleright \dashv$	н	н	СН3-	снз–
XA1615	СН3-	\Diamond	Н	Н	CH3~	СН3-
XA1616	снз-	\bigcirc	н	Н	СН3-	СН3-
XA1617	СН3-	$\bigcirc \!$	н	Н	СН3-	СН3-
XA1618	снз-	$\bigcirc \vdash$	Н	Н	СН3-	СН3-
XA1619	СН3-	\bigcirc	Н	Н	СН3-	СН3-
XA1620	снз-	\bigcirc	н	Н	CH3-	СН3-
XA1621	СН3-		Н	н	СН3-	СН3-
XA1622	СН3-	F	Н	н	СН3-	СН3-
XA1623	СН3-	F.	Н	н	СН3-	СН3-
XA1624	СН3-	F-(-)(н	Н	СН3-	СН3-
XA1625	СН3-	F-(-)(Н	н	СН3-	СН3-
XA1626	СН3-	F	н	н	СН3-	СН3-
XA1627	СН3-	CI	н	Н	СН3-	СН3-
XA1628	СН3-	CI	н	н	СН3-	СН3-
XA1629	СН3-	c⊢ <u>(</u> }	н	Н	СН3-	CH3-
XA1630	СН3-	c⊢ (_> -(н	Н	СН3-	СН3-

No.	R1	R2	R3	R4	R5	R6
		()				
XA1631	CH3-	C-{_}\\	Н	Н	СН3-	СН3-
XA1632	СН3-	Br —∤	Н	н	СН3-	СН3-
XA1633	СН3-	Br	н	н	СН3-	снз-
XA1634	СН3-	Br- (){	н	Н	СН3-	СН3-
XA1635	снз-	Br—	Н	н	СН3-	СН3-
XA1636	снз-	Br—(н	Н	снз-	СН3-
XA1637	СН3-		Н	н	снз-	СН3-
XA1638	снз-		н	Н	СН3-	СН3-
XA1639	снз-	- -	н	н	СН3-	СН3-
XA1640	снз-	CH₃	Н	Н	снз-	СН3-
XA1641	снз-	H ₃ C	Н	н	СН3-	СН3-
XA1642	СН3-	H ₃ C-{}-{	Н	Н	СН3-	СН3-
XA1643	Сн3-	C ₂ H ₅ —{{}	н	н	снз-	CH3-
XA1644	СН3-	n-C ₃ H ₇ -<	н	н	СН3-	СН3-
XA1645	СН3-	n-C ₄ H ₉ -{_}-{	Н	Н	снз-	СН3
XA1646	СН3-	OH →	Н	H	СН3-	CH3-
XA1647	СН3-	HO ———	н	Н	СН3-	СН3-
XA1648	СН3-	HO-{\bar{\bar{\bar{\bar{\bar{\bar{\bar	Н	н	СН3-	CH3-
XA1649	СН3-	OCH ₃	Н	Н	СН3-	СН3-
XA1650	СН3-	H₃CO —∤	н	н	СН3-	СН3-
XA1651	СН3-	H ₃ CO-{_}-{	Н	н	СН3-	СН3-
XA1652	СН3-	H ₃ CO-{_}-{	н	Н	СН3-	СН3-

No.	R1	R2	R3	R4	R5	R6
		()				
XA1653	CH3-	H ₃ CO-()····{	H	Н	СН3-	CH3-
XA1654	снз-	OC ₂ H ₅	н	н	снз-	СН3-
XA1655	снз-	C ₂ H ₅ O	н	Н	снз-	СН3-
XA1656	СН3-	C ₂ H ₅ O-{}-{	н	Н	снз-	СН3-
XA1657	СН3-	n-C ₃ H ₇ O-{_}-{	н	Н	СН3-	CH3-
XA1658	СН3-	n-C ₄ H ₉ O-{}-{	н	н	СН3-	СН3-
XA1659	СН3-	NO ₂	н	н	СН3	снз-
XA1660	снз-	O ₂ N	н	Н	СН3-	СН3-
XA1661	снз-	O ₂ N-{_}	н	Н	СН3-	снз-
XA1662	CH3-	CN →	Н	Н	СН3-	СН3
XA1663	СН3-	NC	н	Н	снз-	СН3-
XA1664	СН3-	NC-{}	н	Н	снз-	СН3-
XA1665	СН3-	NH₂ →	Н	Н	СН3-	СН3-
XA1666	СН3-	H₂N ———	н	н	СН3-	снз-
XA1667	СН3	H ₂ N-(н	н	СН3-	СН3-
XA1668	снз-	NMe ₂	н	Н	СН3-	снз-
XA1669	снз-	Me ₂ N —}	н	Н	СН3-	снз–
XA1670	снз-	Me ₂ N-\(\)	Н	Н	СН3-	снз-
XA1671	снз-	CN-<	н	Н	СН3-	СН3-
XA1672	снз-		н	н	снз-	снз-
XA1673	снз-	(n-()-1	Н	Н	снз-	снз-
XA1674	снз-	_v-_>	н	Н	снз-	снз-

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No.	R1	R2	R3	R4	R5	R6
XA1675	снз-	(n-(_)	н	Н	снз-	СН3-
XA1676	СН3-	_\-_\-\	н	Н	СН3-	CH3-
XA1677	Сн3-	o_v- <u>⟨</u> >	н	н	СН3-	СН3
XA1678	СН3-		н	н	снз-	СН3-
XA1679	Сн3-	O_N-{_}-{	н	Н	СН3-	СН3-
XA1680	снз-	H ₃ CN N—	н	Н	СН3-	СН3-
XA1681	снз-	H₃CN_N-⟨_}	Н	Н	CH3-	снз-
XA1682	снз-	H³CN_N-{}-}	н .	н	СН3-	СН3-
XA1683	снз-	' 🖤 '	н	Н	СН3-	снз-
XA1684	снз-		Н	н	снз-	СН3-
XA1685	СН3-	OCH ₃ F———	Н	н	СН3-	СН3-
XA1686	СН3-		Н	н	СН3-	СН3-
XA1687	снз-		Н	н	СН3-	СН3-
XA1688	СН3-	СН3-	Н	СН3-	СН3-	СН3-
XA1689	СН3-	СН3СН2-	н	СН3-	СН3-	СН3-
XA1690	снз-	◇ \\	н	СН3-	CH3-	СН3-
XA1691	снз-	\uparrow	Н	СН3-	СН3-	СН3-
XA1692	снз-	√ ~\`\	Н	СН3-	СН3-	СН3-
XA1693	снз-	人人	Н	СН3-	CH3-	СН3-
XA1694	СН3-		Н	СН3-	СН3-	СН3-
XA1695	СН3-	丫	Н	снз-	СН3-	СН3-
XA1696	СН3-	^ ✓~``\	н	снз-	СН3-	СН3-

No.	R1	R2	R3	R4	R5	R6
XA1697	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	СН3-	снз-	снз-
XA1698	СН3-	大小	н	снз-	снз-	СН3-
XA1699	СН3-	7	н	снз-	снз-	СН3-
XA1700	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	снз-	снз-	СН3-
XA1701	снз-	人、	н	СН3-	снз-	СН3-
XA1702	СН3-	^~~\	н	СН3-	снз-	СН3-
XA1703	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	СН3-	СН3-	СН3-
XA1704	снз-	n-C8H17-	н	СН3-	СН3-	СН3-
XA1705	СН3-		Н	СН3-	СН3-	СН3-
XA1706	СН3-		н	СН3-	СН3-	СН3-
XA1707	снз-		Н	СН3-	СН3-	CH3-
XA1708	СН3-		н	СН3-	СН3-	СН3-
XA1709	СН3	\triangleright	н	СН3-	СН3-	CH3-
XA1710	СН3-	\Diamond	н	СН3-	СН3-	СН3-
XA1711	СН3-	$\bigcirc \!$	н	СН3-	СН3-	CH3-
XA1712	СН3-	\bigcirc \dashv	н	СН3-	СН3-	СН3-
XA1713	СН3-	$\bigcirc \dashv$	н	СН3-	СН3-	СН3-
XA1714	СН3-	◯ →	Н	СН3-	СН3-	CH3-
XA1715	СН3-	◇ -	н	СН3-	СН3-	СН3-
XA1716	СН3-		н	СН3-	СН3-	СН3-
XA1717	СН3-		н	СН3-	СН3-	СН3-
XA1718	СН3-	<u></u>	н	СН3-	СН3-	СН3-

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No.	R1	IR2	R3	R4	R5	R6
		F-{_}-{				
XA1719	CH3-	, ,	Н	CH3-	CH3-	СН3-
XA1720	снз-	F-{>-{	н	СН3-	СН3-	снз-
XA1721	СН3-	F-()	Н	СН3-	СН3-	снз–
XA1722	снз–	CI	н	СН3-	СН3-	снз-
XA1723	СН3-	CI ———	н	снз-	снз-	снз–
XA1724	снз-	C├ { }-{	н	снз-	снз-	СН3-
XA1725	снз-	C⊢(<u></u>)—{	н	СН3-	снз-	CH3-
XA1726	СН3-	CH	н	снз-	снз-	СН3-
XA1727	СН3-	Br	Н	СН3-	СН3-	СН3-
XA1728	снз-	Br	н	CH3-	СН3-	СН3-
XA1729	СН3-	Br- (){	н	СН3-	СН3-	CH3-
XA1730	СН3-	Br—	н	СН3-	СН3-	СН3-
XA1731	СН3-	Br—€	Н	СН3-	СН3-	СН3
XA1732	снз-		н	СН3-	СН3-	СН3-
XA1733	СН3-		н	снз-	СН3-	снз-
XA1734	снз-	<u> </u>	н	СН3-	снз-	снз-
XA1735	снз-	CH ₃	Н	снз-	снз-	СН3-
XA1736	снз-	H₃C <u></u> }—{	H	CH3-	СН3-	CH3-
XA1737	СН3	H ₃ C-{}-{	н	снз-	СН3-	CH3-
XA1738	Сн3-	C ₂ H ₅ {_}	Н	снз-	снз-	CH3-
XA1739	СН3-	n-C ₃ H ₇ {_}-{	н	снз-	снз-	снз-
XA1740	СН3-	n-C ₄ H ₉ -	н	СН3-	СН3-	CH3-

The second control of the second control of the second

No.	R1	R2	R3	R4	R5	R6
		OH		0.10	OU O	OH2
XA1741	CH3-	HO HO	Н	СН3-	CH3~	CH3-
XA1742	снз-		Н	СН3-	СН3-	СН3-
XA1743	СН3-	но-{_}-	Н	СН3-	снз-	снз–
XA1744	снз-	OCH₃ ◯	н	СН3-	СН3-	снз-
XA1745	СН3-	H ₃ CO	н	СН3-	СН3-	снз-
XA1746	СН3-	H₃CO - {_}	н	СН3-	снз-	СН3
XA1747	СН3-	H₃CO- ⟨_ }-{	н	СН3-	СН3-	снз–
XA1748	СН3-	H ₃ CO-{_}\\	н	СН3-	СН3-	снз-
XA1749	СН3-	OC₂H₅	Н	СН3-	СН3-	снз-
XA1750	СН3-	C ₂ H ₅ O ⟨}–{	н	СН3-	СН3-	снз-
XA1751	СН3-	C ₂ H ₅ O-{{}}	н	СН3-	сн3-	снз-
XA1752	СН3-	n-C ₃ H ₇ O-{}-{	н	СН3-	СН3-	снз-
XA1753	СН3-	n-C ₄ H ₉ O-{}-{	Н	СН3-	снз-	снз-
XA1754	снз-	NO ₂	н	СН3	снз-	снз-
XA1755	снз-	O ₂ N	н .	СН3-	снз-	снз-
XA1756	снз-	O ₂ N-{}	н	СН3-	сн3-	СН3-
XA1757	снз-	CN CN	Н	снз-	снз-	СН3-
XA1758	СН3-	NC	н	СН3-	сн3-	СН3-
XA1759		NC-{_}-{	н	снз-	СН3-	СН3-
XA1760	СН3-	NH ₂	н	СН3-	снз-	СН3-
XA1761	СН3-	H ₂ N —}	н	снз-	снз-	CH3-
XA1762	СН3-	H ₂ N-	Н	СН3-	снз-	снз-

NI-	R1	R2	R3	lp4	R5	loe
No.	IKI	NMe ₂	IR3	R4	R5	R6
XA1763	СН3-		н	СН3-	СН3-	снз-
XA1764	снз-	Me ₂ N —>—∢	н	СН3-	снз-	снз-
XA1765	СН3-	Me ₂ N-{}	н	снз-	снз-	СН3-
XA1766	снз-		Н	снз-	снз-	снз-
XA1767	Сн3-		н	снз-	снз	снз-
XA1768	СН3-	C~-⟨>-¹	Н	СН3-	СН3-	СН3-
XA1769	снз-		Н	СН3	СН3-	снз-
XA1770	СН3-	(n-()	н	снз-	СН3-	снз-
XA1771	снз-	<u>_</u>	н	СН3-	СН3-	снз-
XA1772	снз-		н	СН3-	снз-	снз-
XA1773	СН3-		Н	СН3-	СН3-	снз-
XA1774	СН3-	<u></u>	н	СН3-	СН3-	СН3
XA1775	снз-	H ₃ CN N-	н	снз-	СН3-	СН3-
XA1776	СН3-	H³CN_N-⟨_}	н	СН3-	СН3-	СН3-
XA1777	Снз-	H³CN_N-{}-\	н .	CH3-	СН3-	CH3-
XA1778	СН3-	OCH ₃	н	снз-	СН3-	CH3-
XA1779	СН3-	OCH ₃ F—{	н	CH3~	СН3-	снз-
XA1780	СН3-	OCH ₃ F—O····{	н	СН3-	СН3-	снз-
XA1781	СН3-		н	CH3-	СН3-	СН3-
XA1782	снз-	CCJ'	н	СН3-	СН3-	снз-
XA1783	СН3СН2-	СН3-	н	Н	Н	н
XA1784	СН3СН2-	СН3СН2-	н	Н	н	Н

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No.	R1	R2	R3	R4	R5	R6
XA1785	СН3СН2-	~ ^\	н	Н	Н	Н
XA1786	снзсн2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н	Н	Н
XA1787	снзсн2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	н	н	Н
XA1788	СН3СН2-	人工	н	Н	Н	н
XA1789	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н	Н	н
XA1790	СН3СН2-	7	н	Н	н	н
XA1791	СН3СН2-	^	н	Н	Н	Н
XA1792	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	н	Н
XA1793	СН3СН2-	Xx	н	н	н	Н
XA1794	СН3СН2-	γ	Н	Н	н	н
XA1795	СН3СН2-	\\\\	Н	Н	н	Н
XA1796	СН3СН2-		Н	н	Н	н
XA1797	СН3СН2-	^ ✓~✓·	н	н	н	Н
XA1798	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	н	н	Н
XA1799	СН3СН2-	n-C8H17-	н	Н	н	н
XA1800	СН3СН2-		н	Н	н	Н
XA1801	СН3СН2-		н	Н	Н	Н
XA1802	СН3СН2-		Н	Н	Н	Н
XA1803	СН3СН2-		н	Н	Н	Н
XA1804	СН3СН2-	$\triangleright \rightarrow$	Н	Н	Н	н
XA1805	СН3СН2-	\Diamond \dashv	н	Н	Н	н
XA1806	СН3СН2-	$\bigcirc \dashv$	Н	н	н	Н

No.	R1	R2	R3	R4	R5	R6
140.			17.5	114	1170	170
XA1807	СН3СН2-	\bigcirc	Н	н	Н	Н
XA1808	СН3СН2-		н	Н	н	Н
XA1809	СН3СН2-	◯ ;	н	Н	н	н
XA1810	СН3СН2-		н	Н	Н	н
XA1811	СН3СН2-	⊘ {	н	Н	Н	н
XA1812	СН3СН2-	Ğ -;	Н	н	Н	н
XA1813	СН3СН2-	F	н	н	Н	н
XA1814	СН3СН2-	F-(Н	н	Н	н
XA1815	СН3СН2-	F-(-)(н	Н	н	н
XA1816	снзсн2-	F-(н	н	Н	н
XA1817	СН3СН2-	CI →	н	Н	Н	Н
XA1818	СН3СН2-	CI	н	н .	Н	н
XA1819	СН3СН2-	C⊢ (){	Н	Н	Н	н
XA1820	СН3СН2-	C⊢ (_)~{	Н	Н	Н	Н
XA1821	СН3СН2-	CH	Н	н	н	н
XA1822	СН3СН2-	Br ∰-{	Н	н	Н	н
XA1823	СН3СН2-	Br.	н	Н	н	н
XA1824	СН3СН2-	p. /\	н	н	н	н
XA1825	СН3СН2-	Br- ()	Н	Н	н	н
XA1826	СН3СН2-	Br—(Н	н	н	Н
XA1827	СН3СН2-	⟨ }-;	Н	н	н	н
XA1828	СН3СН2-	<u> </u>	Н	Н	Н	н

No.	R1	R2	R3	R4	R5	R6
XA1829	СН3СН2-	I—(Н	Н	н	Н
XA1830	СН3СН2-	CH₃	н	Н	н	Н
XA1831	СН3СН2-	H ₃ C	н	Н	Н	н
XA1832	СН3СН2-	H ₃ C-{{}}	н	н	Н	н
XA1833	СНЗСН2-	C ₂ H ₅ -{}-{	н	Н	Н	Н
XA1834	СН3СН2-	n-C ₃ H ₇ -{{}}-{_{{1}}}	н	Н	Н	н
XA1835	СНЗСН2-	n-C ₄ H ₉ {}-{	н	н	Н	н
XA1836	СНЗСН2-	OH	н	н	Н	н
XA1837	СН3СН2-	HO —	н	Н	н	н
XA1838	снзсн2-	HO-{\bar{\bar{\bar{\bar{\bar{\bar{\bar	н	Н	Н	н
XA1839	СН3СН2-	OCH₃	н	Н	н	Н
XA1840	СН3СН2-	H ₃ CO	н	н	Н	н
XA1841	СН3СН2-	H ₃ CO-{}-{	н	Н	н	Н
XA1842	СН3СН2-	H₃CO- (_) - 1	Н	Н	Н	н
XA1843	СН3СН2-	H ₃ CO-{\bigs\mid_m\dagger}	Н	Н	н	н
XA1844	СН3СН2-	OC ₂ H ₅	Н	н	Н	н
XA1845	СН3СН2-	C ₂ H ₅ O	Н	н	н	н
XA1846	СН3СН2-	C ₂ H ₅ O-{}-{	Н	н	н	н
XA1847	СН3СН2-	n-C ₃ H ₇ O-{}-{	н	н	Н	Н
XA1848	СН3СН2-		Н	н	н	Н
XA1849	СН3СН2-	NO₂ —{	Н	н	Н	Н
XA1850	СН3СН2-	O₂N →	н	Н	Н	Н

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No.	R1	R2	R3	R4	R5	R6
		OCH ₃				
XA1873	СН3СН2-	F-(_);	Н	H	Н	Н
XA1874	СН3СН2-	OCH ₃	Н	н	н	Н
XA1875	снзсн2-	OCH ₃ F——	н	Н	н	Н
XA1876	снзсн2-		н	Н	Н	н
XA1877	снзсн2-		Н	н	н	н
XA1878	СНЗСН2-	СН3-	н	СН3-	н	н
XA1879	снзсн2-	снзсн2-	Н	СН3-	Н	н
XA1880	снзсн2-	△ \\	н	СН3-	н	н
XA1881	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	СН3-	Н	н
XA1882	СНЗСН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3-	н	н
XA1883	СН3СН2-	人、	н	СН3-	Н	н
XA1884	снзсн2-	$ \uparrow $	Н	СН3-	н	Н
XA1885	СН3СН2-	*	н	СН3-	н	Н
XA1886	СН3СН2-	◇	Н	СН3-	н	н
XA1887	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3-	н	н
XA1888	СНЗСН2-	<u> </u>	Н	СН3-	н	Н
XA1889	СН3СН2-	7	Н	СН3-	Н	н
XA1890	снзсн2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3-	Н	Н
XA1891	снзсн2-		Н	СН3-	Н	н
XA1892	снзсн2-	~~~ ∖	Н	СН3-	н	н
XA1893	снзсн2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	CH3-	Н	н
XA1894	СН3СН2-	n-C8H17-	н	СН3-	н	н

No.	R1	R2	R3	R4	R5	R6
XA1917	снзсн2-	Br	н	СН3-	н	н
XA1918	снзсн2-	Br. —∤	Н	СН3-	н	н
XA1919	СН3СН2-	Br- (){	н	СН3-	н	Н
XA1920	СН3СН2-	Br— ()→{	н	СН3-	Н	н
XA1921	СН3СН2-	Br-∕_∑™∜	н	СН3-	н	Н
XA1922	СН3СН2-	<u></u>	н	СН3-	н	н
XA1923	СН3СН2-	<u></u>	Н	СН3-	н	Н
XA1924	СН3СН2-	├ ───	н	СН3-	н	Н
XA1925	СН3СН2-	CH₃	н	СН3	н	н
XA1926	СН3СН2-	H ₃ C	Н	СН3-	н	Н
XA1927	СН3СН2-	H ₃ C-{}-{	Н	СН3-	н	н
XA1928	СН3СН2-	C ₂ H ₅ —{}—{	н	СН3-	н	Н
XA1929	СН3СН2-	n-C₃H ₇ {_}}-{	н	СН3-	н	Н
XA1930	СН3СН2-	n-C ₄ H ₉ -	Н	CH3-	Н	н
XA1931	СН3СН2-	OH ○	н	СН3	Н	н
XA1932	Снзсн2-	HO	Н	СН3-	Н	н
XA1933	СН3СН2-		Н	СН3-	Н	н
XA1934	СН3СН2-	OCH ₃	Н	СН3-	Н	Н
XA1935	СН3СН2-	H ₃ CO	н	СН3-	Н	н
XA1936	СН3СН2-	H₃CO - {_}}–{	н	СН3-	Н	н
XA1937	СН3СН2-	H₃CO- { }	Н	СН3-	Н	н
XA1938	СН3СН2-	H₃CO- ⟨ }\{	н	СН3-	н	н

No.	R1	R2	R3	R4	R5	R6
		OC ₂ H ₅				
XA1939	CH3CH2-	 <	H	CH3-	Н	H
XA1940	СН3СН2-	C ₂ H ₅ O	н	СН3-	Н	н
XA1941	снзсн2-	C ₂ H ₅ O-{}-{	н	СН3-	Н	н
XA1942	СН3СН2-	n-C ₃ H ₇ O-{_}_{}	н	снз-	Н	н
XA1943	СН3СН2-	n-C ₄ H ₉ O-{_}-{	н	снз-	Н	H
XA1944	СН3СН2-	NO ₂	н	снз-	Н	н
XA1945	снзсн2-	O ₂ N	н	снз-	н	н
XA1946	снзсн2-	O ₂ N-{}-{	н	СН3-	Н	н
XA1947	Снзсн2-	CN	н	снз~	Н	н
XA1948	снзсн2-	NC —>—;	н	СН3-	н	н
XA1949	снзсн2-	NC-{}	Н	CH3-	Н	Н
XA1950	СН3СН2-	NH ₂ →	Н	СН3-	Н	н
XA1951	СН3СН2-	H ₂ N	Н	СН3-	н	н
XA1952	снзсн2-	H_2N	н	снз-	н	Н
XA1953	СН3СН2-	NMe₂	Н	СН3-	Н	Н
XA1954	СН3СН2-	Me ₂ N →	н	снз-	н	н
XA1955	СН3СН2-	Me ₂ N-{	Н	СН3-	Н	н
XA1956	снзсн2-		Н	снз-	н	Н
XA1957	СН3СН2-		н	СН3-	Н	н
XA1958	СН3СН2-	_N-{_}-1	н	СН3-	Н	Н
XA1959	СН3СН2-		н	снз-	н	Н
XA1960	СН3СН2-	(n-(2)	Н	СН3-	н	Н

No.	R1	R2	R3	R4	R5	R6
XA1961	СНЗСН2-	_\-_\-\	н	снз-	Н	н
XA1962	СН3СН2-		н	снз-	н	н
XA1963	СН3СН2-		н	СН3-	н	н
XA1964	снзсн2-	o_n-<>->	н	снз-	н	н
XA1965	СНЗСН2-	H ₃ CN N—	н	снз-	н	н
XA1966	СНЗСН2-	H₃CN_N-⟨_}	н	СН3-	Н	н
XA1967	СНЗСН2-	H3CN_N-{}-{	н	снз-	Н	Н
XA1968	СНЗСН2-	OCH ₃	н	снз-	Н	н
XA1969	СН3СН2-		н	СН3	Н	Н
XA1970	СН3СН2-	OCH ₃ F———	н	СН3-	н	Н
XA1971	СН3СН2-		Н	СН3-	Н	Н
XA1972	СН3СН2-	CCT'	н	СН3-	н	н

No.	STRUCTURE
XA1973	
	h, ä.,
XA1974	,N.
	Br N
	N LH,
	N CH,
XA1975	
	N,C o
XA1976	
	ан ан
	N OH,
XA1977	
	CH CH
	· ~ · · ·
XA1978	
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XA1979	
XA1980	на [
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XA1981	Ha Ha Ha N N N N N N N N N N N N N N N N
XA1982	Ha Ha Ha Ha N
XA1983	CH CH N
XA1984	CIH CH N N N N N N N N N N N N N N N N N N
XA1985	
XA1986	
XA1987	CIH CH N N N N N N N N N N N N N N N N N N
XA1988	HG H

r	
XA1989	Ha Ha Ha N
XA1990	HG H
XA1991	E P P P P P P P P P P P P P P P P P P P
XA1992	B
XA1993	
XA1994	
XA1995	
XA1996	CH CH N

XA1997	
XA1998	CIH CIH N N N N N N N N N N N N N N N N N N N
XA1999	HG CH CH CH N N CH
XA2000	
XA2001	OH CH
XA2002	он ў он ў , цо сы,
XA2003	
XA2004	HO HO Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z

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XA2005	Ha Ha N N N N N N N N N N N N N N N N N
XA2006	NGI HGI N
XA2007	HO HO HO N N N N N N N N N N N N N N N N
XA2008	H,C, Q, W, M, C, Q, W, M,
XA2009	
XA2010	HO HO N O O O O O O O O O O O O O O O O
XA2011	

XA2012	N N
	H,C-SOOH NO CH,
XA2013	HCI HCI N N N N N N N N N N N N N N N N N N N
XA2014	CH, HCI HCI HCI N
XA2015	HO HO N H
XA2016	HO AND
XA2017	HG H
XA2018	
XA2019	

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XA2020	
XA2021	4c y a man and a
XA2022	
XA2023	OH OH NO OH
XA2024	HO-CN CH,
XA2025	H ₂ C H ₄
XA2026	H,C N N N N N N N N N N N N N N N N N N N
XA2027	H,C, Q,O
XA2028	

XA2029	
XA2030	
XA2031	H,C N LH,
XA2032	
XA2033	
XA2034	
XA2035	

XA2036	
XA2037	a
XA2038	
XA2039	\$-N
XA2040	
XA2041	
XA2042	N.C. C.
XA2043	H,C \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \

V40044	
XA2044	
XA2045	H,C N N N N N N N N N N N N N N N N N N N
XA2046	H,c CH, N N N N N N N N N N N N N N N N N N N
XA2047	H ₃ C N N N N N N N N N N N N N N N N N N N
XA2048	H ₂ N
XA2049	H ₂ C O N N N N N N O OH,
XA2050	
XA2051	g - 2 - 2 - 3 - 3 - 3 - 3 - 3 - 3 - 3 - 3

XA2052	
XA2053	e Variable Control of the Control of
XA2054	
XA2055 , .	

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Table-2					
		(^N)			
		R ₃ R ₂ N N N R ₄ R ₅			
No	R1	R2	R3	R4	R5
XB1	снз-	СН3-	н	Н	н
XB2	CH3-	снзсн2-	Н	Н	н
XB3	CH3-	△ ✓	н	Н	н
XB4	СН3-	Y'\	н	Н	н
XB5	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	н
XB6	СН3-	\r	Н	Н	Н
XB7	СН3-	7	н	н	Н
XB8	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н	Н
XB9	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	н
XB10	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	н	Н
XB11	СН3-	^^^\	н	Н	Н
XB12	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	Н
XB13	CH3-	Q	Н	н	н
XB14	СН3-		Н	н	н
XB15	СН3-		н	Н	Н
XB16	СН3-	<u></u>	н	н	н
XB17	СН3-	-	Н	Н	н

No	R1	R2	R3	R4	R5
XB18	СН3-	F	Н	Н	Н
XB19	СН3-	F-{}-{	н	н	Н
XB20	СН3-	CI →	Н	н	н
XB21	СН3-	CI	н	Н	Н
XB22	снз-	CH{}	Н	Н	н
XB23	снз-	Br	Н	Н	н
XB24	снз-	Br.	Н	Н	н
XB25	снз-	Br- (_){	н	Н	Н
XB26	снз-	CH ₃	н	Н	Н
XB27	снз-	H ₃ C	Н	Н	н
XB28	СН3-	H₃C-⟨}_{}	Н	Н	Н
XB29	СН3-	C ₂ H ₅ {	Н	Н	Н
XB30	СН3-	ОН	н	Н	н
XB31	СН3-	HO ———	Н	Н	Н
XB32	СН3-	но-{}-}	Н	Н	Н
XB33	СН3-	OCH₃ <a>> <a>> <a>	Н	Н	н
XB34	снз-	H ₃ CO	н	н	н
XB35	СН3-	H₃CO- ⟨ _}–{	н	Н	н
XB36	снз-	C ₂ H ₅ O- ⟨ _}-{	н	Н	Н
XB37	снз-	NO ₂	н	н	Н
XB38	снз-	O ₂ N	Н	Н	Н

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XB58

XB59

No	R1	R2	R3	R4	R5
XB60	СН3-	CH ₃	он	н	н
XB61	снз-	H ₃ C	он	н	н
XB62	СН3-	H₃C-{	он	н	н
XB63	снз-	C ₂ H ₅ {}{	он	Н	н
XB64	снз-	OH	он	Н	н
XB65	СН3-	HO —	он	Н	н
XB66	СН3-	HO-{\bigcirc}-4	он	Н	н
XB67	СН3-	OCH₃ <	он	н	Н
XB68	СН3-	H ₃ CO	он	н	Н
XB69	СН3-	H₃CO-⟨\-{	он	н	Н
XB70	снз-	C ₂ H ₅ O-{}-{	он	Н	н
XB71	СН3-	NO ₂	он	н	Н
XB72	СН3-	O ₂ N	он	н	н
XB73	СН3-	O ₂ N-{{}	он	н	Н
XB74	СН3-	CN	он	н	Н
XB75	СН3-	NC	он	н	н
XB76	снз-	NC-{}	он	н	н
XB77	СН3-	ChO	он	н	Н
XB78	снз-		он	н	н
XB79	СН3-	CC,	он	н	н
XB80	снз-		CN	Н	Н

No	R1	R2	R3	R4	R5
XB81	снз-	F -;	CN	н	Н
XB82	снз-	F	CN	н	Н
XB83	снз-	F-(-){	CN	н	Н
XB84	СН3-	CI	CN	н	н
XB85	СН3-	CI	CN	н	Н
XB86	СН3-	CI-(CN	H	Н
XB87	СН3-	Br —{	CN	Н	н
XB88	СН3-	Br{	CN	Н	Н
XB89	СН3-	Br-{_}{	CN	Н	н
XB90	СН3-	CH ₃	CN	н	н
XB91	СН3-	H ₃ C	CN	н	Н
XB92	СН3-	H ₃ C-{{}}	CN	Н	Н
XB93	СН3-	C ₂ H ₅ —{}	CN	н	Н
XB94	СН3-	OH OH	CN	Н	Н
XB95	снз-	HO HO	CN	Н	н
XB96	СН3-	HO-{\bar{\bar{\bar{\bar{\bar{\bar{\bar	CN	Н	Н
XB97	СН3-	OCH ₃	CN	Н	н
XB98	СН3-	H ₃ CO	CN	Н	н
XB99	СН3-	H ₃ CO-{{}	CN	н	Н
XB100	СН3-	C ₂ H ₅ O-{	CN	н	н
XB101	СН3-	NO ₂	CN	н	н

No	R1	R2	R3	R4	R5
XB102	CH3-	O ₂ N	CN	н	Н
XB103	CH3-	O ₂ N-{	CN	Н	н
XB104	СН3-	CN	CN	н	Н
XB105	СН3-	NC ————————————————————————————————————	CN	н	н
XB106	СН3-	NC-{\rightarrow}	CN	Н	Н
XB107	СН3-		CN	Н	н
XB108	СН3-		CN	н	н
XB109	СН3-	CCT	CN	н	Н
XB110	СН3-	Н	н	СН3-	н
XB111	СН3-	н	н	СН3СН2-	Н
XB112	СН3-	Н	н	^ \	н
XB113	СН3-	Н	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н
XB114	СН3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н
XB115	СН3-	Н	Н	<u></u>	Н
XB116	СН3-	Н	н	Y `	Н
XB117	СН3-	н	Н	^	Н
XB118	СН3-	Н	H	\ \\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н
XB119	СН3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н
XB120	снз-	н	н	^	Н
XB121	СН3-	Н	Н		H
XB122	снз-	Н	Н	Q	Н

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No	R1	R2	R3	R4	R5
XB123	снз-	Н	н	FOH	Н
XB124	СН3-	Н	н	F OCH ₃	н
XB125	CH3-	Н	н		н
XB126	СН3-	Н	н		н
XB127	СН3-	н	н	◯ ≀	н
XB128	CH3~	Н	н		н
XB129	СН3-	Н	Н	F ;	н
XB130	СН3-	н	н	F(>{	н
XB131	снз-	Н	н	CI	н
XB132	СН3-	н	н	CI	н
XB133	снз-	н	н	C⊢∕\{	н
XB134	CH3-	Н	н	CI C⊢——{	н
XB135	СН3-	Н	н	Br →	н
XB136	снз-	н	н	Br.	н
XB137	снз-	Н	н	Br—{}	н
XB138	СН3-	н	н	CH ₃	н
XB139	снз-	Н	н	H ₃ C	н
XB140	снз-	н	Н	H ₃ C-{_}{	н
XB141	снз-	Н	н	C ₂ H ₅ {}-{	н
XB142	снз-	Н	Н	он	н
XB143	СН3-	н	н	HO —	н

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No	R1	R2	R3	R4	R5
XB144	снз-	Н	н	HO-{_}-{}	н
XB145	снз-	н	Н	OCH ₃	Н
XB146	снз-	Н	Н	H ₃ CO	Н
XB147	СН3-	н	Н	H ₃ CO-{\bigcirc}{\bigcirc}	н
XB148	снз-	Н	Н	C ₂ H ₅ O-{}-{	Н
XB149	снз-	н	Н	NO ₂	Н
XB150	СН3-	н	н	O ₂ N	Н
XB151	снз-	Н	Н	O ₂ N-{_}{	Н
XB152	CH3-	Н	н	CN	Н
XB153	СН3-	Н	Н	NC.	н
XB154	снз-	Н	н	NC-{}	н
XB155	снз-	Н	Н		н
XB156	снз-	н	н		н
XB157	снз-	н	Н	F	Н
XB158	СН3-	Н	Н	FON	Н
XB159	снз-	Н	Н	F Ch	Н
XB160	снз-	н	н		н
XB161	СН3-	Н	Н	\times_s^N—i	н
XB162	СН3-	Н	Н	Cris-o	н
XB163	СН3-	Н	н	O ^{t,}	н
XB164	СН3-	Н	н	FO _B y	н

No	R1	R2	R3	R4	R5
XB165	снз-	н	н	Ω _N λ ċh,	Н
XB166	снз-	Н	Н	F N'A	Н
XB167	снз-	н	н	H ₃ C 0	Н
XB168	СН3-	н	н	H ₃ C O	н
XB169	СН3-	н	Н		он
XB170	СН3-	Н	н		он
X8171	СН3-	н	Н	F{}	он
XB172	СН3-	н	н	F-(-);	он
XB173	снз-	Н	н	CI	он
XB174	СН3-	Н	н	CI	он
XB175	СН3-	Н	н	CI-{_}-{	он
XB176	снз-	Н	н	Br	он
XB177	снз-	Н	н	Br	он
XB178	снз-	Н	н	Br{}	он
XB179	снз-	Н	Н	CH ₃	он
XB180	снз-	Н	н	H ₃ C	он
XB181	СН3-	Н	Н	H ₃ C-{{}}	он
XB182	СН3-	Н	н	C ₂ H ₅ {}	он
XB183	СН3-	Н	н	он	он
XB184	снз-	Н	н	HO	он
XB185	СН3-	Н	н	HO-{\backsquare}	он

No	R1	R2	R3	R4	R5
XB186	СН3-	Н	Н	OCH ₃	он
XB187	CH3-	н	Н	H ₃ CO	он
XB188	СН3-	Н	Н	H ₃ CO-{_}-{	он
XB189	СН3-	н	н	C ₂ H ₅ O-{	он
XB190	СН3-	н	н	NO ₂	он
XB191	СН3	н	Н	O ₂ N	он
XB192	СН3-	н	Н	O ₂ N-{	он
XB193	СН3-	н	н	CN	он
XB194	СН3-	Н	н	NC	он
XB195	Снз-	н	Н	NC-{}-{	он
XB196	СН3-	Н	Н		он
XB197	СН3-	н	Н	OO'	он
XB198	снз-	Н	н	<u></u>	CN
XB199	снз-	Н	н	-	CN
XB200	снз-	Н	н	F	CN
XB201	снз-	н	Н	F-{}-{	CN
XB202	снз-	Н	н	CI	CN
XB203	СН3-	н	н	CI	CN
XB204	СН3-	н	Н	C⊢ ()—{	CN
XB205	СН3-	Н	Н	Br	CN
XB206	СН3-	Н	Н	Br. →	CN

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No	R1	R2	R3	R4	R5
XB207	снз-	н	н	Br-∕€}-{	CN
XB208	снз-	Н	н	CH₃	CN
XB209	снз-	Н	Н	H ₃ C	CN
XB210	снз-	Н	Н	H ₃ C-{{}	CN
XB211	снз-	н	н	C ₂ H ₅ —{	СИ
XB212	снз-	H .	Н	ОН	CN
XB213	снз-	н	Н	HO	CN
XB214	снз-	н	Н	HO-{\bigcirc}	CN
XB215	снз-	Н	Н	OCH₃	CN
XB216	СН3-	Н	Н	H ₃ CO	CN
XB217	СН3-	н	Н	H ₃ CO-{}	CN
XB218	снз-	Н	Н	C ₂ H ₅ O-{}	CN
XB219	СН3-	н	Н	NO ₂	CN
XB220	СН3-	н	н	O ₂ N	CN
XB221	СН3-	Н	Н	O ₂ N-{}	CN
XB222	снз-	н	н	CN	СИ
XB223	СН3-	н	н	NC	CN
XB224	СН3-	Н	Н	NC-{}-{	CN
XB225	снз-	н	Н		CN
XB226	СН3-	Н	н	CCC'	CN
XB227	СН3-	н	н	<u></u>	<u></u>

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No	R1	R2	R3	R4	R5
XB249	снз-	н	н	O ₂ N	0
XB250	снз-	н	Н	O ₂ N-{_}	0
XB251	снз-	Н	н	CN	<u></u>
XB252	снз-	н	н	NC	0
XB253	снз-	Н	н	NC-{}	<u></u>
XB254	снз-	н	н		<u></u>
XB255	снз-	Н	н	OO'	<u></u>

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XB299	

XB300	
XB301	
XB302	

Table-3				
		T ⁿ N		
		R ³ R ² N N O R ¹		
No.	R1	R2	R3	R4
YA0001	CH3-	Н	Н	CH3-
YA0002	CH3-	H	Н	CH3CH2-
YA0003	снз-	Н	Н	^ \
YA0004	CH3-	н	н	Y
YA0005	CH3-	Н	н	√
YA0006	CH3-	н	Н	人工
YA0007	СН3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0008	снз-	Н	н	\nearrow
YA0009	СН3-	Н	Н	
YA0010	CH3-	Н	Н	
YA0011	CH3-	н	Н	
YA0012	СН3-	н	н	
YA0013	CH3-	Н	н	\Diamond - \downarrow
YA0014	CH3-	Н	н	\bigcirc
YA0015	CH3-	Н	н	
YA0016	CH3-	Н	н	\bigcirc -1
YA0017	CH3-	Н	Н	◯ -₁
YA0018	CH3-	Н	Н	F {\}_{\}
YA0019	CH3-	н	н	F{}
YA0020	СН3-	Н	Н	F(-)(
YA0021	снз-	Н	н	CI

No.	R1	R2	R3	R4
YA0022	CH3-	Н	н	CI
YA0023	CH3-	Н	н	C⊢ (_)—{
YA0024	CH3-	Н	Н	Br
YA0025	CH3-	Н	Н	Br.
YA0026	CH3-	Н	Н	Br— ⟨ _}–{
YA0027	СН3-	Н	н	
YA0028	СН3-	Н	н	├ }-{
YA0029	СН3-	Н	н	
YA0030	CH3-	Н	н	CH₃
YA0031	CH3-	Н	н	H ₃ C
YA0032	CH3-	Н	Н	H₃C-⟨}-{
YA0033	CH3-	Н	н	C ₂ H ₅ {
YA0034	CH3-	Н	н	n-C ₃ H ₇ {}_{-}
YA0035	CH3-	Н	н	n-C₄H ₉ {}{
YA0036	CH3	Н	H	OH OH
YA0037	CH3-	Н	н	HO
YA0038	CH3-	н	н	HO-{\bar{\bar{\bar{\bar{\bar{\bar{\bar
YA0039	CH3-	н	н	OCH ₃
YA0040	CH3-	Н	н	H ₃ CO
YA0041	СН3-	Н	Н	H₃CO-{_}-{
YA0042	СН3-	н	Н	C ₂ H ₅ O-{}

No.	R1	R2	R3	R4
YA0043	CH3-	Н	Н	n-C ₃ H ₇ O-{}
YA0044	CH3-	Н	Н	n-C ₄ H ₉ O-{}-{
YA0045	CH3-	Н	Н	NO ₂
YA0046	CH3-	Н	Н	O ₂ N
YA0047	CH3-	Н	н	O ₂ N-{_}-{
YA0048	CH3-	Н	Н	CN
YA0049	CH3-	Н	н	NC
YA0050	CH3-	Н	Н	NC-{}
YA0051	СН3-	Н	н	CF ₃
YA0052	CH3-	Н	н	F ₃ C{{
YA0053	CH3-	Н	Н	F ₃ C-{}-{
YA0054	CH3-	Н	Н	COOH
YA0055	CH3-	Н	Н —	HOOC
YA0056	CH3-	Н	н	H00C-{_}-{
YA0057	СН3-	Н	Н	CO ₂ Me
YA0058	СН3-	Н	н	MeO ₂ C
YA0059	СН3-	Н	Н	MeO ₂ C-∕}
YA0060	CH3-	Н	Н	CO ₂ Et
YA0061	CH3-	Н	н	EtO ₂ C
YA0062	СН3-	Н	H	EtO ₂ C-{}-{
YA0063	СН3-	Н	Н	SMe

 $(x,y) = (x,y) \int_{\mathbb{R}^n} \left(\frac{1}{2} \left(\frac{1$

No.	R1	R2	R3	R4
YA0064	CH3-	Н	Н	MeS
YA0065	CH3-	Н	Н	MeS-{
YA0066	CH3-	Н	Н	SO ₂ Me
YA0067	CH3-	Н	Н	MeO ₂ S
YA0068	CH3-	Н	Н	MeO ₂ S-{}
YA0069	СН3-	Н	н	NH ₂
YA0070	CH3-	, H	н	H ₂ N
YA0071	СН3-	Н	Н	H ₂ N-{}
YA0072	CH3-	Н	н	NMe ₂
YA0073	CH3-	Н	Н	Me ₂ N
YA0074	СН3-	Н	н	Me ₂ N-⟨¯⟩∤
YA0075	CH3-	Н	н	
YA0076	CH3-	Н	н	CC'
YA0077	CH3-	Н	Н	
YA0078	CH3-	Н	Н	(T ^s _N
YA0079	CH3-	Н	Н	
YA0080	CH3-	Н	Н	F O
YA0081	СН3-	Н	н	F
YA0082	CH3-	Н	Н	FOLO
YA0083	CH3-	Н	н	CIO
YA0084	CH3-	Н	Н	a Cyr,

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No.	R1	R2	R3	R4
YA0085	снз-	Н	н	
YA0086	CH3-	Н	н	Br O
YA0087	CH3-	Н	н	Br
YA0088	СН3-	Н	н	Br. J.
YA0089	CH3-	Н	Н	C)
YA0090	CH3-	Н	н	H ₃ C
YA0091	CH3-	Н	н	
YA0092	СН3-	. н	Н	CH3O O
YA0093	CH3-	Н	Н	H ₃ CO
YA0094	CH3-	Н	Н	H. CO2
YA0095	CH3-	Н	Н	NO S
YA0096	CH3-	Н	Н	O ₂ N
YA0097	CH3-	Н	н	
YA0098	CH3-	Н	Н	en o
YA0099	CH3-	Н	Н	но
YA0100	СН3-	Н	Н	
YA0101	СН3-	Н	Н	NH O
YA0102	CH3-	н	Н	H ₂ N
YA0103	CH3-	Н	Н	
YA0104	CH3-	Н	Н	EN O
YA0105	СН3-	Н	Н	NC T

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No.	R1	R2	R3	R4
140.	111	riz	17.5	0 1
YA0106	CH3-	н	Н	NC JT,
YA0107	CH3-	Н	Н	
YA0108	CH3-	Н	Н	
YA0109	CH3-	н	Н	<u> </u>
YA0110	СН3-	н	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0111	CH3-	Н	н	~\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0112	СН3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0113	СН3-	Н	Н	△ \
YA0114	CH3-	Н	н	~~~~~
YA0115	CH3-	Н	Н	×,
YA0116	CH3-	Н	н	~~\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0117	CH3-	Н	Н	~~~ ¹ / ₂ ,
YA0118	СН3-	Н	Н	~~~\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0119	CH3-	Н	Н	\rightarrow \righ
YA0120	CH3-	н	н	
YA0121	CH3-	Н	Н	رياني م
YA0122	СН3-	Н	н	
YA0123	СН3-	H³CO, ≻	н	Н
YA0124	CH3-	O H₃CO →	Н	CH3-
YA0125	СН3-	O H₃CO →	н	CH3CH2-
YA0126	CH3-	H ₃ CO >	н	^ ∖

No.	_ R1	R2	R3	R4
YA0127	СН3-	O H ₃ CO >	Н	Y
YA0128	СН3-	O H₃CO →	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0129	СН3-	H³CO_}\	Н	人、
YA0130	CH3-	H³CO ≻	Н	~
YA0131	CH3-	O H₃CO →	н	X
YA0132	СН3-	H³CO ₇ ≻	Н	
YA0133	CH3-	H³CO, ≻	н	
YA0134	CH3-	H³CO, ≻	н	
YA0135	CH3-	H³CO,≻	Н	ightharpoonup
YA0136	СН3-	O H³COД≻	Н	\Diamond -1
YA0137	СН3-	H³CO, ≻	н	$\bigcirc \dashv$
YA0138	CH3-	H³COД O	Н	\bigcirc \dashv
YA0139	CH3-	H³CO_} O	Ĥ	\bigcirc -
YA0140	СН3-	H³CO, ≻	н	◯ -ŧ
YA0141	CH3-	O H₃CO [™] ≻	н	
YA0142	СН3-	O H³CO√≻	н	F
YA0143	СН3-	H³CO,≻	Н	F-{\}_{\}
YA0144	СН3-	H³CO, ≻	н	CI
YA0145	СН3-	O H₃CO ≻	н ·	CI
YA0146	СН3-	O H₃CO ≻	н	C⊢ (_)—{
YA0147	СН3-	O H³CO,≻	н	Br ⟨_}_{}

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No.	R1	R2	R3	R4
140.	<u>'``</u>	0	- ''0	Br,
YA0148	CH3-	н₃соЧу	н	
YA0149	CH3-	O H ₃ CO >	н	Br-{_}{
YA0150	СН3-	O H₃CO ≻	н	CH ₃
YA0151	CH3-	H³CO,≻	н	H ₃ C
YA0152	СН3-	H³CO_>	н	H ₃ C-{
YA0153	CH3-	н³соДУ	Н	C ₂ H ₅ —{
YA0154	СН3-	H³CO Y	Н	n-C ₃ H ₇ {}
YA0155	СН3-	H³CO_^≻	Н	n-C ₄ H ₉ {_}
YA0156	СН3-	H³CO≻	Н	OCH ₃
YA0157	СН3-	H³CO_}>	н	H ₃ CO
YA0158	СН3-	H³CO >	н	H ₃ CO-{}-{
YA0159	СН3-	H³CO_^≻,	н	C ₂ H ₅ O-{
YA0160	СН3-	H³CO, ≻	Н	n-C ₃ H ₇ O-{{}}
YA0161	СН3-	H3CO >	Н	n-C₄H ₉ O-∕}
YA0162	CH3-	H³CO, ≻	. н	NO ₂
YA0163	CH3-	H³CO >	Н	O ₂ N
YA0164	СН3-	O H³CO ≻	н	O ₂ N-{
YA0165	СН3-	H³CO, ≻	н	CN
YA0166	СН3-	H³CO, ≻	Н	NC
YA0167	СН3-	O H₃CO ≻	Н	NC-{}
YA0168	СН3-	H ₃ CO /	н	NMe₂ →

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No.	R1	R2	R3	R4
		0		Me ₂ N
YA0169	CH3-	H ₃ CO ^{II} >	Н	
YA0170	CH3-	O H₃CO ≻	Н	Me ₂ N-(
YA0171	CH3-	H ₃ CO >	Н	
YA0172	СН3-	O H ₃ CO >	Н	CCT'
YA0173	CH3-	H³CO_^^	Н	O N
YA0174	CH3-	H³CO_}>	Н	
YA0175	CH3	H³CO, ≻	Н	OO ⁱ ,
YA0176	СН3-	O H₃CO →	н	<u> </u>
YA0177	СН3-	H³CO,≻	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0178	CH3-	O C₂H₅O →	Н	Н
YA0179	CH3-	O C₂H₅O →	Н	CH3-
YA0180	СН3-	O C₂H₅O →	Н	CH3CH2-
YA0181	СН3-	O C ₂ H ₅ O / >	Н	<u></u>
YA0182	СН3-	O C ₂ H ₅ O / /	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0183	СН3-	C ₂ H ₅ O >	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0184	СН3-	O C₂H₅O →	Ĥ	人、
YA0185	СН3-	O C ₂ H ₅ O ->-	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0186	СН3-	O C ₂ H ₅ O → r	Н	丫
YA0187	СН3	O C ₂ H ₅ O ->-	Н	
YA0188	СН3-	C ₂ H ₅ O >	Н	
YA0189	СН3-	O C ₂ H ₅ O →	Н	

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No.	R1	R2	R3	R4
YA0190	CH3-	O C ₂ H ₅ O ,	Н	\rightarrow
YA0191	СН3-	O C₂H₅O →	н	\Diamond !
YA0192	CH3-	O C ₂ H ₅ O - >	Н	\bigcirc - \downarrow
YA0193	CH3-	$C_2H_5O^{\prime\prime}$	Н	\bigcirc \dashv
YA0194	CH3-	O C₂H₅O →	Н	
YA0195	CH3-	C ₂ H₅O ^{ĬĬ} ≻	н	<u></u> -₹.
YA0196	CH3-	O C ₂ H₅O →	н	<u></u>
YA0197	СН3-	C₂H₅O →	н	F
YA0198	CH3-	C₂H₅O →	Н	F-{}-{
YA0199	CH3-	O C₂H₅O →	Н	CI
YA0200	CH3-	C₂H₅O →	н	
YA0201	CH3-	O C ₂ H ₅ O →	н	c⊢{_}-{
YA0202	CH3-	C₂H₅OÜ≻	н	Br
YA0203	СН3-	C ₂ H ₅ O →	н	Br.
YA0204	CH3-	O C ₂ H ₅ O ∕ ≻	Н	Br-{_}_{}
YA0205	CH3-	O C ₂ H ₅ O /	н	CH ₃
YA0206	СН3-	O C ₂ H ₅ O ,	н	H₃C —∤
YA0207	СН3-	O C ₂ H ₅ O ,	н	H ₃ C-{{}}
YA0208	CH3-	O C₂H₅O ≻	Н	C ₂ H ₅ -{}-{
YA0209	СН3-	O C₂H₅O →	н	n-C₃H₁-⟨}-{
YA0210	CH3-	O C₂H₅O ∕∕	н	n-C₄H ₉ {}

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No.	R1	D2	T D2	D4
NO.	RI	R2	R3	OCH ₃
YA0211	CH3-	C₂H₅O [™] ≻	н	
YA0212	СН3-	O C ₂ H ₅ O √ γ	н	H ₃ CO
YA0213	СН3-	O C₂H₅O →	Н	H₃CO-{
YA0214	CH3-	O C₂H₅O ≻	н	C ₂ H ₅ O-{
YA0215	CH3-	O C ₂ H₅O ≻	Н	n-C ₃ H ₇ O-
YA0216	CH3-	O C₂H₅O ≻	н	n-C ₄ H ₉ O-
YA0217	СН3-	O C₂H₅O ∕	н	NO ₂
YA0218	CH3-	O C ₂ H ₅ O 7	Н	O ₂ N
YA0219	CH3-	O C ₂ H ₅ O ->*	Н	O ₂ N-{}
YA0220	СН3-	O C₂H₅O ≻	н	CN ◯→₁
YA0221	CH3-	O C₂H₅O →	н	NC
YA0222	CH3-	O C₂H₅O →	н	NC-{}-{
YA0223	CH3~	O C₂H₅O ∕	н	NMe ₂
YA0224	СН3-	O C₂H₅O →	н	Me ₂ N
YA0225	СН3-	O C ₂ H ₅ O →	н	Me ₂ N-{
YA0226	CH3-	O C ₂ H ₅ O ->-	Н	
YA0227	СН3-	O C₂H₅O →	н	CC),
YA0228	СН3-	O C₂H₅O →	Н	ا ا
YA0229	СН3-	O C ₂ H ₅ O ,	н	
YA0230	СН3-	O C₂H₅O →	н	الله الله
YA0231	CH3-	O C₂H₅O →	Н	<u></u>

No.	R1	R2	R3	R4
YA0232	СН3-	O C₂H₅O →	н	~ ~
YA0233	СН3-	СН3-	н	н
YA0234	CH3-	CH3CH2-	н	Н
YA0235	СН3-	∼ \`	н	Н
YA0236	СН3-	\nearrow	н	Н
YA0237	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	:
YA0238	СН3-	人工	н	Н
YA0239	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н
YA0240	СН3-	7	Н	н
YA0241	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA0242	CH3-	Y	Н	Н
YA0243	CH3-	<u> </u>	н	Н
YA0244	CH3-	7	Н	Н
YA0245	CH3-	\\\\	н	Н
YA0246	CH3-		н	Н
YA0247	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA0248	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н
YA0249	СН3-	\\\\	Н	Н
YA0250	СН3-		Н	Н
YA0251	СН3-		н	Н
YA0252	СН3-		Н	Н

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No.	R1	R2	R3	R4
YA0253	СН3-		н	Н
YA0254	CH3-	$\triangleright \rightarrow$	Н	Н
YA0255	CH3-	\Diamond -1	н	Н
YA0256	CH3-	$\bigcirc \dashv$	н	Н
YA0257	CH3-	$\bigcirc \dashv$	Н	Н
YA0258	СН3-		н	Н
YA0259	СН3-		Н	Н
YA0260	СН3-		н	Н
YA0261	СН3-	<u></u>	Н	Н
YA0262	СН3-		н	Н
YA0263	CH3-	F ;	Н	Н
YA0264	снз-	F-(-)-1	н	Н
YA0265	снз-	F(>-1	н	Н
YA0266	снз-	F———hi-{	н	Н
YA0267	СН3-	CI	Н	. Н
YA0268	СН3-	CI	н	Н
YA0269	снз-	c⊢ ()–∤	н	н
YA0270	СН3-	c⊢(> -{	Н	Н
YA0271	снз-	C⊢€_\!!	Н	Н
YA0272	CH3-	Br \{\}	Н	н
YA0273	снз-	Br.	н	Н

No.	R1	T R2	R3	R4
YA0274	CH3-	Br-{_}-{	н	Н
YA0275	снз-	Br{	н	Н
YA0276	CH3-	Br—{	н	Н
YA0277	CH3-		Н	Н
YA0278	CH3-	<u></u>	н	н
YA0279	CH3-		Н	Н
YA0280	СН3-	CH₃	н	н
YA0281	СН3-		н	Н
YA0282	СН3-	H ₃ C-{	н	Н
YA0283	СН3-	C ₂ H ₅ —{}	н	Н
YA0284	СН3-	n-C ₃ H ₇ -{}{	н	Н
YA0285	СН3-	n-C ₄ H ₉ —{}	Н	Н
YA0286	СН3-	OH ————————————————————————————————————	Н	н
YA0287	СН3-	HO	Н	н
YA0288	СН3-	HO-{}-{	Н	н
YA0289	СН3-	OCH₃ ◯>–{	н	н
YA0290	СН3-	H₃CO (_) —{	Н	Н
YA0291	СН3-	H ₃ CO-{{}	н	н
YA0292	СН3-	H ₃ CO-{_ > -{	Н	Н
YA0293	CH3-	H ₃ CO-{}\\\	Н	Н
YA0294	СН3	OC ₂ H ₅	Н	Н

No.	R1	R2	R3	R4
YA0295	СН3-		н	н
YA0296	СН3-	C ₂ H ₅ O-{	н	н
YA0297	CH3-	n-C ₃ H ₇ O-	н	Н
YA0298	СН3-	n-C ₄ H ₉ O-	н	н
YA0299	снз-	NO ₂	Н	Н
YA0300	СН3-	O ₂ N	Н	Н
YA0301	СН3-	O ₂ N-{	Н	Н
YA0302	СН3-	CN	н	Н
YA0303	СН3-	NC	н	Н
YA0304	СН3-	NC-{_}	н	Н
YA0305	CH3-	CF ₃	Н	Н
YA0306	CH3-	F ₃ C	Н	Н
YA0307	CH3-	F ₃ C-{}_{{	Н	Н
YA0308	CH3-	COOH C>−{	Н	Н
YA0309	CH3-	HOOC	Н	Н
YA0310	CH3-	HOOC-{\rightarrow}	Н	Н
YA0311	СН3-	CO₂Me	н	Н
YA0312	CH3-	MeO ₂ C	н	Н
YA0313	СН3-	MeO₂C-⟨}-{	Н	Н
YA0314	CH3-	CO ₂ Et	н	Н
YA0315	СН3-	EtO ₂ C	н	Н

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No.	R1	R2	R3	R4
YA0316	СН3-	EtO ₂ C-{	н	Н
YA0317	CH3-	SMe	н	н
YA0318	CH3-	MeS{	Н	Н
YA0319	CH3-	MeS-{_}{	н	Н
YA0320	СН3-	SO₂Me	н	Н
YA0321	СН3-	MeO ₂ S	н	Н
YA0322	CH3-	MeO ₂ S-{}	н	Н
YA0323	СН3-	NH ₂ →	н	Н
YA0324	CH3-	H ₂ N	н	н
YA0325	CH3-	H ₂ N-√∑→	н	Н
YA0326	CH3-	NMe ₂	н	Н
YA0327	СН3-	Me ₂ N	Н	Н
YA0328	CH3-	Me ₂ N-{\bigcirc}-4	Н	Н
YA0329	CH3-		Н	Н
YA0330	CH3-		Н	н .
YA0331	CH3-	(n-{\}-i	н	Н
YA0332	CH3-	C+\(\(\)	н	н
YA0333	CH3-	(n-()	Н	Н
YA0334	CH3-	_v-<>-\	н	Н
YA0335	СН3-		н	н
YA0336	CH3-		Н	Н

No.	R1	R2	R3	R4
140.		1 ~ ~	110	177
YA0337	CH3-	ó_`N-{_}} 	Н	Н
YA0338	СН3-	H³CN_N-⟨_>	Н	н
YA0339	СН3-	H ₃ CN N-	Н	Н
YA0340	СН3-	H3CN_N-{}-{	Н	Н
YA0341	СН3-	H₃C_CH₃	Н	Н
YA0342	CH3-	CH ₃	Н	Н
YA0343	CH3-	H ₃ C	н	Н
YA0344	CH3-	CH ₃	Н	Н
YA0345	СН3-	H ₃ C H ₃ C-\-\-\-\-\-\-\-\-\-\-\-\-\-\-\-\-\-\-\	Н	Н
YA0346	СН3-	Hac Hac	Н	Н
YA0347	СН3-		н	Н
YA0348	CH3-	F-{\(\sigma\)	н	H
YA0349	CH3-	\$	Н	Н
YA0350	СН3-		н	Н
YA0351	СН3-	F-\	Н	Н
YA0352	СН3-	_	н	Н
YA0353	СН3-	CI_CI	Н	Н
YA0354	СН3-	CI—CI	н	Н
YA0355	СН3-		н	Н
YA0356	СН3-		н	Н
YA0357	СН3-	CI CI—✓	Н	н

No.	R1	R2	R3	R4
YA0358	CH3-		н	Н
YA0359	CH3-	H ₃ CO_OCH ₃	н	Н
YA0360	СН3-	OCH ₃ H₃CO-⟨∑)→	н	Н
YA0361	СН3-	OCH3 H₃CO	н	Н
YA0362	CH3-	осн ₃ Осн ₃	Н	Н
YA0363	, CH3−	H₃CO H₃CO-⟨¯){	н	Н
YA0364	CH3-	H³CO	н	Н
YA0365	СН3-	F_OCH ₃	н	Н
YA0366	CH3-	OCH ₃	н	Н
YA0367	CH3-	OCH ₃	н	Н
YA0368	CH3-	OCH ₃ F—∑yı-{	н	Н
YA0369	CH3-	OCH₃ SH	н	Н
YA0370	CH3-	OCH ₃	н	н
YA0371	CH3-	H ₃ CO F—	н	Н
YA0372	CH3-	H ₃ CO	н	Н
YA0373	CH3-	H₃CO_F	н	Н
YA0374	CH3-	H₃CO-{\rightarrow}-{\rightarr	н	Н
YA0375	CH3-	н³со	н	Н
YA0376	CH3-	H ₃ CO-	н	Н
YA0377	CH3-	CI_OCH₃	н	Н
YA0378	CH3-	OCH₃ CI—()—;	н	Н

No.	R1	R2	R3	R4
140.		OCH ₃	"	11/4
YA0379	CH3-		Н	н
YA0380	СН3-	OCH₃ Ci	Н	Н
YA0381	CH3-	H3CO CI-	Н	Н
YA0382	CH3-	CI CI	Н	Н
YA0383	CH3-	H₃CO_CI	н	н
YA0384	CH3-	H₃CO-{\(\)};	н	Н
YA0385	CH3-	H³CQ	н	Н
YA0386	CH3-	CI H₃CO-{>}	н	н
YA0387	CH3-	E_CH₃	Н	Н
YA0388	снз-	F-CH ₃	Н	Н
YA0389	СН3-	CH ₃	Н	Н
YA0390	СН3-	CH ₃	н	Н
YA0391	CH3-	H ₃ C F——-{	Н	н
YA0392	снз-		н	н
YA0393	снз-	H₃C_F →	н	Н
YA0394	CH3-	H₃C-⟨¯Ў - -}	н	н
YA0395	CH3-	H3C	Н	Н
YA0396	CH3-	H ₃ C-\	н	Н
YA0397	СН3-	Br_OCH₃	Н	Н
YA0398	СН3-	Br—COCH ₃	Н	Н
YA0399	СН3-	OCH ₃	н	Н

No.	R1	R2	R3	R4
140.		OCH ₃	- RS	
YA0400	CH3-	Br	н	н
YA0401	СН3-	H₃CO Br—⟨¯¯)—;	н	Н
YA0402	CH3-	H ₃ CO B ₁	н	Н
YA0403	CH3-	H₃CO_Br	Н	Н
YA0404	СН3	H₃CO-€	н	н
YA0405	СН3-	H ₃ CO	Н	. н
YA0406	СН3-	Br H₃CO-⟨☐}—}	н	н
YA0407	СН3-	H ₃ CO >	н	н
YA0408	СН3-	OCH ₃	н	н
YA0409	СН3-	OCH3	н	н
YA0410	СН3-	H ₃ CO }	н	Н
YA0411	СН3-	H ₃ CO N → OCH ₃	н	Н
YA0412	CH3-	CN CH,	н	Н
YA0413	CH3-	F-()-1	н	н
YA0414	CH3-	OCH₃ F-<->->- F	н	Н
YA0415	CH3-	н₃со-{\$_+	н	Н
YA0416	СН3-	OCH ₃ F-⟨_}; OCH ₃	н	Н
YA0417	СН3-	осн ₃ осн ₃	Н	н
YA0418	СН3	ci—Ci	н	Н
YA0419	СН3-	осн, cı—(, cı	н	Н
YA0420	СН3-	сі н₃со-{_ > ; сі	н	Н

No.	R1	T D2	l Do	D4
No.	RI	R2	R3	R4
YA0421	СН3-	CI-C-I OCH ₃	н	Н
YA0422	СН3-	H³CO-⟨∑-\ OCH³	н	Н
YA0423	СН3-	OCH ₃	Н	Н
YA0424	СН3-	H ₃ CO	н	Н
YA0425	СН3-	H ₃ CO-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}	н	н
YA0426	СН3-	OCH ₃ }.	н	Н
YA0427	СН3-	H₃CO ,	н	н
YA0428	СН3-	H ₃ CO-{\rightarrow}-{\rightarrow}^\tau	Н	Н
YA0429	СН3-	O.CH.	н	Н
YA0430	CH3-	H ₃ CO	Н	н
YA0431	CH3-	H₃CO-	Н	н
YA0432	CH3-	₫ - 0 -1	н	Н
YA0433	СН3-	<u></u>	Н	Н
YA0434	CH3-	F-{}-{}-{}-{}-{}-{}-{}-{}-{}-{}-{}	Н	н
YA0435	CH3-	₫-₫`	Н	н
YA0436	CH3-		Н	н
YA0437	CH3-		Н	н
YA0438	CH3-	Q-Ó	Н	н
YA0439	СН3-		Н	Н
YA0440	снз-		Н	Н
YA0441	СН3-		Н	н

No.	R1	R2	R3	R4
YA0442	СН3-	CC '	н	н
YA0443	CH3-	N H	Н	н
YA0444	CH3-	HN	Н	Н
YA0445	СН3-	\[\frac{1}{2} \]	н	Н
YA0446	СН3-	6 7 ,	н	Н
YA0447	СН3-	S	н	Н
YA0448	СН3-	S	н	Н
YA0449	CH3-	HNN	Н	Н
YA0450	CH3-	HN ,	Н	Н
YA0451	CH3-	HN /	Н	Н
YA0452	CH3-	N N N	Н	Н
YA0453	CH3-		Н	Н
YA0454	СН3-	N=)	Н	Н
YA0455	CH3-	NO V	Н	Н
YA0456	CH3-	S _N ,	Н	Н
YA0457	СН3-	N-S	Н	Н
YA0458	CH3-	N-S V	Н	Н
YA0459	СН3-	S-N	Н	Н
YA0460	СН3-	ÇN,	н	Н
YA0461	снз-	N , ,	н	Н
YA0462	СН3-	S-N	н	Н

No.	R1	R2	R3	R4
YA0463	СН3-	S Y	н	Н
YA0464	СН3-	N,	н	н
YA0465	СН3-	CN-1	н	Н
YA0466	CH3-	N	Н	Н
YA0467	CH3-	N	Н	Н
YA0468	СН3-	⟨N-{	н	Н
YA0469	СН3-	N_N_{	н	Н
YA0470	СН3-	N	Н	Н
YA0471	СН3-	CT>"	н	Н
YA0472	CH3-		Н	Н
YA0473	СН3-	F F	н	н
YA0474	СН3		Н	Н
YA0475	CH3-	,CT)	н	Н
YA0476	CH3-	Ç,	н	Н
YA0477	CH3-		н	Н
YA0478	CH3-	Q;	Н	Н
YA0479	CH3-	Č;	Н	Н
YA0480	CH3-	TOI?	н	н
YA0481	CH3-	,CT)	Н	н
YA0482	CH3-	Ţ?	Н	н
YA0483	CH3-	(T)-1	н	н

(x,y) = (x,y) + (x,y

The Administrations and resolution for the Property of the Pro

No.	R1	R2	R3	R4
YA0505	СН3-	NS NS	н	н
YA0506	СН3-	ÇX°S X°S	Н	Н
YA0507	CH3-	Ci,	н	Н
YA0508	CH3-		н	Н
YA0509	CH3-	, CL9,	н	н
YA0510	СН3	YII,	Н	н
YA0511	СН3-	Ç,	н	н
YA0512	СН3-	CY's J', Z's	н	Н
YA0513	СН3-	~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~	н	Н
,YA0514	СН3-	"CJ"sh	н	Н
YA0515	снз-	,CTsh	Н	Н
YA0516	снз-	ĈŢ\$	Н	Н
YA0517	CH3-	Ţ,	н	Н
YA0518	CH3-	,CT)	Н	Н
YA0519	СН3-	T)	Н	Н
YA0520	CH3-		н	Н
YA0521	СН3-	CH3-	н	СНЗ
YA0522	снз-	CH3CH2-	Н	СНЗ
YA0523	СН3-	∼ ``\	Н	СНЗ
YA0524	CH3-	Y	Н	СНЗ
YA0525	снз-	\\\\\	н	СНЗ

, i.e. the transfer of the property of the property of the property of the property of the $\tau_{\rm c}$

No.	R1	R2	R3	R4
YA0547	CH3-	○ ≀	н	СНЗ
YA0548	CH3-		Н	СНЗ
YA0549	CH3-	⊘ 4	н	СНЗ
YA0550	CH3-	-	н	СНЗ
YA0551	СН3-	F	н	СНЗ
YA0552	СН3-	F-(-)(Н	CH3
YA0553	СН3-	F-(-)	н	СНЗ
YA0554	СН3-	F——>···{	Н	СНЗ
YA0555	СН3-	CI	Н	СНЗ
YA0556	СН3-	CI	Н	СНЗ
YA0557	СН3-	c⊢	н	СНЗ
YA0558	CH3-	c⊢ ()~∤	н	СНЗ
YA0559	CH3-	C	н	СНЗ
YA0560	CH3-	Br	Н .	CH3
YA0561	СН3-	Br	Н	СНЗ
YA0562	снз-	Br—⟨{	н	CH3
YA0563	снз-	Br—	Н	CH3
YA0564	CH3-	Br—{	н	СНЗ
YA0565	СН3-		н	CH3
YA0566	CH3-		.H	СНЗ
YA0567	СН3-	 -{}- {	Н	СНЗ

TENNESS PROTESTAL SERVICE STATE OF A

No	R1	R2	R3	R4
No.	1.71	 	RO	<u> </u>
YA0589	СН3-	O ₂ N-{}	Н	CH3
YA0590	СН3-	CN	н	СН3
YA0591	CH3-	NC	н	CH3
YA0592	СН3-	NC-{}	Н	СНЗ
YA0593	CH3-	CF ₃	н	CH3
YA0594	CH3-	F ₃ C{{	н	СНЗ
YA0595	CH3-	F ₃ C-{}	. н	СНЗ
YA0596	СН3-	СООН	Н	СНЗ
YA0597	СН3-	HOOC	н	СН3
YA0598	CH3-	HOOC-{_}_\{	Н	СНЗ
YA0599	CH3-	CO ₂ Me	н	CH3
YA0600	CH3-	MeO₂C	Н	СНЗ
YA0601	CH3-	MeO ₂ C-{}	Н	СНЗ
YA0602	СН3-	CO ₂ Et	Н	СНЗ
YA0603	CH3-	EtO ₂ C	Н	СНЗ
YA0604	CH3-	EtO ₂ C-{}{	н	СНЗ
YA0605	СН3-	SMe	Н	СНЗ
YA0606	снз-	MeS	н	СНЗ
YA0607	СН3-	MeS-{_}-{	н	СНЗ
YA0608	СН3-	SO ₂ Me	н	СНЗ
YA0609	CH3-	MeO ₂ S {	н	СНЗ

The transfer of the control of the c

No.	R1	R2	R3	R4
YA0610	CH3-	MeO ₂ S-{}	Н	СНЗ
YA0611	СН3-	NH₂ →	Н	СНЗ
YA0612	CH3-	H ₂ N	н	СНЗ
YA0613	СН3-	H ₂ N-{	Н	СНЗ
YA0614	СН3-	NMe ₂	Н	СНЗ
YA0615	CH3-	Me ₂ N ——∤	н	СНЗ
YA0616	CH3-	Me ₂ N—	Н	снз
YA0617	СН3-	Cn-	н	СНЗ
YA0618	СН3-		н	СНЗ
YA0619	СН3-		Н	CH3
YA0620	СН3-	____	Н	CH3
YA0621	СН3-		Н	СН3
YA0622	СН3-	_v-{_}-;	Н	CH3
YA0623	CH3-	On-(S)	Н	СНЗ
YA0624	СН3-		Н	СНЗ
YA0625	СН3-	_v-<>-\	н	СН3
YA0626	СН3-	H₃CN_N-	н	СНЗ
YA0627	снз-	H₃CN_N-⟨_}	Н	СНЗ
YA0628	СН3-	H₃CN_N-{}-{	н	CH3
YA0629	CH3-	H ₃ C_CH ₃ ⟨	Н	СНЗ
YA0630	СН3-	CH ₃	Н	CH3

No.	R1	R2	R3	D4
140.	<u>IXI</u>	CH ₃	1 73	R4
YA0631	СН3-	H ₃ C	Н	СНЗ
YA0632	СН3-	CH₃ CH₃	н	СНЗ
YA0633	CH3-	H ₃ C H ₃ C-{}-{}	н	СНЗ
YA0634	СН3-	H³C H²C	н	СНЗ
YA0635	CH3-	\	н	СНЗ
YA0636	CH3-	F-{=}-;	н	СНЗ
YA0637	CH3-	\$	н	СНЗ
YA0638	CH3-	€	н	CH3
YA0639	CH3-	F-\\	н	СНЗ
YA0640	CH3-	\	н	СН3
YA0641	CH3-	CI_CI	Н	CH3
YA0642	СН3-	CI—(□)→	Н	СНЗ
YA0643	СН3-		Н	СНЗ
YA0644	СН3-		н	СНЗ
YA0645	CH3-		Н	снз
YA0646	CH3-		Н	СНЗ
YA0647	CH3-	H₃CO_OCH₃ →	н	СНЗ
YA0648	CH3-	OCH₃ H₃CO-{}}	н	СНЗ
YA0649	CH3-	OCH₃ → H₃CO	н	СНЗ
YA0650	CH3-	осн ³	н	снз
YA0651	СН3-	H₃CO-{_}};	н	снз

No.	R1	R2	R3	R4
No.	- KI	H₃CQ RZ	l K3	<u>r</u> 4
YA0652	CH3-	H ₃ CO	Н	СНЗ
YA0653	СН3-	F_OCH ₃	н	СНЗ
YA0654	СН3-	OCH₃ F—(□)→	н	СНЗ
YA0655	СН3-	OCH ₃	н	СНЗ
YA0656	СН3-	OCH ₃	н	CH3
YA0657	снз-	OCH₃	Н	СНЗ
YA0658	СН3-	OCH ₃	н	СНЗ
YA0659	СН3-	H₃CO F—	Н	СНЗ
YA0660	СН3-	H₃CO F	н	СНЗ
YA0661	СН3-	H₃CO_F →	Н	СНЗ
YA0662	СН3-	H₃CO-⟨¯¯ <mark></mark> F	н	СНЗ
YA0663	CH3-	н,со	Н	СНЗ
YA0664	CH3-	H₃CO-{}	Н	СН3
YA0665	СН3-	CI_OCH₃	н	СНЗ
YA0666	СН3-	OCH₃ CI—(S)—;	н	СНЗ
YA0667	СН3-	OCH ₃	Н	СНЗ
YA0668	CH3-	ocH₃ ⇔ cı	Н	СНЗ
YA0669	СН3-	H³CO CI-⟨}	н	СНЗ
YA0670	СН3-	H₃co Ci	Н	CH3
YA0671	снз-	H₃CO_CI →	н	CH3
YA0672	CH3-	H³CO-{	Н	СНЗ

No.	R1	R2	R3	R4
YA0673	СН3-	H3CO	Н	СНЗ
YA0674	СН3-	CI H₃CO-⟨	н	CH3
YA0675	СН3-	F_CH₃ →	н	CH3
YA0676	снз-	CH ₃ F—{}	н	СНЗ
YA0677	СН3-	CH,	H	СН3
YA0678	СН3-	CH₃ F	н	CH3
YA0679	снз-	H₃C F—⟨}_;	н	СНЗ
YA0680	снз-	H ₂ C	н	CH3
YA0681	СН3-	H₃C_F	Н	СН3
YA0682	снз-	F H₃C-⟨¯́}→	Н	СНЗ
YA0683	CH3-	H3C H3C	н	СНЗ
YA0684	СН3-	H₃C-{}	Н	СНЗ
YA0685	CH3-	Br_OCH₃	Н	СНЗ
YA0686	СН3-	OCH₃ Br—⟨□}—;	Н	СНЗ
YA0687	CH3-	OCH ₃	н	СНЗ
YA0688	СН3-	OCH ₃	Н	CH3
YA0689	СН3-	H₃CO Br————————————————————————————————————	Н	СНЗ
YA0690	СН3-	H ₃ CO Br	н	СНЗ
YA0691	СН3-	H₃CO_Br	Н	СНЗ
YA0692	СН3-	Br H₃CO-{\$\frac{1}{2}}	Н	СНЗ
YA0693	СН3-	H ₃ CO Br	н	СНЗ

No.	R1	R2	R3	R4
YA0694	СН3-	Br H₃CO-⟨¯){	н	СНЗ
YA0695	CH3-	H ₃ CO >	н	СНЗ
YA0696	CH3-	OCH ₃	н	СНЗ
YA0697	СН3-	N-⟨_}OCH ₃	н	СНЗ
YA0698	CH3-	H ₃ CO >	н	СНЗ
YA0699	СН3-	H₃CO N-⟨\]→	н	СНЗ
YA0700	CH3-	Ch Cy	Н	CH3
YA0701	СН3-	F¢.	н	СНЗ
YA0702	снз-	OCH₃ F-{_}} F	н	СНЗ
YA0703	СН3-	H³CO-{{}}'	Н	СНЗ
YA0704	СН3-	ОСН ₃ F—⟨_}-; ОСН ₃	Н	СНЗ
YA0705	СН3-	н³со-⟨_}-≀ осн²	Н	СНЗ
YA0706	СН3-	CI—⟨CI	н	СНЗ
YA0707	СН3-	осн _з a-{_}-{ a	Н	СНЗ
YA0708	снз-	а н₃со-{{}} а	Н	СНЗ
YA0709	снз-	OCH3 CI-(∑-1 OCH3	н	СНЗ
YA0710	СН3-	H³CO-{∑}-} ocH³	н	СНЗ
YA0711	СН3-	OCH ₃	Н	СНЗ
YA0712	СН3-	H ₃ CO	Н	СНЗ
YA0713	СН3-	H ₃ CO-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}	Н	СНЗ
YA0714	CH3-	OCH ₃ }\	н	СНЗ

No.	R1	R2	R3	R4
YA0715	СН3-	H ₃ CO ,	Н	СНЗ
YA0716	СН3-	H ₃ CO-{\(\sigma\)-\(\sigma\)	н	СНЗ
YA0717	CH3-	OCH ₃	Н	СНЗ
YA0718	CH3-	H ₃ CO	Н	СНЗ
YA0719	CH3-	H₃CO- (_)- (_)	н	СНЗ
YA0720	СН3-	₫ -	Н	СНЗ
YA0721	СН3-	<u></u>	н	СНЗ
YA0722	СН3-	F-({_}-{_}-	н	СНЗ
YA0723	СН3-	<u>5</u> 3	н	СНЗ
YA0724	CH3-		Н	СНЗ
YA0725	CH3-		н	СНЗ
YA0726	CH3-	Q-0	Н	СНЗ
YA0727	CH3-	\$	Н	СНЗ
YA0728	CH3-		Н	СНЗ
YA0729	CH3-		Н	СНЗ
YA0730	CH3-	CC,	Н	СНЗ
YA0731	CH3-	СН3-	Н	
YA0732	CH3-	CH3CH2-	Н	
YA0733	CH3-	∕ \	Н	
YA0734	CH3-	Y	Н	
YA0735	CH3-	\\\\	Н	Q1

No.	R1	R2	R3	R4
YA0736	СН3-	<u> </u>	н	
YA0737	СН3-	→	н	
YA0738	CH3-	$\stackrel{\textstyle imes}{}$	н	
YA0739	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	
YA0740	СН3-	\\\	н	
YA0741	СН3-	\\\\\	н	
YA0742	CH3-	7	н	
YA0743	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	
YA0744	СН3-		н	
YA0745	CH3-	^~^\	н	
YA0746	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Q
YA0747	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	
YA0748	CH3-		н	
YA0749	CH3-		н	
YA0750	CH3-		н	
YA0751	CH3-		н	
YA0752	CH3-	$\triangleright \rightarrow$	н	
YA0753	CH3-	◇ -1	н	
YA0754	СН3-	\bigcirc	Н	
YA0755	СН3-		н	
YA0756	СН3-		н	

No.	R1	R2	R3	R4
140.	KI	// \	1 1/3	R4
YA0757	CH3-		Н	
YA0758	СН3-		н	
YA0759	СН3-	<u></u>	н	
YA0760	снз-	F	н	
YA0761	СН3-		н	
YA0762	СН3-	F-<->-{	н	
YA0763	СН3-	F-(-)	н	
YA0764	СН3-	F—{	н	
YA0765	СН3-	CI 	н	
YA0766	СН3-	CI	Н	
YA0767	CH3-	C⊢ (_)—{	н	
YA0768	CH3-	c⊢ (_> -{	н	
YA0769	CH3-	C	н	
YA0770	снз-	Br ————————————————————————————————————	н	
YA0771	снз-	Br;	н	
YA0772	снз-	Br-{}-{	Н	
YA0773	СН3-	Br—{	н	
YA0774	СН3-	Br—Qu.{	Н	
YA0775	СН3-		н	
YA0776	снз-	 	Н	
YA0777	CH3-	├ ── 	Н	

No.	R1	R2	R3	R4
NO.	<u> </u>	CH ₃		<u></u>
YA0778	CH3-	⟨	Н	
YA0779	CH3-	H ₃ C	н	
YA0780	CH3-	H ₃ C-{_}	Н	
YA0781	СН3-	C ₂ H ₅ -{_}-{	н	Q
YA0782	CH3-	n-C ₃ H ₇ {}	н	
YA0783	СН3-	n-C ₄ H ₉ -{_}-{	н	
YA0784	СН3-	OH	н	
YA0785	СН3-	НО	Н	
YA0786	СН3-	но-{}-;	Н	
YA0787	CH3-	OCH ₃	н	
YA0788	CH3-	H ₃ CO	н	
YA0789	СН3-	H₃CO-{}-{	н	
YA0790	СН3-	H₃CO-{_ > -{	н	
YA0791	СН3-	H ₃ CO-{_>\ \dot\	Н	
YA0792	СН3-	OC ₂ H ₅	н	
YA0793	СН3-	C ₂ H ₅ O	н	
YA0794	СН3-	C ₂ H ₅ O-{}{	н	
YA0795	СН3-	n-C ₃ H ₇ O-{}{	Н	
YA0796	СН3-	n-C ₄ H ₉ O-{}	Н	
YA0797	СН3-	NO ₂	Н	
YA0798	CH3-	O ₂ N{	н	

No.	R1	R2	R3	R4
140.	17.1		- KS	
YA0799	СН3-	O ₂ N-(н	
YA0800	CH3-	CN ⇒	н	
YA0801	CH3-	NC	Н	
YA0802	СН3-	NC-{}	н	
YA0803	СН3-	CF ₃	Н	
YA0804	CH3-	F ₃ C	Н	
YA0805	CH3-	F ₃ C-{}	Н	
YA0806	CH3-	СООН (H	
YA0807	CH3-	HOOC	н	
YA0808	СН3-	HOOC-{_}_{	Н	
YA0809	CH3-	CO₂Me	Н	
YA0810	СН3-	MeO ₂ C	Н	
YA0811	СН3-	MeO ₂ C-⟨	Н	
YA0812	СН3-	CO₂Et	Н	
YA0813	СН3-	EtO ₂ C	Н	
YA0814	CH3-	EtO ₂ C-{}	Н	
YA0815	СН3-	SMe	Н	
YA0816	СН3-	MeS{{	н	
YA0817	СН3-	MeS-{_}-{	н	Q
YA0818	СН3-	SO₂Me	Н	
YA0819	СН3-	MeO ₂ S ∠_}–{	Н	

C Na	D1	T 00	L D0	D4
No.	R1	R2	R3	R4
YA0820	СН3-	MeO ₂ S-{}_{}	Н	
YA0821	CH3-	NH ₂	н	
YA0822	СН3-	H ₂ N	Н	
YA0823	CH3-	H ₂ N-{}	Н	
YA0824	CH3-	NMe₂ →	Н	
YA0825	CH3-	Me ₂ N —}	н.	
YA0826	СН3-	Me ₂ N-	н	
YA0827	СН3-		н	
YA0828	СН3-		н	
YA0829	CH3-	(N-{\}-\	н	
YA0830	CH3-		н	
YA0831	СН3-	\(\rac{\rack}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}	н	
YA0832	СН3-	_N-{_}-\	н	
YA0833	СН3-	O_N-{_}	н	
YA0834	СН3-	O_N-{_}	н	
YA0835	СН3-	O_N-{_}-;	н	
YA0836	СН3-	H3CN_N-	н	
YA0837	СН3-	H3CN N-	Н	
YA0838	СН3-	H3CN_N-{}-{	н	
YA0839	снз-	H ₃ C_CH ₃	Н	
YA0840	СН3-	CH ₃	н	

No.	R1	R2	R3	R4
YA0841	CH3-	H ₃ C	н	Q
YA0842	СН3-	Сн.	н	Q
YA0843	СН3-	H ₃ C H ₃ C-{}_}	н	Q
YA0844	СН3-	H ₃ C H ₃ C	н	Q
YA0845	СН3-		н	Q
YA0846	CH3-	F-{	н	
YA0847	CH3-		н	
YA0848	СН3-	C.	Н	
YA0849	СН3-	F—	н	
YA0850	CH3-		Н	
YA0851	CH3-	CI_CI	н	
YA0852	CH3-		Н	
YA0853	CH3-		Н	
YA0854	СН3-	Č.	Н	
YA0855	СН3-	CI CI	Н	
YA0856	CH3-		Н	
YA0857	CH3-	H₃CO_OCH₃ ⟨¯)→}	Н	
YA0858	CH3-	OCH ₃	Н	
YA0859	CH3-	осн ₃ → н₃со	Н	
YA0860	СН3-	осн, ОСН,	н	
YA0861	СН3-	H₃CO H₃CO-⟨}	н	

N ₂	D1	D0	l D0	D4
No.	R1	R2 H₃CO	R3	R4
YA0862	СН3-	H3C0	Н	
YA0863	СН3-	F_OCH₃ →	н	
YA0864	снз-	OCH ₃	н	
YA0865	СН3-	OCH ₃ F—∰	н	
YA0866	CH3-	OCH ₃	н	
YA0867	CH3-	OCH,	н	
YA0868	СН3-	OCH₃ F	н	
YA0869	СН3-	H₃CO F—(□)—;	Н	
YA0870	CH3-	H₃CO F	Н	
YA0871	СН3-	H₃CO_F →	Н	Q
YA0872	снз-	H₃CO-{=}-}	н	Qr
YA0873	СН3-	н³со	н	
YA0874	CH3-	H₃CO- \	н	
YA0875	CH3-	CI_OCH ₃	н	
YA0876	CH3-	OCH₃ CI—	н	
YA0877	CH3-	OCH ₃	Н	
YA0878	СН3-	осн ₃ С → с	Н	
YA0879	СН3-	H₃CO CI—⟨¯]—;	Н	
YA0880	СН3-	H ₃ CO CC	Н	
YA0881	СН3-	H₃CO_CI	н	
YA0882	СН3-	H³CO-{_}	н	

No.	R1	R2	R3	R4
YA0883	CH3-	H ₃ CO	Н	
YA0884	CH3-	CI H₃CO-⟨¯}—;	н	
YA0885	СН3-	F_CH₃	Н	
YA0886	CH3-	CH ₃ F—{}-{	н	
YA0887	CH3-	CH₃ F	н	
YA0888	-CH3-	CH3	н	
YA0889	CH3-	H ₃ C F————————————————————————————————————	н	
YA0890	CH3-	H ₃ C F	н	
YA0891	CH3-	H ₃ C F	н	
YA0892	CH3-	H₃C-{	н	Or
YA0893	СН3-	н,с	н	
YA0894	CH3-	H ₃ C-	н	
YA0895	CH3-	Br_OCH₃	н	
YA0896	CH3-	Br—COCH ₃	н	
YA0897	CH3-	SCH3	н	
YA0898	CH3-	OCH ₃	н	
YA0899	СН3-	H₃CO Br-⟨	н	Q
YA0900	СН3-	H ₃ CO B	Н	
YA0901	CH3-	H ₃ CO Br	н	
YA0902	CH3-	H₃CO-⟨□}H₃	Н	
YA0903	CH3-	H³CQ BL	н	

 $x \in \mathbb{R}^{n}$, which we are the Contraction of the state of the sta

No.	R1	R2	R3	R4
140.	NI	Br,	No _	
YA0904	CH3-	H₃CO-⟨¬	Н	
YA0905	CH3-	H ₃ CO_}	Н	
YA0906	снз-	OCH3 ○N-(□)	н	
YA0907	СН3-	CN-⟨_}-OCH3	н	
YA0908	CH3-	H ₃ CO }	Н	
YA0909	СН3-	H ₃ CO N-(-)/	н	
YA0910	СН3-	CMC)	н	
YA0911	CH3-	F-<>+	н	
YA0912	СН3-	осн ₃ F-⟨_ > -} F	н	
YA0913	СН3-	H₃CO-{()}-; F	н	
YA0914	СН3-	OCH₃ F-⟨◯→; OCH₃	н	
YA0915	СН3-	осн ₃ н ₃ со-{}-; осн ₃	н	
YA0916	СН3-	ci-()-;	н	
YA0917	СН3-	OCH₃ CI	н	
YA0918	СН3-	H³CO-{CI	н	
YA0919	СН3-	OCH ₃	н	
YA0920	снз-	OCH ₃ H₃CO-⟨_}-∤ OCH ₃	н	
YA0921	снз-	OCH ₃	н	
YA0922	СН3-	H ₃ CO	Н	
YA0923	CH3-	H₃CO- (_)(_){	н	
YA0924	СН3-	OCH ₃ }t	Н	

No.	R1	R2	R3	R4
.,		H₃CQ >	1	
YA0925	CH3-		Н	
YA0926	CH3-	H ₃ CO-{\rightarrow}	н	
YA0927	CH3-	OCH3	н	
YA0928	СН3-	H-2CO	Н	Q
YA0929	CH3-	H₃CO-{_}	н	Q
YA0930	СН3-	₫	н	Q
YA0931	CH3-	□ >-;	н	Q
YA0932	СН3-	F-{\}-{\}-1	Н	
YA0933	снз-	₫	н	
YA0934	СН3-		н	
YA0935	CH3-		Н	
YA0936	CH3-	Q - D	Н	
YA0937	CH3-	\$\disp\\phi\$	Н	
YA0938	CH3-		Н	
YA0939	CH3-		н	
YA0940	CH3-	CCC ¹	Н	
YA0941	СН3-	СН3-	Н	گ,
YA0942	CH3-	СН3СН2-	Н	Ů,
YA0943	СН3-	^ \	Н	گ,
YA0944	СН3-	Y	Н	<u></u>
YA0945	CH3-	√ \	Н	پ

en in the terminal context to Contest in the processing of the pro-

No.	R1	R2	R3	R4
YA0946	CH3-	人、	н	گ _ر
YA0947	CH3-	~	н	<u>گ</u>
YA0948	СН3-	7	Н	<u>ڳ</u>
YA0949	CH3-	^^\	н	Ŷ,
YA0950	CH3-	_ \	н	2,
YA0951	CH3-	X.	Н	2,
YA0952	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Å,
YA0953	СН3-	\\\\	Н	Ŷ,
YA0954	CH3-		н	Ŷ,
YA0955	СН3-	^	н	Ŷ,
YA0956	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Ŷ
YA0957	СН3-	\\\\	Н	2,
YA0958	СН3-		Н	Ļ,
YA0959	СН3-		н	Ŷ,
YA0960	СН3-		н	<u></u>
YA0961	СН3-		н	Ŷ,
YA0962	СН3-	$\triangleright \dashv$	Н	Ŷ,
YA0963	СН3-	\Diamond	н	Ŷ,
YA0964	СН3-	\bigcirc	н	<u></u>
YA0965	CH3-		Н	Ŷ
YA0966	СН3-	\bigcirc \dashv	Н	<u>گ</u> ہ

No.	R1	R2	R3	R4
YA0967	СН3-	<u></u>	Н	<u>گ</u>
YA0968	CH3-		н	<u>گ</u>
YA0969	CH3-	⊘ (н	<u>گ</u>
YA0970	CH3-	F	н	Ŷ,
YA0971	СН3-		Н	<u></u>
YA0972	CH3-	F-(-);	Н	گ,
YA0973	СН3-	F(>(Н	Ŷ,
YA0974	СН3-	F—{	н	Ŷ,
YA0975	CH3-	CI	н	Ŷ,
YA0976	CH3-	CI	н	Ŷ,
YA0977	СН3-	C⊢	н	Ŷ,
YA0978	CH3-	c⊢ ()~{	Н	l,
YA0979	СН3-	C	н	Ŷ,
YA0980	СН3-	Br	н	Ŷ,
YA0981	СН3-	Br. ←	н	l,
YA0982	СН3-	Br-{_}{	н	l,
YA0983	CH3-	Br- {	н	Ļ,
YA0984	СН3-	Br—{	Н	Ŷ,
YA0985	CH3-	<u></u>	Н	Ŷ,
YA0986	CH3-		н	<u></u>
YA0987	CH3-		н	ي ا

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N _a	D1	T D2	D2	R4
No.	R1	CH ₃	R3	
YA0988	СН3-	◯ ;	Н	l,
YA0989	СН3-	H ₃ C	н	2,
YA0990	CH3-	H ₃ C-{}	Ξ	2,
YA0991	СН3-	C ₂ H ₅ —{	Н	
YA0992	CH3-	n-C ₃ H ₇ -{}-{	н	Ŷ,
YA0993	СН3-	n-C ₄ H ₉ -	Н	Ŷ,
YA0994	СН3-	ОН	Н	گ _ا
YA0995	СН3-	HO	Н	Î,
YA0996	СН3-	HO-{}-{	Н	گع
YA0997	СН3-	OCH₃ <>	н	<u></u>
YA0998	СН3-	H ₃ CO	Н	<u>ئ</u>
YA0999	СН3-	H ₃ CO-{	Н	٠,
YA1000	СН3-	H ₃ CO-{{}	н	<u></u>
YA1001	СН3-	H ₃ CO-\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Ŷ,
YA1002	СН3-	OC ₂ H ₅	н	Ŷ,
YA1003	СН3-	C ₂ H ₅ O	н	Ŷ,
YA1004	CH3-	C ₂ H ₅ O-{}	Н	٧,
YA1005	СН3-	n-C ₃ H ₇ O-({}	н	Ŷ,
YA1006	CH3-	n-C ₄ H ₉ O-{}-{	н	٠ <u>٠</u>
YA1007	CH3-	NO ₂	н	
YA1008	CH3-	O ₂ N	н	٨

No.	R1	R2	R3	R4
140.	NI NI		110	0 104
YA1009	CH3-	O ₂ N-{}_{	н	Ŷ,
YA1010	снз-	CN	н	Ŷ,
YA1011	снз-	NC	Н	l,
YA1012	CH3-	NC-{}	н	<u>گ</u> ر
YA1013	CH3-	CF₃	н	Ŷ,
YA1014	CH3-	F ₃ C	н	,
YA1015	CH3-	F ₃ C-{}-{	н	Ĵ,
YA1016	CH3-	COOH	н	l,
YA1017	CH3-	HOOC	Н	Ŷ,
YA1018	СН3-	HOOC-{\rightarrow}-{	н	Ŷ,
YA1019	СН3-	CO₂Me	н	گ _ب
YA1020	СН3	MeO ₂ C	н	<u>گ</u>
YA1021	СН3-	MeO ₂ C-{	Н	Ŷ,
YA1022	CH3-	CO ₂ Et	н	<u>گ</u> ر
YA1023	СН3-	EtO ₂ C	н	Ŷ,
YA1024	CH3-	EtO ₂ C-{	н	<u></u>
YA1025	CH3-	SMe	н	<u></u>
YA1026	СН3-	MeS	Н	<u>ڳ</u>
YA1027	СН3-	MeS-{_}-{	Н	Ŷ,
YA1028	СН3-	SO₂Me	Н	<u>گ</u>
YA1029	снз-	MeO ₂ S	н	ئى .

No.	R1	R2	R3	R4
YA1030	СН3-	MeO ₂ S-{_}{	Н	Ŷ,
YA1031	CH3-	NH ₂	Н	Ŷ,
YA1032	СН3-	H ₂ N	н	Ŷ,
YA1033	снз-	H ₂ N-\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	٤,
YA1034	снз-	NMe ₂	Н	2
YA1035	снз-	Me₂N	н	<u></u>
YA1036	снз-	Me ₂ N—	н	Ŷ,
YA1037	снз-		н	Ŷ,
YA1038	CH3-		Н	<u></u>
YA1039	CH3-	(n-()-1	Н	<u></u> ,
YA1040	CH3-	○ -	Н	Ŷ,
YA1041	CH3-		Н	Ŷ,
YA1042	CH3-	_\-\{_\-\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	l,
YA1043	CH3-	<_n-<_>	н	گہ
YA1044	СН3-		Н	Ŷ,
YA1045	СН3-	O_N-{_}-{	н	Ŷ,
YA1046	СН3-	H3CN N	н	Å,
YA1047	CH3-	H3CN N-	н	<u></u>
YA1048	СН3-	H3CN N-()-1	н	Å,
YA1049	СН3-	H ₃ C CH ₃	Н	گ',
YA1050	СН3-	H ₃ C-\(\sum_\)-{	Н	Å,

No.	R1	R2	R3	R4
140.		,cн ₃	- 110	
YA1051	CH3-	(¯)→, µ₃c	Н	Ļ,
YA1052	СН3-	CH,	Н	Ŷ,
YA1053	СН3-	H₃C H₃C-⟨¯}-{	н	<u></u>
YA1054	снз-	H³C H³C	Н	
YA1055	СН3-	F_F	н	Ŷ,
YA1056	CH3-	F	Н	Ŷ,
YA1057	CH3-		н	Ĵ,
YA1058	CH3-	⇔	Н	Ŷ,
YA1059	СН3-	F———	Н	Ŷ,
YA1060	СН3-		Н	<u></u>
YA1061	СН3-	CI_CI	н	Ŷ,
YA1062	СН3-	cı—(□)—;	н	Ŷ,
YA1063	СН3-		н	Ŷ,
YA1064	СН3-		Н	<u></u> ,
YA1065	снз-	CI—CI—	н	Ŷ,
YA1066	СН3-		н	<u></u>
YA1067	снз-	H ₃ CO_OCH ₃	н	Ŷ,
YA1068	СН3-	OCH ₃ H₃CO-{{}}→}	н	بُ
YA1069	СН3-	осн ₃ Н ₃ со	н	Ŷ,
YA1070	CH3-	ocн, OcH,	н	<u></u>
YA1071	СН3-	H₃CO-⟨}	н	٨

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No.	R1	R2	R3	R4
YA1072	CH3-	н₃со Н₃со	н	Ŷ,
YA1073	CH3-	F_OCH ₃	н	<u>گ</u>
YA1074	CH3-	F—OCH ₃	н	Ŷ,
YA1075	СН3-		н	Ŷ,
YA1076	CH3-	OCH ₃	н	,
YA1077	СН3-	осн,	H	Ŷ,
YA1078	СН3-	OCH₃ C→ F	Н	<u></u>
YA1079	СН3-	H ₃ CO F—	Н	<u></u>
YA1080	СН3-	H₃CO ← F	Н	Å,
YA1081	CH3-	H ₃ CO_F	Н	Ŷ,
YA1082	СН3-	H₃CO-⟨¯¯ <mark>}</mark> }	н	Ŷ,
YA1083	CH3-	H³co 	Н	Ŷ,
YA1084	CH3-	H₃CO-⟨	Н	Ŷ,
YA1085	CH3-	CI_OCH₃ →	н	Ŷ,
YA1086	CH3-	OCH₃ CI—⟨¯}→;	н	Ŷ,
YA1087	СН3-	CI OCH3	Н	٨,
YA1088	СН3-	осн _з	н	Ŷ,
YA1089	СН3-	H³CO CI—	н	Ŷ,
YA1090	СН3-	H ₃ CO CI	Н	Ŷ,
YA1091	СН3-	H₃CO_CI	Н	گ,
YA1092	СН3-	CI H₃CO-{\bigci}	Н	<u>ڳ</u>

No.	R1	R2	R3	R4
140.	1/1	a	1,0	
YA1093	CH3-	H³CQ	н	Ŷ,
YA1094	СН3	H₃CO-⟨¯_};	н	Ŷ
YA1095	СН3-	F_CH ₃	Н	Ŷ,
YA1096	СН3-	CH ₃ F-\(\)-\(\)-\(\)	Н	Ŷ,
YA1097	CH3-	, and the second	н	<u>ڳ</u>
YA1098	СН3-	CH ₃	Н	Ŷ,
YA1099	СН3-	H ₃ C F—{}	Н	Ŷ,
YA1100	СН3-	H ₃ C D F	Н	Ŷ,
YA1101	CH3-	H₃C_F →	Н	Å,
YA1102	СН3-	H₃C-⟨¯}→	н	Ĵ,
YA1103	CH3-	H³C	Н	Ŷ,
YA1104	СН3-	H₃C-⟨¯}→	Н	Ŷ,
YA1105	СН3-	Br_OCH ₃	Н	Ŷ,
YA1106	CH3-	OCH₃ Br—⟨S)	Н	
YA1107	СН3-	осн _я	н	°
YA1108	СН3-	OCH ₃	Н	
YA1109	CH3-	H₃CO Br—√—;	н	<u></u>
YA1110	CH3-	H₃CO Br	Н	Ů,
YA1111	CH3-	H ₃ CO_Br	н	<u></u>
YA1112	CH3-	Br H₃CO-{\rightarrow}-{\right	н	<u></u>
YA1113	CH3-	H ₃ CO	Н	گ _ا ر

No.	R1	R2	R3	R4
YA1114	CH3-	H ₃ CO-	Н	Ŷ,
YA1115	СН3-	H ₃ CO >	Н	گ _ر
YA1116	CH3-	OCH₃	н	Ŷ,
YA1117	СН3-	CN-⟨_}-OCH₃	н	Ŷ,
YA1118	СН3-	H³CO \	Н	<u></u>
YA1119	СН3-	H₃CO N-√>}	Н	o <u>t</u>
YA1120	СН3-	Ch.	н	<u></u>
YA1121	СН3-	F-0\$1	н	Ŷ,
YA1122	СН3-	OCH₃ F—()→; F	н	<u></u>
YA1123	CH3-	H ₃ CO-{\sqrt{-1}}	н	Ŷ,
YA1124	СН3-	OCH₃ F-⟨∑-} OCH₃	Н	Ŷ,
YA1125	CH3-	H³CO-⟨=⟩-\{ OCH³	н	Ļ,
YA1126	СН3-	cı—()	н	l,
YA1127	СН3-	OCH ₃	н	Ŷ,
YA1128	CH3-	H³CO-{{}_1 a	Н	Ŷ,
YA1129	CH3-	OCH ₃	н	Ļ,
YA1130	СН3-	OCH ₃ H₃CO-⟨_}-{ OCH ₃	н	Ŷ,
YA1131	СН3-	OCH ₃	н	<u></u>
YA1132	снз-	H ₃ CO	н	<u></u>
YA1133	CH3-	H₃CO-	н	L _y
YA1134	СН3-	OCH ₃ }.	н	<u></u>

No.	R1	R2	R3	R4
		H₃CQ >t		
YA1135	CH3-		Н	Å,
YA1136	CH3-	H ₃ CO-{\(\)\(\)	н	<u>\</u> ,
YA1137	снз-	OCH ₃	н	Ŷ,
YA1138	снз-		н	<u></u>
YA1139	СН3-	H₃CO-	н	2
YA1140	снз-		н	Ŷ,
YA1141	СН3-		Н	
YA1142	СН3-	F-(>-(>-1	Н	٨
YA1143	СН3-	₫ <u></u>	Н	٨
YA1144	CH3-		Н	Ŷ,
YA1145	CH3-		н	Ŷ,
YA1146	CH3-	Ø	Н	Ŷ,
YA1147	CH3-	\$\doldsymbol{\phi}	н	Ŷ,
YA1148	CH3-		Н	Ŷ,
YA1149	CH3-		н	Ŷ,
YA1150	СН3-	CCC,	н	Ŷ,
YA1151	СН3-		н	<u></u>
YA1152	СН3-	م کے کہ	н	<u></u>
YA1153	CH3-	Ţ.	н	
YA1154	снз-	CH3-	СН3-	Н
YA1155	CH3-	CH3CH2-	CH3-	Н

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No.	R1	R2	R3	R4
YA1156	CH3-	∕ ∖\	CH3-	Н
YA1157	CH3-	Y	СН3-	Н
YA1158	СН3-	\\\ \\	CH3-	Н
YA1159	снз-	人、	СН3-	Н
YA1160	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	CH3-	Н
YA1161	CH3-	*	CH3-	н
YA1162	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	CH3-	Н
YA1163	CH3-	$\rightarrow \rightarrow$	СН3-	Н
YA1164	CH3	LL V	СН3-	н
YA1165	СН3-	γ	СН3-	Н
YA1166	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СН3-	Н
YA1167	CH3-		СН3-	Н
YA1168	CH3-	^ ~~``\	СН3-	н
YA1169	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	CH3-	Н
YA1170	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СН3-	н
YA1171	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	CH3-	н
YA1172	CH3-		CH3-	н
YA1173	CH3-		CH3-	Н
YA1174	CH3-		СН3-	Н
YA1175	СН3-	$\triangleright \rightarrow$	СН3-	Н
YA1176	СН3-	\Diamond	CH3-	Н

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No.	R1	R2	R3	R4
YA1219	снз-	n-C ₄ H ₉ O-(){	СН3-	Н
YA1220	СН3-	NO ₂	СН3-	Н
YA1221	СН3-	O ₂ N	CH3-	Н
YA1222	CH3-	O ₂ N-{{}	CH3-	Н
YA1223	CH3-	CN △→	CH3-	Н
YA1224	снз-	NC	снз-	Н
YA1225	CH3-	NC-{_}	СН3-	Н
YA1226	CH3-	NH ₂ →	CH3-	Н
YA1227	CH3-	H ₂ N	снз-	Н
YA1228	CH3-	H ₂ N-\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СН3-	Н
YA1229	CH3-	NMe ₂	CH3-	Н
YA1230	CH3-	Me ₂ N	CH3-	Н
YA1231	CH3-	Me ₂ N-{	CH3-	Н
YA1232	СН3-	Cv-\(\sqrt{\sq}}\sqrt{\sq}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}	снз-	Н
YA1233	СН3-	(N-{\)	СН3-	Н
YA1234	СН3-	Cn-()-1	CH3-	Н
YA1235	снз-		СН3-	Н
YA1236	CH3-		CH3-	Н
YA1237	СН3-	N-{-}-1	СН3-	н
YA1238	СН3-	~~~	СН3-	Н
YA1239	СН3-		СН3-	Н

No.	R1	R2	R3	R4
YA1240	CH3-	©n-{_}-{	СН3-	н
YA1241	CH3-	H3CN N-	CH3-	н
YA1242	CH3-	H ₃ CN N-	CH3-	н
YA1243	CH3-	H ₃ CN N-{}-{	СН3-	н
YA1244	СН3-	OCH ₃ F-√√	СН3-	Н
YA1245	СН3-	OCH ₃	снз-	Н .
YA1246	СН3-	OCH ₃	снз-	Н
YA1247	СН3-		снз-	Н
YA1248	CH3-	CC,	CH3-	Н
YA1249	CH3-	CH3	н	снз-
YA1250	CH3-	CH3CH2-	н	СН3-
YA1251	CH3-	^ \`\	Н	СН3-
YA1252	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3-
YA1253	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3-
YA1254	CH3-	人工	Н	СН3-
YA1255	CH3-	~~`	н	СН3-
YA1256	CH3-	丫	Н	СН3-
YA1257	СН3-	~ ~~``\	Н	СН3-
YA1258	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	СН3-
YA1259	СН3-	X	Н	СН3-
YA1260	СН3-	7	Н	CH3-

No.	R1	R2	R3	R4
YA1261	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	CH3-
YA1262	CH3-		н	СН3-
YA1263	СН3-	^ ~~`\	н	СН3-
YA1264	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3-
YA1265	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	СН3-
YA1266	CH3-		Н	СН3-
YA1267	СН3-		Н	СН3-
YA1268	CH3-		Н	СН3-
YA1269	СН3-		Н	СН3-
YA1270	CH3-	⊳⊣	Н	CH3-
YA1271	CH3-	\Diamond	Н	СН3-
YA1272	снз-		Н	СН3-
YA1273	СН3-	\bigcirc \dashv	Н	СН3-
YA1274	CH3-	\bigcirc \dashv	Н	CH3-
YA1275	CH3-	△ -{	н	CH3-
YA1276	СН3-		н	СН3-
YA1277	CH3-		Н	СН3-
YA1278	СН3-	\	Н	СН3-
YA1279	СН3-	- 	н	СН3-
YA1280	CH3-	F-{}-{	н	СН3-
YA1281	CH3-	F—(>-(Н	СН3-

No.	R1	R2	R3	R4
YA1282	СН3-	F———	н	СН3-
YA1283	CH3-	CI →	н	СН3-
YA1284	CH3-	CI	Н	снз-
YA1285	CH3-	CH	н	СН3-
YA1286	CH3-	c⊢ () - 1	н	СН3-
YA1287	CH3-	CH	Н	CH3-
YA1288	СН3-	Br	н	СН3-
YA1289	СН3	Br	н	СН3-
YA1290	СН3-	Br{}	Н	снз-
YA1291	СН3-	Br- ⟨ }-{	н	CH3-
YA1292	СН3-	Br—Q····{	Н	снз-
YA1293	СН3-	△ -₁	н	СН3-
YA1294	CH3-		н	CH3-
YA1295	CH3-		Н	снз-
YA1296	CH3-	CH₃ ◯>–{	Н	снз-
YA1297	CH3-	H ₃ C	Н	СН3-
YA1298	СН3-	H ₃ C-{{}}	Н	СН3-
YA1299	СН3-	C ₂ H ₅ -{_}-{	Н	СН3-
YA1300	СН3-	n-C ₃ H ₇ -{_}	н	CH3-
YA1301	СН3-	n-C ₄ H ₉ -{_}-{	Н	СН3-
YA1302	CH3-	он Д	Н	снз-

No.	R1	R2	R3	R4
YA1303	СН3-	HO HO	Н	CH3-
YA1304	CH3-	HO-{}-{	Н	CH3-
YA1305	CH3-	OCH₃	Н	СН3-
YA1306	CH3-	H ₃ CO	н	СН3-
YA1307	CH3-	H₃CO- {_ }—{	Н	СН3-
YA1308	CH3-	H₃CO- {_> -{	н	СН3-
YA1309	CH3-	H ₃ CO-{>\ \display	н	СН3-
YA1310	CH3-	OC ₂ H ₅	н	СН3-
YA1311	CH3-	C ₂ H ₅ O	н	СН3-
YA1312	СН3	C ₂ H ₅ O-{	Н	CH3-
YA1313	CH3-	n-C ₃ H ₇ O-{}	н	CH3-
YA1314	СН3-	n-C ₄ H ₉ O-{}-{	Н	СН3-
YA1315	CH3-	NO ₂	н	CH3-
YA1316	CH3-	O ₂ N	Н	СН3-
YA1317	СН3-	O ₂ N-{}	н	СН3-
YA1318	СН3-	CN	Н	CH3-
YA1319	СН3-	NC ;	н	СН3-
YA1320	CH3-	NC-{_}	Н	СН3-
YA1321	СН3-	NH₂ →	Н	СН3-
YA1322	CH3-	H ₂ N	Н	снз-
YA1323	CH3-	H ₂ N-\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	CH3-

No.	R1	R2	R3	R4
		NMe ₂		
YA1324	CH3-		Н	CH3-
YA1325	СН3-	Me ₂ N	Н	СН3-
YA1326	CH3-	Me ₂ N—(Н	СН3-
YA1327	СН3-	CN-\(\)	н	CH3-
YA1328	снз-		н	CH3-
YA1329	снз-	CN-{}-1	н	снз-
YA1330	снз-		н	CH3-
YA1331	СН3-	(n-()	н	CH3-
YA1332	СН3-	_\-_\-\	н	CH3-
YA1333	СН3-	○ v- 〈	н	CH3-
YA1334	СН3-		н	CH3-
YA1335	СН3-	o_n-<}-	н	СН3-
YA1336	СН3-	H3CN N-	Н	СН3-
YA1337	СН3-	H3CN_N-	Н	СН3-
YA1338	СН3-	H₃CN_N-{_}}	Н	СН3-
YA1339	СН3-	OCH₃ F—⟨□}	Н	CH3-
YA1340	СН3-	OCH ₃ F—C—	н	CH3-
YA1341	СН3-	OCH ₃	н	CH3-
YA1342	СН3-		н	CH3-
YA1343	СН3-	CCC'	н	СН3-
YA1344	снзсн2-	снз-	н	н

No.	R1	R2	R3	R4
YA1345	СНЗСН2-	CH3CH2-	Н	Н
YA1346	СНЗСН2-	^ \\	Н	Н
YA1347	СНЗСН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA1348	СНЗСН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA1349	СНЗСН2-	<u></u>	Н	Н
YA1350	СН3СН2-	~~`	Н	Н
YA1351	СНЗСН2-	丫	Н	Н
YA1352	СН3СН2-	^ ^\	Н	Н
YA1353	СНЗСН2-	\ \	Н	Н
YA1354	СНЗСН2-	X	Н	Н
YA1355	СНЗСН2-	$\stackrel{\sim}{\uparrow}$	Н	Н
YA1356	СНЗСН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA1357	СНЗСН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н
YA1358	СНЗСН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	н
YA1359	СНЗСН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н
YA1360	СНЗСН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	н
YA1361	снзсн2-		Н	Н
YA1362	СНЗСН2-		н	Н
YA1363	СНЗСН2-		н	Н
YA1364	СН3СН2-		н	н
YA1365	СНЗСН2-	⊳⊰	Н	Н

No.	R1	R2	R3	R4
YA1366	СН3СН2-	\Diamond - \downarrow	н	Н
YA1367	СН3СН2-	$\bigcirc \!$	н	Н
YA1368	СН3СН2-		н	н
YA1369	СН3СН2-	· O-1	Н	Н
YA1370	СН3СН2-	◯ −₹	Н	н
YA1371	снзсн2-		н	Н
YA1372	СН3СН2-	⊘ n.{	Н	Н
YA1373	СН3СН2-		н	Н
YA1374	СН3СН2-	F	Н	н
YA1375	СН3СН2-	F-{\}-{	н	н
YA1376	СН3СН2-	F-(-)	Н	н
YA1377	CH3CH2-	F	н	н
YA1378	CH3CH2-	CI	Н	н
YA1379	СН3СН2-	CI	н	н
YA1380	СНЗСН2-	CH	Н	н
YA1381	СНЗСН2-	c⊢ (_> -{	Н	Н
YA1382	СНЗСН2-	CH	н	Н
YA1383	СНЗСН2-	Br ←	Н	Н
YA1384	СН3СН2-	Br. →	Н	н
YA1385	СНЗСН2-	Br—{_}_{}	Н	н
YA1386	СН3СН2-	Br— (}	Н	н

No.	R1	R2	R3	R4
YA1387	снзсн2-		н	н
YA1388	СНЗСН2-		н	Н
YA1389	СН3СН2-	├	Н	Н
YA1390	СН3СН2-	├ ──}-{	н	Н
YA1391	СН3СН2-	CH₃ <—}	Н	Н
YA1392	СНЗСН2-	H₃C 	н	Н
YA1393	СН3СН2-	H ₃ C-{{}	Н	Н
YA1394	СНЗСН2-	C ₂ H ₅ -{_}	Н	Н
YA1395	СНЗСН2-	n-C ₃ H ₇ -{}-{	Н	Н
YA1396	СНЗСН2-		Н	Н
YA1397	СНЗСН2-	ОН	Н	Н
YA1398	CH3CH2-	HO T	Н	Н
YA1399	CH3CH2-		н	Н
YA1400	СН3СН2-	OCH₃ 	н	Н
YA1401	СН3СН2-	H₃CO ————————————————————————————————————	н	н
YA1402	СНЗСН2-		н	Н
YA1403	СН3СН2-		н	Н
YA1404	снзсн2-		н	Н
YA140 <u>5</u>	СН3СН2-	OC₂H₅ <hr/>	н	н
YA1406	CH3CH2-	C ₂ H ₅ O <a>C_2H₅O	н	Н
YA1407	CH3CH2-	C ₂ H ₅ O-{}	н	Н

List of Asian Astronomy States of the Con-

No.	R1	R2	R3	R4
YA1429	СН3СН2-		н	Н
YA1430	СН3СН2-	○ N-()-1	н	н
YA1431	СН3СН2-	H₃CN_N-⟨S	н	н
YA1432	СН3СН2-	H₃CN_N-⟨_}	Н	н
YA1433	СН3СН2-	H3CN_N-{_}-{	н	н
YA1434	СН3СН2-	OCH₃ F—⟨S)→{	н	Н
YA1435	СН3СН2-		н	. н
YA1436	CH3CH2-	OCH ₃ F——	н	Н
YA1437	СНЗСН2-		н	Н
YA1438	СН3СН2-		H	Н
YA1439	CH3CH2-	СН3-	Н	снз-
YA1440	CH3CH2-	CH3CH2-	н	СН3-
YA1441	CH3CH2-	∕ ∕∖	Н	СН3-
YA1442	СН3СН2-	\nearrow	Н	СН3-
YA1443	снзсн2-	\\\\	н	СН3-
YA1444	CH3CH2-		Н	СН3-
YA1445	СН3СН2-	~	Н	СН3-
YA1446	СН3СН2-	*	Н	СН3-
YA1447	CH3CH2~	^ \\	н	СН3-
YA1448	СН3СН2-	\ \	н	СН3-
YA1449	СН3СН2-	X.	Н	CH3-

, and the second section of the $\mathcal{L}_{\mathcal{A}}$

No.	R1	R2	R3	R4
YA1471	СН3СН2-	F-{_}{	н	СН3-
YA1472	СН3СН2-	F—{}···{	Н	СН3-
YA1473	СН3СН2-	CI CI ↑	Н	СН3-
YA1474	СН3СН2-	CI	Н	снз-
YA1475	СН3СН2-	C⊢ (_ }–{	н	СН3-
YA1476	СН3СН2-	c⊢(_ } -{	Н	СН3-
YA1477	СН3СН2-		н	СН3-
YA1478	СН3СН2-	Br ——	н	СН3-
YA1479	СНЗСН2-	Br. →	Н	снз-
YA1480	СНЗСН2-	Br—⟨}	н	СН3-
YA1481	СНЗСН2-	Br—{	н	снз-
YA1482	СНЗСН2-	Br—⟨v∤	н	снз-
YA1483	СНЗСН2-	◯ -₁	н	СН3
YA1484	СНЗСН2-	 	н	СН3-
YA1485	СНЗСН2-	⊢ ⊘≀	Н	снз-
YA1486	СН3СН2-	CH₃ <—>~	н	СН3-
YA1487	СНЗСН2-	H ₃ C >_{}	Н	СН3-
YA1488	СНЗСН2-	H₃C-⟨}-{	н	СН3-
YA1489	СНЗСН2-	C ₂ H ₅ -{_}	н	СН3-
YA1490	СНЗСН2-	n-C ₃ H ₇ {_}}{	н	СН3-
YA1491	СН3СН2-	n-C ₄ H ₉ -{_}-{	н	СН3-

No	R1	R2	l D2	D4
No.	 "" 	OH R2	R3	R4
YA1492	СН3СН2-		н	CH3-
YA1493	СН3СН2-	HO ———	Н	СН3-
YA1494	СН3СН2-		Н	CH3-
YA1495	СН3СН2-	OCH ₃	н	СН3-
YA1496	СНЗСН2-	H ₃ CO	н	CH3-
YA1497	СНЗСН2-	H ₃ CO-{{}	Н	СН3-
YA1498	СН3СН2-	H₃CO-{_}	н	CH3-
YA1499	СН3СН2-	L	Н	СН3-
YA1500	СН3СН2-	(/_ ₁	Н	CH3-
YA1501	СН3СН2-	C ₂ H ₅ O 	Н	СН3-
YA1502	СНЗСН2-	C ₂ H ₅ O-{	Н	СН3-
YA1503	СНЗСН2-	n-C ₃ H ₇ O-{}-{	н	СН3-
YA1504	СНЗСН2-	n-C₄H ₉ O-∕}-{	Н	СН3-
YA1505	СНЗСН2-	NO ₂	н	СН3-
YA1506	снзсн2-	O ₂ N 	н	СН3-
YA1507	СН3СН2-		Н	СН3-
YA1508	СНЗСН2-	CN	н	СН3-
YA1509	СНЗСН2-	NC	н	СН3-
YA1510	СН3СН2-	NC-{\rightarrow}-{	н	CH3-
YA1511	СН3СН2-	NH ₂	н	CH3-
YA1512	СН3СН2-	H ₂ N →	н	СН3-

No.	R1	R2	R3	R4
YA1513	СН3СН2-	H ₂ N-(Н	СН3-
YA1514	СН3СН2-	NMe ₂	Н	СН3-
YA1515	СН3СН2-	Me ₂ N	Н	СН3-
YA1516	СН3СН2-	Me₂N-{	Н	СН3-
YA1517	СН3СН2-		Н	СН3-
YA1518	СН3СН2-		Н	CH3-
YA1519	СНЗСН2-		Н	СН3-
YA1520	СН3СН2-		н	CH3-
YA1521	СН3СН2-		н	СН3-
YA1522	СНЗСН2-	_n-<>-:	н	СН3-
YA1523	СН3СН2-	O_N-{\}	Н	СН3-
YA1524	СН3СН2-	o_v-<>}	н	CH3-
YA1525	СН3СН2-	o_n-{_}-{	Н	снз-
YA1526	СН3СН2-	H₃CN_N-⟨S	Н	СН3-
YA1527	СН3СН2-	H₃CN_N-⟨_}	Н	СН3-
YA1528	СН3СН2-	H₃CN_N-{_}-{	Н	CH3-
YA1529	CH3CH2-	· 🖳 '	Н	CH3-
YA1530	СН3СН2-	OCH ₃	Н	СН3-
YA1531	СН3СН2-	OCH ₃	н	снз-
YA1532	СНЗСН2-	CQ	Н	CH3-
YA1533	СН3СН2-		н	CH3-

No.	STRUCTURE
YA1534	GH, N
YA1535	H ₅ C ₀ H ₅ H ₅
	CIH CIH
YA1536	GH GH N N N N N N N N N N N N N N N N N
YA1537	M _C N CM,
YA1538	CH N CH,
YA1539	No. CO.
YA1540	
YA1541	

YA1542	CIH N N O OH,
YA1543	
YA1544	THE
YA1545	STATE OF THE PROPERTY OF THE P
YA1546	E H E E E E E E E E E E E E E E E E E E
YA1547	

YA1548	на на СМ
	H _C C
YA1549	HG HG NN
YA1550	Ed.
YA1551	CH CH Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z
YA1552	
YA1553	HCI N N CH N

<u> </u>	
YA1554	на м
	CI N CH,
YA1555	HO HO NO
YA1556	HO HO HO THE
YA1557	HG HG N N N N N N N N N N N N N N N N N
YA1558	M,C, O, N, N, OH, OH, OH, OH, OH, OH, OH, OH, OH, OH
YA1559	Ha Ha Ha N N N N N N N N N N N N N N N N
YA1560	H ₃ C-N HG HG N N HG N N HG N N N N N N N N N

YA1561	HO HO N N N N N N N N N N N N N N N N N
YA1562	HO \(\text{N} \)
YA1563	HO Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z
YA1564	Ho F F F F F F F F F F F F F F F F F F F
YA1565	
YA1566	H, C, N,
YA1567	2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2
YA1568	

VA4EGO	N N
YA1569	HO— N N CH,
YA1570	CH, N N N N N N N N N N N N N N N N N N N
YA1571	H ₂ CC N N N N N N N N N N N N N N N N N N
YA1572	x,c
YA1573	N N D D D D D D D D D D D D D D D D D D
YA1574	
YA1575	F N N N N N N N N N N N N N N N N N N N

D. 4 4 5 = -	· · · · · · · · · · · · · · · · · · ·
YA1576	H,C N N N N N N N N N N N N N N N N N N N
YA1577	Z
YA1578	CH, CH, N
YA1579	CH, O CH, N N N N N N N N N N N N N N N N N N N
YA1580	
YA1581	a C C C C C C C C C C C C C C C C C C C
YA1582	C C C C C C C C C C C C C C C C C C C

NA 4500	
YA1583	\$\\\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\
YA1584	H,C Y O Y O Y O Y O Y O Y O Y O Y O Y O Y
YA1585	**,c \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \
YA1586	F 5
YA1587	H ₂ C Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z
YA1588	H _c c Z Z - H _c
YA1589	\$\frac{x^2}{2} \\ \frac{x^2}{2} \\ \frac
YA1590	

Table-4				·- · · · · · · · · · · · · · · · · · ·	
		R ₃ R ₄ N N O R ₁			
No.	R1	R ₅	R3	R4	R5
YB1	CH3-	СН3-	н	Н	Н
YB2	СН3-	CH3CH2-	Н	Н	Н
YB3	снз-	<u> </u>	Н	Н	Н
YB4	СН3-	74	н	Н	Н
YB5	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н	Н
YB6	CH3-	人、	Н	Н	Н
YB7	CH3-	7	Н	Н	Н
YB8	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н	Н
YB9	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н	Н
YB10	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	Н
YB11	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	Н
YB12	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	н
YB13	СН3-	0,,	Н	Н	Н
YB14	CH3-	Qui	Н	Н	Н
YB15	СН3-	Q	Н	Н	Н

No.	R1	R2	R3	R4	R5
YB16	СН3-		Н	Н	Н
YB17	СН3-		н	Н	н
YB18	CH3-		Н	Н	н
YB19	СН3-	F	Н	Н	Н
YB20	снз-	F	Н	Н	Н
YB21	СН3-	F-(-)(н	Н	Н
YB22	CH3-	CI	н	Н	Н
YB23	CH3-	CI →	Н	Н	Н
YB24	СН3-	C⊢ (н	Н	Н
YB25	СН3-	Br	н	Н	Н
YB26	СН3-	Br. →	Н	Н	Н
YB27	СН3-	Br—{	Н	Н	Н
YB28	СН3-	CH₃	Н	Н	Н
YB29	СН3-	H ₃ C	н	н	Н
YB30	СН3-	H ₃ C-{}	Н	Н	Н
YB31	СН3-	C ₂ H ₅ -{{{1}}	Н	Н	Н
YB32	СН3-	OH ————————————————————————————————————	Н	Н	Н
YB33	снз-	HO	н	Н	Н

No.	R1	R2	R3	R4	R5
YB34	СН3-	HO-{\bigs_}-\{	Н	Н	Н
YB35	СН3-	OCH ₃	Н	Н	Н
YB36	СН3-	H₃CO —∤	Н	Н	Н
YB37	СН3-	H ₃ CO-{{}}	Н	Н	Н
YB38	СН3-	C ₂ H ₅ O-{_}-{	Н	Н	Н
YB39	СН3-	NO ₂	Н	Н	Н
YB40	СН3-	O ₂ N	н	Н	Н
YB41	CH3-	O ₂ N-{}	Н	Н	Н
YB42	снз-	CN →	Н	Н	Н
YB43	СН3-	NC{	Н	Н	Н
YB44	СН3-	NC-{}-{	Н	Н	Н
YB45	СН3-	ChO	Н	Н	Н
YB46	СН3-		Н	Н	н
YB47	СН3-	CCC 's	Н	Н	Н
YB48	СН3-	Q _N	Н	Н	Н
YB49	СН3-	FON	Н	Н .	Н
YB50	СН3-	O'N	Н	Н	Н
YB51	СН3-	Q _n ⁿ	н	Н	н

No.	R1	R2	R3	R4	R5
YB52	СН3-		ОН	Н	Н
YB53	СН3-	F	ОН	н	Н
YB54	снз-	F	ОН	н	н
YB55	снз-	F-(-)(ОН	Н	Н
YB56	снз-	CI	ОН	Н	Н
YB57	СН3-	CI	он	н	н
YB58	снз-	C⊢{_}-{	он	н	н
YB59	СН3-	Br	он	Н	н
YB60	СН3-	Br.	ОН	н	H
YB61	СН3-	Br—{_}{	ОН	Н	Н
YB62	снз-	CH₃ —{	ОН	н	н
YB63	СН3-	H ₃ C	ОН	Н	Н
YB64	СН3-	H ₃ C-{}	он	Н	Н
YB65	CH3-	C ₂ H ₅ —{}	он	Н	Н
YB66	CH3-	OH OH	ОН	Н	Н
YB67	CH3-	HO HO	он	Н	Н
YB68	снз-	но-{-}	ОН	Н	н
YB69	CH3-	OCH ₃	ОН	Н	Н

No.	R1	R2 H ₃ CQ	R3	R4	R5
YB70	снз-	H ₃ CO	он	Н	Н .
YB71	СН3-	H ₃ CO-{	он	Н	Н
YB72	СН3-	C ₂ H ₅ O-{	он	Н	н
YB73	снз-	NO ₂	он	Н	Н
YB74	снз-	O ₂ N	он	н	н
YB75	снз-	O ₂ N-{_}	он	Н	Н
YB76	снз-	CN	он	Н	н
YB77	СН3-	NC	он	Н	н
YB78	снз-	NC-{}	он	Н	Н
YB79	снз-	ChO	он	н	Н
YB80	СН3-		он	н	Н
YB81	снз-	CCY	ОН	Н	Н
YB82	СН3-	△	CN	н	н
YB83	СН3-	F 	СИ	н	Н
YB84	снз-	F	CN	Н	Н
YB85	СН3-	F-{_}-{	CN	Н	Н
YB86	снз-	CI →	CN	Н	Н
YB87	снз-	CI <u></u>	CN	н	Н

No.	R1	R2	R3	R4	R5
YB88	снз-	C⊢	CN	Н	н
YB89	снз-	Br	CN	Н	н
YB90	СН3-	Br.	CN	Н	н
YB91	СН3-	Br—{_}{	CN	н	н
YB92	СН3-	CH ₃	CN	н	н
YB93	СН3-	H ₃ C	CN	н	Н
YB94	снз-	H ₃ C-{}-{	CN	Н	н
YB95	снз-	C ₂ H ₅ —{}	CN	Н	н
YB96	СН3-	OH	CN	Н	н
YB97	снз-	HO HO	CN	Н	н
YB98	СН3-	HO-{\bigcirc}{	CN	Н	Н
YB99	снз-	OCH ₃	CN	Н	н
YB100	снз-	H ₃ CO	CN	Н	н
YB101	снз-	H ₃ CO-{{}	СИ	Н	н
YB102	снз-		CN	Н	Н
YB103	СН3-	NO ₂	CN	Н	Н
YB104	снз-	O ₂ N ;	CN	Н	н
YB105	СН3-	O ₂ N-{_}{	CN	Н	н

No.	R1	R2	R3	R4	R5
YB106	СН3-	CN ◯→{	CN	Н	н
YB107	CH3-	NC	CN	Н	Н
YB108	СН3-	NC-{}	CN	Н	Н
YB109	СН3-	CNO	CN	Н	Н
YB110	СН3-		CN	Н	Н
YB111	CH3-		CN	н	н
YB112	СН3-	Н	н	CH3-	Н
YB113	CH3-	Н	Н	СН3СН2-	Н
YB114	CH3-	Н	Н	∕ ∖\	Н
YB115	CH3-	н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н
YB116	СН3-	Н	Н	\\\ \\	Н
YB117	CH3-	Н	Н	人、	Н
YB118	CH3-	Н	Н	丫	Н
YB119	CH3-	н	Н	^ ✓ ` \	Н
YB120	CH3-	H	н	\ \	Н
YB121	CH3-	Н	H .	\\\\ \\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н
YB122	СН3-	Н	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н
YB123	CH3-	н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н

No.	R1	R2	R3	R4	R5
YB124	СН3-	н	н		Н
YB125	СН3-	н	Н		Н
YB126	СН3-	Н	н		Н
YB127	СН3-	Н	Н	○ >	н
YB128	СН3-	н	Н	F —;	Н
YB129	снз-	н	н	F	н
YB130	СН3-	Н	Н	F-{-}-{	Н
YB131	СН3-	Н	Н	CI	Н
YB132	СН3-	Н	Н	CI	Н
YB133	CH3-	Н	н	CH{\}{\}	Н
YB134	СН3-	Н	н	CI———	н
YB135	СН3-	Н	н	Br	Н
YB136	СН3-	Н	Н	Br.	Н
YB137	СН3-	Н	Н	Br—{}	Н
YB138	СН3-	н	н	CH ₃	н
YB139	СН3-	Н	Н	H ₃ C	Н
YB140	CH3-	Н	н	H ₃ C-{	Н
YB141	СН3-	Н .	н	C ₂ H ₅ {	н

No.	R1	R2	R3	R4	R5
YB142	СН3-	н	н	ОН	Н
YB143	СН3-	Н	Н	HO —	Н
YB144	снз-	Н	Н	HO-{=}-{	Н
YB145	СН3-	Н	Н	OCH ₃	Н
YB146	СН3-	Н	Н	H ₃ CO	Н
YB147	снз-	H	Н	H ₃ CO-{_}-{	Н
YB148	СН3-	Н	Н	C ₂ H ₅ O-{}	н
YB149	СН3-	Н	Н	NO ₂	н
YB150	СН3-	Н	н	O ₂ N	Н
YB151	СН3-	Н	Н	O ₂ N-{_}-{	Н
YB152	СН3-	Н	Н	CN	Н
YB153	снз-	Н	Н	NC	Н
YB154	CH3-	Н	Н	NC-{}-{	Н
YB155	CH3-	Н	Н		Н
YB156	СН3-	н	Н	CCT'	н
YB157	СН3-	Н	Н	FO	Н
YB158	СН3-	Н	Н	H ₃ C	Н
YB159	СН3-	Н	Н	F-S	Н

No.	R1	R2	R3	R4	R5
	1.3.				
YB160	СН3-	Н	H	F ON	Н
YB161	СН3-	н	н	FUN	Н
YB162	снз-	н	Н		Н
YB163	снз-	н	н	N S	н
YB164	СН3-	Н	н	<u></u>	он
YB165	СН3-	Н	Н	F	он
YB166	СН3-	Н	Н	F	он
YB167	СН3-	н	Н	F-{}-{	он
YB168	СН3-	Н	Н	CI	он
YB169	СН3-	н	Н	CI	он
YB170	CH3-	Н	Н	C⊢ (}	он
YB171	СН3-	Н	Н	Br	он
YB172	СН3-	Н	н	Br	он
YB173	СН3-	Н	Н	Br—{}	он
YB174	СН3-	н	Н	CH ₃	он
YB175	СН3-	н	Н	H ₃ C	он
YB176	СН3-	н	Н	H ₃ C-{{}	он
YB177	СН3-	Н	Н	C ₂ H ₅ -{_}-{	ОН

No.	R1	R2	R3	R4	R5
YB178	СН3-	Н	Н	OH ————————————————————————————————————	ОН
YB179	СН3-	Н	Н	HO —>—	он
YB180	СН3-	Н	Н	HO-{}	он
YB181	снз-	Н	Н	OCH₃	он
YB182	СН3-	Н	Н	H ₃ CO	он
YB183	снз-	Н	Н	H ₃ CO-{{}}	он
YB184	СН3-	Н	Н	C ₂ H ₅ O-{	ОН
YB185	снз-	Н	Н	NO ₂	он
YB186	СН3-	Н	Н	O ₂ N —}	он
YB187	СН3-	Н	Н	O ₂ N-{}	он
YB188	СН3-	Н	Н	CN ←	он
YB189	CH3-	Н	Н	NC ;	он
YB190	СН3-	Н	Н	NC-{\rightarrow}-{\rightarrow}	он
YB191	СН3-	Н	Н		он
YB192	СН3-	Н	Н	OCT'	он
YB193	СН3-	Н	Н	<u></u>	CN
YB194	СН3-	Н	Н	F {\}{\}	CN
YB195	СН3-	Н	н	F	CN

No.	R1	R2	R3	R4	R5
YB196	СН3-	н	Н	F-{}-{	CN
YB197	CH3-	Н	Н	CI →	CN
YB198	СН3-	Н	Н	CI	CN
YB199	СН3-	Н	Н	C⊢ (_)—{	CN
YB200	СН3-	Н	Н	Br ←}	CN
YB201	СН3-	Н	Н	Br	CN
YB202	СН3-	Н	Н	Br- √_ }-{	CN
YB203	СН3-	Н	н	CH ₃	CN
YB204	СН3-	Н	Н	H ₃ C	CN
YB205	CH3-	Н	Н	H ₃ C-{	CN
YB206	СН3-	н	н	C ₂ H ₅ —{}	CN
YB207	СН3-	Н	н	OH →	CN
YB208	СН3-	Н	н	HO HO	CN
YB209	СН3-	Н	Н	но-{-}}	CN
YB210	СН3-	Н	Н	OCH ₃	CN
YB211	СН3-	Н	Н	H ₃ CO	CN
YB212	СН3-	Н	Н	H ₃ CO-{}_{{}}	CN
YB213	СН3-	Н	Н	C ₂ H ₅ O-{}	CN

No.	R1	R2	R3	R4	R5
YB214	снз-	н	н	NO ₂	CN
YB215	СН3~	Н	Н	O ₂ N	CN
YB216	СН3-	Н	Н	O ₂ N-{	CN
YB217	снз-	Н	Н	CN	CN
YB218	СН3-	Н	Н	NC	CN
YB219	СН3-	Н	н	NC-{}	CN ·
YB220	СН3-	Н	Н		CN
YB221	СН3-	Н	Н	CC	CN
YB222	СН3-	Н	Н	⟨ }-{	0=\
YB223	СН3-	Н	Н	F 	0
YB224	снз-	Н	Н	F) - -
YB225	СН3-	н	н	F-{}-{	0=\}.
YB226	СН3-	Н	Н	CI	0=\}
YB227	CH3-	Н	Н	CI →	0
YB228	СН3-	Н	н	C⊢(0=
YB229	СН3-	Н	Н	Br	0
YB230	СН3-	Н	н	Br.	0=
YB231	CH3-	н	Н	Br-{}-{	0=

No.	R1	R2	R3	R4	R5
				,CH₃	0
YB232	CH3-	Н	Н	⟨ }_{!	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YB233	СН3-	Н	Н	H ₃ C	<u></u>
YB234	СН3-	Н	Н	H ₃ C-{}-{	<u></u>
YB235	СН3-	Н	Н	C ₂ H ₅ —{}	0
YB236	СН3-	Н	Н	OH OH)=\ \
YB237	СН3-	Н	н	HO HO	0
YB238	СН3-	Н	Н	HO-{\(\)\{	
YB239	СН3-	н	Н	OCH ₃	O
YB240	СН3-	н	Н	H ₃ CO	
YB241	СН3-	Н	Н	H ₃ CO-{}	0
YB242	СН3-	Н	Н	C_2H_5O	
YB243	СН3-	н	Н	NO ₂	<u></u>
YB244	CH3-	н	Н	O ₂ N	<u></u>
YB245	СН3-	н	н	O ₂ N-{}	
YB246	СН3-	Н	Н	CN	<u></u>
YB247	СН3-	Н	Н	NC →	
YB248	СН3-	Н	Н	NC-{}	
YB249	СН3-	Н	Н		0

No.	R1	R2	R3	R4	R5
YB250	СН3-	Н	Н	CCC 's	_\\

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YB267	CH, NN NN OH, OH,
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YB270	M,C , , , , , , , , , , , , , , , , , ,
YB271	H,c-N N N N N N N N N N N N N N N N N N N
YB272	
YB273	H _D OH,
YB274	
YB275	

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YB276	
YB277	
YB278	

Particularly preferred compounds of the present invention represented by formula (I) include:

2.(3-Phenylpiperazin-layl)-3-mothyl 6.(4 pyridyl) 2.H pyrimidin 4 case.

Consider a consideration and the first of the con-

2-(3-Phenylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
2-(3-(4-Fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
2-(3-(3-Fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
2-(3-(2-Fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
2-(3-(4-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
(S)-2-(3-(4-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
(R)-2-(3-(4-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;

 $2\hbox{-}(3\hbox{-}(3\hbox{-}Chlorophenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3$$H$-pyrimidin-4-one;$ $2\hbox{-}(3\hbox{-}(2\hbox{-}Chlorophenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3$$H$-pyrimidin-4-one;$ 2-(3-(4-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(3-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(2-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(4-Methylphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(3-Methylphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(2-Methylphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(4-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(3-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(2-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(4-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(3-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; $2\hbox{-}(3\hbox{-}(2\hbox{-}Methoxyphenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3$$H$-pyrimidin-4-one;$ 2-(3-(2-Ethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(5-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3Hpyrimidin-4-one;

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2-(3-(4-Fluoro-3-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
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- 2-(3-(4-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- (S)-2-(3-(4-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- (R)-2-(3-(4-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;

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- 2-(3-(4-Chloro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(3-(4-Fluoro-2-methylphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2-Fluoro-6-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(5-Bromo-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimid in-4-one;
- $2\text{-}(3\text{-}(2\text{-Bromo-}4\text{-fluorophenyl}) piperazin-1\text{-}yl)-3\text{-methyl-}6\text{-}(4\text{-pyridyl})-3H-pyrimidin-}4\text{-}one;$
- 2-(3-(2-Chloro-6-fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2,4-Difluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2,6-Difluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2,6-Dichlorophenyl) piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- $2-(3-(2,4-{\bf Dimethoxyphenyl}) {\bf piperazin-1-yl})-3-{\bf methyl-6-(4-pyridyl)-3} \\ {\it H-pyrimidin-4-one};$

- 2-(3-(3,4-Dimethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2,5-Dimethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2,6-Dimethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2,4-Difluoro-6-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(5-Cyano-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(3-(4-Cyano-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(1-Naphthyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2-Naphthyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2,3-Dihydrobenzofuran-7-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- $2\hbox{-}(3\hbox{-}(Benzo furan-2\hbox{-}yl)piperazin-1\hbox{-}yl)\hbox{-}3\hbox{-}methyl\hbox{-}6\hbox{-}(4\hbox{-}pyridyl)\hbox{-}3H\hbox{-}pyrimidin-4\hbox{-}one;$
- (S)-2-(3-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-(Pyrrolidin-1-yl-methyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-(Pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2-methoxy-4-(pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2-methoxy-5-(pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-(Phenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;

- 2-(3-(4-(4-Fluorophenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(3-(4-(4-Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(3-(4-(2-Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-(Morpholin-4-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(3-(4-(4-Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(4-Phenylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(4-Benzylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- $\hbox{2-(4-Benzoylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3} \textit{H-pyrimidin-4-one};$
- 2-(4-(1,2-Benzisothiazol-3-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(4-Methyl-3-phenylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- (S)-2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- (R)-2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(4-Acetyl-3-(4-fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(4-Benzyl-3-(4-fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(4-Benzyl-3-(ethoxycarbonyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;

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2-(4-methyl-3-(1-naphthyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(5,5-Dimethyl-3-(2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-Phenylpiperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(4-Fluorophenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(3-Fluorophenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(2-Fluorophenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(4-Chlorophenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(4-Bromophenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
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[2] 2. 化光光理器理器定式设计器

(S)-2-(3-(4-(Pyrrolidin-1-yl-methyl)phenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;

pyrimidin-4-one;

2-(3-(3-Methoxyphenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;

2-(3-(2-Methoxyphenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;

2-(3-(4-((Pyrrolidin-1-yl)methyl)phenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-

- (R)-2-(3-(4-(Pyrrolidin-1-yl-methyl)phenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- $2-(3-Hydroxy-3-phenylpiperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ 2-(3-Phenylpiperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;\\ 2-(3-(4-Fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;\\ 2-(3-(3-Fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;\\ 2-(3-(2-Fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;\\ 2-(3-(4-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;\\ 3-(3-(4-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;\\ 3-(3-(4-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H$
- 2-(3-(3-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;

- $2-(3-(2-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3 \emph{H-pyrimidin-4-}\\$ one;
- 2-(3-(4-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(3-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(2-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(3-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one:
- 2-(3-(2-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(3-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2-Ethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(6-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(5-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;

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(R)-2-(3-(4-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;

2-(3-(4-Chloro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;

2-(3-(5-Bromo-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;

2-(3-(2,6-Dichlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;

2-(3-(2,4-Dimethoxyphenyl) piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;

2-(3-(3,4-Dimethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;

2-(3-(2,5-Dimethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;

2-(3-(2,6-Dimethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;

2-(3-(2,4-Difluoro-6-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;

 $2\hbox{-}(3\hbox{-}(1\hbox{-}Naphthyl)piperazin-1\hbox{-}yl)\hbox{-}3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)\hbox{-}3$$H$-pyrimidin-4-one;$

2-(3-(2-Naphthyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;

2-(3-(2,3-Dihydrobenzofuran-7-yl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;

 $2-(3-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3\emph{H-pyrimidin-4-one}; \\$

2-(3-(4-(Pyrrolidin-1-yl-methyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;

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- 2-(3-(4-(Pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2-methoxy-4-(pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2-methoxy-5-(pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(4-(Phenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(4-(4-Fluorophenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(4-(4-Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(4-(2-Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(4-(Morpholin-4-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(4-(4-Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- (S)-2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- (R)-2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(4-Acetyl-3-(4-fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(4-Benzyl-3-(4-fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;

2-(4-(4-Fluorophenyl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
2-(4-Cyano-4-phenylpiperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
2-(4-(6-Fluorobenofuran-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;

- 2-(3-(Benzoisoxazol-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- (S)-2-(3-(Benzoisoxazol-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- (R)-2-(3-(Benzoisoxazol-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(6-Fluorobenzoisoxazol-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(4-(6-Fluorobenzoisoxazol-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(4-(5-Methylbenzofuran-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one; and
- 2-(4-(6-Fluorobenzothiophene-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one.

Salts of the aforementioned preferred compound, and solvates or hydrates of the aforementioned compounds and salts thereof are also preferred.

The 3-substituted-4-pyrimidone compounds represented by the aforementioned formula (I) can be prepared, for example, according to the method explained below.

$$(III)$$

$$(X)_{p} \longrightarrow (X)_{q} \longrightarrow (X)_{q$$

(In the above scheme, definitions of Q, R, X and Y are the same as those already described.)

The 2-thiopyrimidone represented by the above formula (III) is prepared easily by a modification of the method described in EP 354,179. The reaction may be carried out in the presence of a base such as sodium hydroxide, potassium hydroxide, sodium methoxide, sodium ethoxide, potassium tert-butoxide, sodium carbonate, sodium hydrogencarbonate, potassium carbonate, triethylamine, diisopropylethylamine, and 1,8-diazabicyclo[5,4,0]undec-7-en for 1 to 100 hours at a suitable temperature ranging from 0 °C to 200 °C under nitrogen or argon atmosphere or under ordinary air to afford the desired compound (III). Examples of a solvent for the reactions include, for example, alcoholic solvent such as methanol, ethanol, 1-propanol, isopropanol, tert-butanol, ethylene glycol, propylene glycol; etheric solvents such as diethyl ether, tert-butyl methyl ether, tetrahydrofuran, isopropyl ether; hydrocarbonic solvents such as benzene, toluene, xylene; halogenated hydrocarbonic solvents such as dichloromethane, chloroform, dichloroethane; aprotic polar solvents such as formamide, N,N-dimethylformamide, N,N-dimethylacetamide, N-methylpyrrolidone, dimethyl sulfoxide, sulfolane, hexamethylphosphoric triamide, water and the like. Generally, a single solvent or a mixture of two or more solvents may be used so as to be suitable to a base used.

Then the 2-thiopyrimidone derivative (III) is transformed into the 2-chloropyrimidone (IV) by a chlorinating agent. The reaction time and temperature depend on the chlorinating agent used. Examples of a chlorinating agent for the reactions include, for example, thionyl chloride, thionyl chloride and

dimethylformamide, phosphorus oxychloride, phosphorus oxychloride and dimethylformamide, oxalyl chloride, phosphorous oxychloride and dimethylformamide, and phosphorus pentachloride.

The amine represented by the above formula (V) may be prepared by a modification of the method described in Japanese Patent Unexamined Publication [Kokai] No. 52-139085/1977 or according to well-known methods of one skilled in the art.

Then the chloride derivative (IV) is allowed to react with the amine (V) or salts thereof in the presence of a base such as sodium hydroxide, potassium hydroxide, sodium methoxide, sodium ethoxide, sodium carbonate, sodium hydrogencarbonate, potassium carbonate, triethylamine, diisopropylethylamine, and 1,8-diazabicyclo[5,4,0]undec-7-en for 0.1 to 100 hours at a suitable temperature ranging from 0 °C to 200 °C under nitrogen or argon atmosphere or under ordinary air to afford the desired compound (II).

Examples of a solvent for the reactions include, for example, alcoholic solvent such as methanol, ethanol, 1-propanol, isopropanol, tert-butanol, ethylene glycol, propylene glycol; etheric solvents such as diethyl ether, tert-butyl methyl ether, tetrahydrofuran, isopropyl ether; hydrocarbonic solvents such as benzene, toluene, xylene; halogenated hydrocarbonic solvents such as dichloromethane, chloroform, dichloroethane; aprotic polar solvents such as formamide, N,N-dimethylformamide, N,N-dimethylacetamide, N-methylpyrrolidone, dimethyl sulfoxide, sulfolane, hexamethylphosphoric triamide, water and the like. Generally, a single solvent or a mixture of two or more solvents may be used so as to be suitable to a base used.

The compounds of the present invention have inhibitory activity against TPK1, and they inhibit TPK1 activity in neurodegenerative diseases like Alzheimer disease, thereby suppress the neurotoxicity of A β and the formation of PHF and inhibit the nerve cell death. Accordingly, the compounds of the present invention

are useful as an active ingredient of a medicament which radically enables preventive and/or therapeutic treatment of Alzheimer disease. In addition, the compounds of the present invention are also useful as an active ingredient of a medicament for preventive and/or therapeutic treatment of ischemic cerebrovascular accidents, Down syndrome, cerebral bleeding due to solitary cerebral amyloid angiopathy, progressive supranuclear palsy, subacute sclerosing panencephalitis, postencephalitic parkinsonism, pugilistic encephalosis, Guam parkinsonism-dementia complex, Lewy body disease, Pick's disease, corticobasal degeneration frontotemporal dementia, vascular dementia, acute stroke and traumatic injuries, brain and spinal cord trauma, peripheral neuropathies, retinopathies and glaucoma, non-insulin dependent diabetes (such as diabetes type II), and obesity, manic depressive illness, schizophrenia, alopecia, cancers such as breast cancer, non-small cell lung carcinoma, thyroid cancer, T or B-cell leukemia and several virus-induced tumors.

As the active ingredient of the medicament of the present invention, a substance may be used which is selected from the group consisting of the compound represented by the aforementioned formula (I) and pharmacologically acceptable salts thereof, and solvates thereof and hydrates thereof. The substance, per se, may be administered as the medicament of the present invention, however, it is desirable to administer the medicament in a form of a pharmaceutical composition which comprises the aforementioned substance as an active ingredient and one or more of pharmaceutical additives. As the active ingredient of the medicament of the present invention, two or more of the aforementioned substance may be used in combination. The above pharmaceutical composition may be supplemented with an active ingredient of other medicament for the treatment of, for example, Alzheimer disease, vascular dementia, acute stroke and traumatic injuries, brain and spinal cord trauma, peripheral neuropathies, retinopathies and glaucoma, non-insulin dependent diabetes (such as diabetes type II), and obesity, manic depressive illness,

schizophrenia, alopecia, cancers such as breast cancer, non-small cell lung carcinoma, thyroid cancer, T or B-cell leukemia and several virus-induced tumors.

A type of the pharmaceutical composition is not particularly limited, and the composition may be provided as any formulation for oral or parenteral administration. For example, the pharmaceutical composition may be formulated, for example, in the form of pharmaceutical compositions for oral administration such as granules, fine granules, powders, hard capsules, soft capsules, syrups, emulsions, suspensions, solutions and the like, or in the form of pharmaceutical compositions for parenteral administrations such as injections for intravenous, intramuscular, or subcutaneous administration, drip infusions, transdermal preparations, transmucosal preparations, nasal drops, inhalants, suppositories and the like. Injections or drip infusions may be prepared as powdery preparations such as in the form of lyophilized preparations, and may be used by dissolving just before use in an appropriate aqueous medium such as physiological saline.

Sustained-release preparations such as those coated with a polymer may be directly administered intracerebrally.

Types of pharmaceutical additives used for the manufacture of the pharmaceutical composition, content rations of the pharmaceutical additives relative to the active ingredient, and methods for preparing the pharmaceutical composition may be appropriately chosen by those skilled in the art. Inorganic or organic substances, or solid or liquid substances may be used as pharmaceutical additives. Generally, the pharmaceutical additives may be incorporated in a ratio ranging from 1% by weight to 90% by weight based on the weight of an active ingredient.

Examples of excipients used for the preparation of solid pharmaceutical compositions include, for example, lactose, sucrose, starch, talc, cellulose, dextrin, kaolin, calcium carbonate and the like. For the preparation of liquid compositions for oral administration, a conventional inert diluent such as water or a vegetable oil

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may be used. The liquid composition may contain, in addition to the inert diluent, auxiliaries such as moistening agents, suspension aids, sweeteners, aromatics, colorants, and preservatives. The liquid composition may be filled in capsules made of an absorbable material such as gelatin. Examples of solvents or suspension mediums used for the preparation of compositions for parenteral administration, e.g. injections, suppositories, include water, propylene glycol, polyethylene glycol, benzyl alcohol, ethyl oleate, lecithin and the like. Examples of base materials used for suppositories include, for example, cacao butter, emulsified cacao butter, lauric lipid, witepsol.

Dose and frequency of administration of the medicament of the present invention are not particularly limited, and they may be appropriately chosen depending on conditions such as a purpose of preventive and/or therapeutic treatment, a type of a disease, the body weight or age of a patient, severity of a disease and the like. Generally, a daily dose for oral administration to an adult may be 0.01 to 1,000 mg (the weight of an active ingredient), and the dose may be administered once a day or several times a day as divided portions, or once in several days. When the medicament is used as an injection, administrations may preferably be performed continuously or intermittently in a daily dose of 0.001 to 100 mg (the weight of an active ingredient) to an adult.

Examples

The present invention will be explained more specifically with reference to examples. However, the scope of the present invention is not limited to the following examples. The compound numbers in the examples correspond to those in the table above.

Reference Example 1: Synthesis of 2-mercapto-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one

A solution of ethyl 3-oxo-3-(4-pyridyl)propionate (29.0 g, 150 mmol), N-methyl thiourea (40.6 g, 450 mmol) and 1,8-diazabicyclo[5,4,0]-7-undecene (22.4 ml, 150 mmol) was refluxed for 4 hours and the solution of methanesulfonic acid (14.4 g, 150 mmol) in water (50 ml) was added after cooling by ice-water. The precipitate was washed with water, filtered and dried to give the title compound (23.7 g, 72%).

¹H-NMR (DMSO-d₆) δ : 3.58(s, 3H), 6.40(s, 1H), 7.72(dd, J=1.8, 4.5Hz, 2H), 8.73(dd, J=1.5, 4.8Hz, 2H), 12.92(brd, 1H).

Reference Example 2: Synthesis of 2-chloro-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one

Phosphorous oxychloride (26.11g, 170 mmol) was added to dimethylformamide(180 ml) and stirred 20 min. 2-Mercapto-3-methyl-6-(4-pyridyl)-pyrimidine-4-one (24.15 g, 110 mmol) was added to the solution and stirred 5 min and then stirred at 70° C for 2 hours. Ethyl acetate (630 ml) was added to the ice-cooled solution and precipitate was collected by filtration after stirring for 20 minutes. After drying, the precipitate was dissolved in water (400 ml) and pH was adjusted to 10 by using aqueous sodium hydroxide. The precipitate was washed with water, filtered and dried to give the title compound (18.82 g, 77%).

1H-NMR (CDCl₃) δ : 3.72(s, 3H), 6.90(s, 1H), 7.78(dd, J=1.7, 4.5Hz, 2H), 8.75(dd, J=1.6, 4.5Hz, 2H).

Reference Example 3: Synthesis of 2-mercapto-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one

A solution of ethyl 3-oxo-3-(4-pyrimidyl)propionate (34.1 g, 176 mmol), N-methyl thiourea (47.5 g, 527 mmol) and 1,8-diazabicyclo[5,4,0]-7-undecene (26.3 ml, 176 mmol) in ethanol (340 ml) was refluxed for 2 hours and the solution of methanesulfonic acid (16.9 g, 176 mmol) in water (70 ml) was added after cooling by

ice-water. The precipitate was washed with water, filtered and dried to give the title compound (30.2 g, 78%).

¹H-NMR (DMSO-d₆) δ : 3.56(s, 3H), 6.88(s, 1H), 8.24(dd, J=1.2, 5.4 Hz, 2H), 9.05 (dd, J=5.4 Hz, 1H), 11.94(s, 1H).

Reference Example 4: Synthesis of 2-chloro-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one

Phosphorous oxychloride (4.60 g, 30 mmol) was added to dimethyl-formamide(32 ml) and stirred for 20 min at 0°C. 2-Mercapto-3-methyl-6- (4-pyrimidyl)-3H-pyrimidine-4-one(4.40 g, 20 mmol) was added to the solution and stirred 5 min and then stirred at 70°C for 2 hours. The reaction mixture was poured into ice water, neutralized by solid potassium carbonate, and extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulfate, and evaporated under reduced pressure. Purification of the residue by silica gel chromatography (ethyl acetate) gave the title compound (1.20 g, 27%).

1H-NMR (CDCl₃) $\delta: 3.74(s, 3H), 7.56(s, 1H), 8.18(d, J=5.1 Hz, 1H), 8.92(d, J=5.1 Hz, 1H), 9.30(s, 1H).$ MS[M+H]+: 223.

Example 1: Synthesis of 2-(2-(4-fluoro-2-methoxyphenyl)piperazin-4-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one dihydrochloride (No. XA468)

A solution of 2-bromo-5-fluoroanisole (11.8 g, 57.6 mmol) in tetrahydrofuran (60 ml) was dropped into the magnesium (1.40 g, 57.6 mmol) in refluxed tetrahydrofuran (32 ml) containing small amount of 1,2-dibromoethane and refluxed for 15 min. After addition of tetrehydrofuran (50 ml), the solution was cooled to -78 °C and diethyl oxalate (7.41 g, 50.7 mmol) in diethyl ether (50 ml) was dropped into the solution. After stirring at same temperature for 30 min, the solution was warmed to -10°C and 1N aqueous hydrogen chloride (50 ml) and water

were added. Organic layer was extracted with diethyl ether, washed with brine and dried over magnesium sulfate. After removal of the solvent under reduced pressure, purification of the residue by silica gel column chromatography (eluent: hexane/ethyl acetate = 5/2) gave ethyl 2-(4-fluoro-2-methoxyphenyl)-2-oxoacetate (6.80g, 59%)

¹H-NMR (CDCl₃) δ : 1.40(3H, t, J=7.1 Hz),3.87(3H, s), 4.89(2H, q, J=7.1Hz), 6.68(1H, d, J=10.5 Hz), 6.77-6.81(1H, m), 7.91-7.95(1H, m).

Ethylenediamine (0.60 g, 10.0 mmol) was added to a solution of ethyl 2-(4-fluoro-2-methoxyphenyl)-2-oxoacetate (2.26 g, 10.0 mmol) in ethanol(30 ml) and refluxed 4 hr. After removal of the solvent under reduced pressure, residue was washed with ethanol-diethyl ether to give 5,6-dihydro-3-(4-fluoro-2-methoxyphenyl)pyrazinone (1.76 g, 79%).

¹H-NMR (CDCl₃) δ : 3.50-3.56 (2H, m), 3.81 (3H, s), 3.88-3.92 (2H, m), 6.65(1H, d, J=11.0 Hz), 6.70-6.76 (1H, m), 6.89(1H, bs), 7.36-7.40(1H, m).

5,6-Dihydro-3-(4-fluoro-2-methoxyphenyl)pyrazinone was added to the solution of lithium aluminium hydride (0.46 g, 12 mmol) in diethyl ether (25 ml) and refluxed for 6 hr. Water (0.48 ml), 15% sodium hydroxide solution (0.48 ml) and again water (1.21 ml) were added to the ice-cooled solution and the precipitate was filtered and washed with dichloromethane. Combined organic layer was evaporated to give 2-(4-fluoro-2-methoxyphenyl)piperazine (0.83 g, 99%).

¹H-NMR (CDCl₃) δ : 2.02(2H, s), 2.57-2.63 (1H, m), 2.80-2.89 (1H, m), 2.92-2.99 (2H, m), 3.06-3.12 (2H, m), 3.80(3H, s), 4.06 (1H, d, J=10.0 Hz), 6.56-6.65 (2H, m), 7.40 (1H, t, J=7.8 Hz).

2-Chloro-3-methyl-6-(4-pyridyl)-pyrimidin-4-one (222 mg, 1.0 mmol) was added to an ice-cooled solution of 2-(4-fluoro-2-methoxyphenyl)piperazine (210 mg, 1.0 mmol), triethylamine (0.15 ml, 1.1 mmol) in N,N-dimethylformamide (10 ml) and stirred at that temperature for 1 hr and then at room temperature for 2 hr.

Next day, reaction was quenched by ice-water and the filtrate was washed with

water and dried to give 2-(2-(4-fluoro-2-methoxyphenyl)piperazin-4-yl)-3-methyl-6-(4-pyridyl)-pyrimidin-4-one (246 mg, 62%). 1 H-NMR (CDCl₃) δ : 2.89-2.96 (1H, m), 3.19-3.31 (3H, m), 3.59 (3H, s), 3.62-3.74 (2H, m), 3.85 (3H, s), 4.39-4.44 (1H, m), 6.63-6.71 (2H, m), 6.67 (1H, s), 7.51-7.55 (1H, m), 7.81 (2H, dd, J=1.7, 4.6 Hz), 8.71 (2H, dd, J=1.7, 4.6 Hz).

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4N Hydrogen chloride in 1,4-dioxane (0.4 ml) was added to the solution of 2-(2-(4-fluoro-2-methoxyphenyl)piperazin-4-yl)-3-methyl-6-(4-pyridyl)-pyrimidin-4-one (217 mg, 0.6 mmol) in dichloromethane (5 ml) and stirred for 15 min. After addition of diethyl ether, filtration and wash with diethyl ether and dryness gave the title compound (260 mg, quant.).

Example 2: Synthesis of 2-(2-(4-methoxyphenyl)-piperazine-4-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one dihydrochloride (No. XA393)

Dimethylslufoxide (50 ml) solution of 4-methyoxyphenacylbromide (9.94 g, 43.4 mmol) and water (1.6 ml, 88.8 mmol) were stirred at 50℃ for 2.5 hr. Water was added and the solution was extracted with ethyl acetate 3 times and washed with brine and then dried over sodium sulfate. Removal of the solvent gave 4-methoxyphenylglyoxal (8.30 g, quant.).

¹H-NMR (DMSO) δ : 3.84 (3H, s), 6.60-6.69 (1H, m), 7.04 (2H, d, J=8.8 Hz), 8.05 (2H, d, J=9.1 Hz).

Methanol (5 ml) solution of ethylenediamine (3.74 g, 62.29 mmol) was added to the ice-cooled solution of 4-methoxyphenylglyoxal (8.30 g, 45.5 mmol) in methanol (100 ml) and tetrahydrofuran (50 ml) and stirred for 10 min. After cooling to 0℃, sodium tetrahydroborate (6.14 g, 162.2 mmol) and additional methanol (50 ml) was added and stirred overnight. After removal of the solvent, aqueous sodium hydroxide was added and was extracted with dichloromethane three times and washed with brine and dried over sodium sulfate. After removal of the solvent, purification of the residue by silica gel column chromatography (eluent;

dichloromethane/ethanol/diethylamine = 20/2/1) gave 2-(4-methoxypheny)-piperazine (3.96 g, 45%).

¹H-NMR (CDCl₃) δ: 2.69(1H, dd, J=10.3, 11.9 Hz), 2.80-3.01(4H, m), 3.07-3.11 (1H, m), 3.68-3.73(1H, m), 3.79(3H, s), 6.84-6.88 (2H, m), 7.27-7.32 (2H, m).

A solution of triethylamine (697 mg, 6.9 mmol), 2-(4-methoxyphenyl)piperazine (430 mg, tetrahydrofuran (10 ml) was stirred at room temperature for 30
min and at 50°C for 3 hr. Solvent was removed under reduced pressure, and 1N
aqueous sodium hydroxide solution was added to the residue and extracted by
dichloromethane three times and washed with brine and dried over sodium sulfate.
After removal of the solvent under reduced pressure, the residue was purified by
silica gel column chromatography (eluent; dichloromethane/ethanol = 10/1) to give
2-(2-(4-methoxyphenyl)-piperazine-4-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one
(594 mg, 76%)

¹H-NMR (CDCl₃) δ: 3.02 (1H, dd, J=10.8, 12.7 Hz), 3.18-3.25 (3H, m), 3.55 (3H, s), 3.57-3.67 (2H, m), 3..82 (3H, s), 3.98(1H, dd, J=2.7, 10.8 Hz), 6.67 (1H, s), 6.92 (2H, d, J=8.7 Hz), 7.37 (2H, d, J=8.7 Hz), 7.80 (2H, d, J=6.0 Hz), 8.71 (2H, d, J=6.0 Hz).

4N Hydrogen chloride in ethyl acetate (5 ml) was added to the solution of 2-(2-(4-methoxyphenyl)-piperazine-4-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one (594 mg, 1.6 mmol) in dichloromethane (5 ml) and stirred for 1 hr. Wash with ethyl acetate after removal of the solvent and dryness gave the title compound (683 mg, 96%).

Example 3: Synthesis of 2-(2-(4-chlorophenyl)-piperazine-4-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one hydrochloride (No. XA371)

Mixture of methyl (4-chlorophenyl)acetate (5.10 g, 27.6 mmol) and N-bromosuccinimide (5.16 g, 29 mmol) in carbon tetrachloride was treated by Hg lamp. After filtration, solvent was removed under reduced pressure and the residue was dissolved in methanol. Ethylenediamine (2.03 ml, 30.4 mmol) and

triethylamine (2.06 ml, 14.8 mmol) and di-tert-butyldicarbonate (3.10 ml, 13.5 mmol) were added to the solution of 3-(4-chlorophenyl)piperazin-2-one (2.60 g, 12.3 mmol) in dichloromethane (100 ml) and stirred. The reaction mixture was washed with 1N aqueous hydrogen chloride, water, brine and then dried. After removal of the solvent under reduced pressure, residue was purified by silica gel column chromatography to give 4-(tert-butoxycarbonyl)-3-(4-chlorophenyl)-piperazin-2-one.

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¹H-NMR (CDCl₃) δ: 1.44 (9H, s), 3.21-3.32 (2H, m), 3.48 (1H, m), 4.04 (1H, brs), 5.66 (1H, brs), 7.10 (1H, brs), 7.30-7.38 (4H, m).

Solution of 4-(tert-butoxycarbonyl)-3-(4-chlorophenyl)-piperazin-2-one (500 mg, 1.6 mmol) and acetic acid (929 μ l, 16 mmol) were added to a refluxed solution of sodium borohydride (608 mg, 16 mmol) in 1,4-dioxane (5 ml) and reflux was continued. The reaction was quenched by water and extracted with dichloromethane and washed with brine and dried. After removal of the solvent, residue was purified by silica gel column chromatography to give 4-(tert-butoxycarbonyl)-3-(4-chlorophenyl)piperazine (330 mg, 69%). 1 H-NMR (CDCl₃) δ : 1.46(9H, s), 2.76-2.99(3H, m), 3.13(1H, dd, J=13.0 Hz, 4.3 Hz), 3.45-3.49(2H, m), 3.92(1H, m), 5.15(1H, s), 7.27-7.33(4H, m).

A solution of 4-(tert-butoxycarbonyl)-3-(4-chlorophenyl)piperazine (330 mg, 1.1 mmol), 2-chloro-3-methyl-6-(4-pyridyl)pyrimidin-4-one (246 mg, 1.1 mmol) and triethylamine (170 μ l, 1.22 mmol) in tetrahydrofuran were refluxed. Usual workup and purification by silica gel column chromatography gave 2-(1-(tert-butoxy-carbonyl)-2-(4-chlorophenyl)-piperazine-4-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one (500 mg, 93%).

¹H-NMR (CDCl₃) δ : 1.45(9H, s), 3.09(1H, m), 3,35(3H, s), 3.40-3.63(4H, m), 3.96-4.19(2H, m), 5.43(1H, s), 6.68(1H, s), 7.23(2H, d, J=8.3 Hz), 7.32(2H, d, J=8.3 Hz), 7.78(2H, d, J=5.9 Hz), 8.72(2H, d, J=5.9 Hz).

4N Hydrogen chloride in ethyl acetate was added to the solution of

2-(1-(tert-butoxycarbonyl)-2-(4-chlorophenyl)-piperazine-4-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one (500 mg, 1.0 mmol) in ethyl acetate and stirred. Filtration and successive dryness gave the title compound (373mg, 79%).

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Example 4: Synthesis of 3-methyl-2-(3-(4-((1-pyrrolidinyl)methyl)phenyl)piperidine -1-yl)-6-(4-pyridyl)pyrimidin-4-one fumarate (No. XB43)

Tetrakis(triphenylphosphine)palladium (0.65 g, 0.56 mmol),
4-formylphenylboric acid (2.81 g, 18.7 mmol), 2M aqueous sodium carbonate (18.7 ml, 37.4 mmol) and ethanol were added to the nitrogen-saturated solution of
3-bromopyridine (2.66 g, 16.8 mmol) in toluene and refluxed under nitrogen for 8 hrs. Water was added to the solution and extracted with ethyl acetate, washed with water and brine and dried. Solvents were removed under reduced pressure and the residue was purified by silica gel column chromatography (eluent; hexane/ethyl acetate = 1/1.5) to give 4-(3-pyridyl)benzaldehyde (0.78 g, 25%).

Methyl iodide (0.8 ml, 12.9 mmol) was added to a solution of 4-(3-pyridyl)benzaldehyde (0.78 g, 4.3 mmol) in dichloromethane and stirred 2 days. Additional methyl iodide (0.8 ml, 12.9 mmol) was added and stirred for 3 hr. After removal of the solvent, methanol was added to the residue and ice-cooled. Sodium tetrahydroborate (6.4 g, 17.0 mmol) was added to the solution and stirred for 1.5 hr with warming to room temperature. Organic solvents were removed under reduced pressure after addition of water and extracted with ethyl acetate, washed with water and brine and dried over sodium sulfate. After removal of the solvent under reduced pressure, residue was purified by silica gel chromatography (eluent ethyl acetate to methanol) to give 3-(4-hydroxymethylphenyl)-1-methyl-1,2,5,6-tetrahydropyridine (0.63 g, 72%).

Triethylamine (1.29 ml, 9.2 mmol), acetic anhydride (0.35 ml, 3.7 mmol) were added to a solution of 4-(hydroxymethyl)phenyl-1-methyl-1,2,5,6-tetrahydropyridine (0.63 g, 3.1 mmol) in dichloromethane and stirred overnight.

Organic solvents were removed under reduced pressure after addition of water and extracted with ethyl acetate, washed with water and brine and dried over sodium sulfate. Removal of the solvent under reduced pressure gave 3-(4-acetozymethyl-phenyl)-1-methyl-1,2,5,6-tetrahydropyridine (0.67 g, 89%).

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A solution of 3-(4-acetoxymethylphenyl)-1-methyl-1,2,5,6-tetrahydropyridine (0.67 g, 2.7 mmol) and 1-chloroethyl chloroformate (0.36 ml, 3.3 mmol) in dichloroethane was refluxed for 2 hr. Organic solvents were removed under reduced pressure after addition of water and extracted with ethyl acetate, washed with water and brine and dried over sodium sulfate. After removal of the solvent, methanol was added and refluxed for 1.5 hr. Tetrahydrofuran and water were added to the residue after removal of the solvent under reduced pressure and triethylamine (1.9 ml, 13.6 mmol) and di-tert-butyl dicarbonate (0.66 g, 3.0 mmol) were added and stirred. Organic solvents were removed under reduced pressure after addition of water and extracted with ethyl acetate, washed with water and brine and dried over sodium sulfate. Removal of the solvent under reduced pressure and the residue was purified by silica gel chromatography to give 3-(4-acetoxymethylphenyl)-1-(tert-butoxycarbonyl)-1,2,5,6-tetrahydropyridine (0.71 g, 78%).

Palladium on charcoal was added to the solution of 3-(4-acetoxy-methylphenyl)-1-(tert-butoxycarbonyl)-1,2,5,6-tetrahydropyridine (0.71 g, 2.1 mmol) in ethyl acetate and stirred under hydrogen atmosphere. After filtration with celite and removal of the solvent under reduced pressure, methanol and 1N aqueous sodium hydroxide were added and stirred. Organic solvents were removed under reduced pressure after addition of water and extracted with ethyl acetate, washed with water and brine and dried over sodium sulfate. Removal of the solvent under reduced pressure and the residue was purified by silica gel chromatography (eluent; hexane/ethyl acetate = 3/1) to give 3-(4-hydroxymethylphenyl)-1-(tert-butoxycarbonyl)piperidine (0.39 g, 62%).

Triethylamine (0.47 g, 3.4 mmol) and methanesulfonyl chloride (0.12 ml, 1.6 mmol) were added to an ice-cooled solution of 3-(4-hydroxymethylphenyl)-1- (tert-butoxycarbonyl)piperidine (0.39 g, 1.34 mmol) in dichloromethane and stirred for 7.5 hr. Pyrrolidine (1.0 ml, 12 mmol) was added to the solution and stirred overnight. Organic solvents were removed under reduced pressure after addition of water and extracted with ethyl acetate, washed with water and brine and dried over sodium sulfate. Removal of the solvent under reduced pressure and the residue was purified by silica gel chromatography (eluent; ethyl acetate to ethyl acetate/methanol = 1/1, then methanol only) to give 3-(4-(1-pyrrolidinyl)methyl-phenyl)-1-(tert-butoxycarbonyl)piperidine (0.26 g, 56%).

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4N Hydrogen chloride in ethyl acetate was added to 3-(4-(1-pyrrolidinyl)-methylphenyl)-1-(tert-butoxycarbonyl)piperidine (0.26 g, 0.75 mmol) and stirred overnight. After filtration and dryness, triethylamine (0.5 ml, 3.6 mmol), 2-chloro-3-methyl-6-(4-pyridyl)-pyrimidin-4-one (0.14 g, 0.63 mmol) and tetrahydrofuran were added and stirred at 70°C. Organic solvents were removed under reduced pressure after addition of water and extracted with ethyl acetate, washed with water and brine and dried over sodium sulfate. Removal of the solvent under reduced pressure and the residue was dissolved into ethyl acetate. A solution of fumaric acid (0.095 g, 0.82 mmol) in acetone was added and the resulting precipitate was filtered and dried to give the title compound (0.29 g, 76%).

Example 5: Synthesis of (R)-2-(2-(4-chlorophenyl)piperazin-4-yl)-3-methyl-6-(4-pyridyl)-pyrimidin-4- one (No. XA372)

To a solution of (S)-2-methyl-CBS-oxazaborolidine (27.6 mL, 1.0 M solution in toluene, 27.6 mmol) was added borane-tetrahydrofuran complex (166 ml, 1.0 M solution in tetrahydrofuran, 166 mmol) at -40 °C. To the resulting solution was added a solution of 4'-chlorophenacyl bromide (32.25 g, 138.1 mmol) in tetrahydrofuran (200 ml) through dropping funnel over 1 h at -40 °C. After stirring

for 3 hours below 0 °C, methanol (ca. 50 ml) was added dropwise. After stirring the resulting solution for additional 30 min at room temperature, solvent was removed under reduced pressure. The residue, dissolved in ethyl acetate, was treated with 1 N hydrochloric acid to form white precipitate, which was filtered off. The layers of the filtrate was separated, and the organic layer was washed with hydrochloric acid and brine successively, dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure. The residue was used for the next reaction without further purification.

The residue was dissolved in ether (250 ml), and stirred with potassium hydroxide (15.5 g, 276 mmol) in water (250 ml) vigorously. After consumption of the starting material, the layers were separated. The organic layer was washed with brine, dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure. The residue was used for the next reaction without further purification.

The residue was heated with benzylamine (37.7 ml, 345 mmol) at 80 °C for 4.5 h. After cooling at room temperature, the resulting white crystals was washed with ether/hexane and collected to afford (S)-2-benzylamino-1-(4-chlorophenyl)-ethanol (23.8 g, 65.8%). The excess benzylamine in the filtrate was distilled off at 120 °C under reduced pressure. From the residue, another (S)-2-benzylamino-1-(4-chlorophenyl)ethanol (2.41 g, 6.7%) was obtained.

¹H NMR (CDCl₃) ™: 2.68(1H, dd, J=12.3, 8.9Hz), 2.92(1H, dd, J=12.3, 3.7Hz), 3.80(1H, d, J=11.9Hz), 3.86(1H, d, J=11.9Hz), 4.68(1H, dd, J=8.9, 3.7Hz), 7.30(9H, m).

To a suspension of (S)-2-benzylamino-1-(4-chlorophenyl)ethanol (15.76 g, 60.21 mmol) and triethylamine (33.6 ml, 241 mmol) in dichloromethane (300 ml) was added a solution of thionyl chloride (4.83 ml, 66.2 mmol) in dichloromethane (20 ml) at -78 °C over 20 min. The resulting suspension was stirred at -78 °C for 20 min and at 0 °C for additional 20 min. The reaction mixture was partitioned

between ether and water, and the organic layer was washed with brine, dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (eluent: 10-20% ethyl acetate-hexane) to afford (2RS,5S)-3-benzyl-5-(4-chlorophenyl)-1,2,3-oxathiazolidine 2-oxide (16.2 g 87.4%) as a pale yellow solid.

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The resulting product was obtained as a mixture of two diastereomers due to the S-oxide.

major isomer: ¹H NMR (CDCl₃) δ : 3.31(1H, dd, J=10.5, 9.9Hz), 3.55(1H, dd, J=9.0, 6.3Hz), 3.88(1H, d, J=13.2Hz), 4.37(1H, d, J=13.2Hz), 5.49(1H, dd, J=10.5, 6.3Hz), 7.22-7.43(9H, m).

minor isomer: ¹H NMR (CDCl₃) δ: 3.21(1H, dd, J=13.5, 4.5Hz), 3.77(1H, dd, J=13.5, 11.4Hz), 4.05(1H, d, J=13.5Hz), 4.38(1H, d, J=13.5Hz), 5.99(1H, dd, J=11.4, 4.5Hz), 7.22-7.43(9H, m).

A solution of (2RS,5S)-3-benzyl-5-(4-chlorophenyl)-1,2,3-oxathiazolidine 2-oxide (16.2 g, 52.6 mmol) and sodium azide (17.11 g, 263.2 mmol) in N,N-dimethylformamide (100 ml) was heated at 70 °C for 24 hours. The reaction mixture was partitioned between ether and water, and the organic layer was washed with water and brine successively, dried over anhydrous sodium sulfate, and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (eluent: 10-20% ethyl acetate-hexane) to afford (R)-N-benzyl-2-azido-2-(4-chlorophenyl)ethylamine (12.7 g, 83.8%) as a yellow oil. ¹H NMR (CDCl₃) δ: 2.81(1H, dd, J=12.5, 5.1Hz), 2.89(1H, dd, J=12.5, 8.5Hz), 3.82(2H, s),4.64(1H, dd, J=8.5, 5.1Hz),7.23-7.36(9H, m).

A solution of (R)-N-benzyl-2-azido-2-(4-chlorophenyl)ethylamine (12.7 g, 44.1 mmol) in tetrahydrofuran (176 mL) was treated with triphenylphosphine (13.9 g, 52.9 mmol) at room temperature. After addition of water (20 ml), the reaction mixture was heated at 60 °C for 1 h. The reaction mixture was condensed, and partitioned between ether and 1 N hydrochloric acid. The aqueous layer was

treated with 1 N aqueous sodium hydroxide solution until the solution became basic. The resulting solution was extracted with dichlromethane thoroughly. The combined organic layer was washed with water, dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure. The residue was used for the next reaction without further purification.

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The residue was heated with diethyl oxalate (18 ml, 132 mmol) at 120 °C for 1.5 h. The resulting white precipitate was washed with ether and collected to afford (R)-1-benzyl-5-(4-chlorophenyl)-2,3-dioxopiperazine (11.4 g, 82.2%).

¹H NMR (CDCl₃) δ : 3.46(1H, dd, J=12.9, 8.1Hz), 3.60(1H, dd, J=12.9, 3.8Hz), 4.48(1H, d, J=14.7Hz), 4.79(1H, d, J=14.7Hz), 4.80(1H, dd, J=8.9, 3.8Hz), 6.83(1H, s), 7.13(4H, m), 7.27(5H, m).

To a suspension of (R)-1-benzyl-5-(4-chlorophenyl)-2,3-dioxopiperazine (11.4 g, 36.3 mmol) in tetrahydrofuran (300 ml) was added borane-tetrahydrofuran complex (181 mL, 1.0 M solution in tetrahydrofuran, 181 mmol) at room temperature. After stirring for 24 hours, the reaction mixture was quenched with methanol (50 ml) at 0 °C, and concentrated under reduced pressure. The residue was treated with 10% aqueous sodium hydroxide solution (300 ml) and heated at 100 °C for 2 hours. After cooling at room temperature, the mixture was extracted with dichloromethane thoroughly. The combined organic layer was dried over anhydrous sodium sulfated, filtered, and concentrated under reduced pressure. The residue was used for the next reaction without further purification.

To a solution of the residue and triethylamine (7.58 ml, 54.4 mmol) in dichloromethane (150 ml) was added di-tert-butyl dicarbonate (9.49 g, 43.5 mmol) at room temperature. After stirring for 45 min, the resulting mixture was partitioned between dichloromethane and water, dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (eluent: 10-20% ethyl acetate-hexane) to afford (R)-1-benzyl-4-(tert-butoxycarbonyl)-3-(4-chlorophenyl)piperazine (11.6 g,

82.8%) as an oil.

¹H NMR (CDCl₃) δ : 1.43(9H, s), 2.16(1H, dt, J=4.4, 11.7Hz), 2.40(1H, dd, J=4.4, 11.7Hz), 2.78(1H, dd, J=4.4, 11.7Hz), 2.98(1H, dt, J=4.4, 11.7Hz), 3.20(1H, d, J=12.8Hz), 3.42(1H, d, J=12.9Hz), 3.57(1H, d, J=12.9Hz), 3.89(1H, d, J=12.8Hz), 5.17(1H, s), 7.24-7.36(9H, m).

To a solution of (R)-1-benzyl-4-(tert-butoxycarbonyl)-3-(4-chlorophenyl)piperazine (11.6 g, 30.1 mmol) in 1,2-dichloroethane (80 ml) was added 1-chloroethyl chloroformate (4.91 ml, 45.1 mmol) at room temperature. Upon disappearance of the starting material, the reaction mixture was concentrated under reduced pressure. The residue was then dissolved in methanol (100 ml) and refluxed for 30 min. The resulting white precipitate was filtered and washed with methanol to afford (R)-2-(4-chlorophenyl)piperazine dihydrochloride, which was liberated with aqueous sodium hydroxide solution, and extracted with dichloromethane to afford (R)-2-(4-chlorophenyl)piperazine (3.04 g, 51.4%) as white solid.

¹H NMR (CDCl₃) δ :2.65(1H, dd, J=12.0, 10.5Hz), 2.82-3.04(4H, m), 3.09(1H, d, J=12.6Hz), 3.73(1H, dd, J=10.1, 2.7Hz), 7.29(4H, m)

The filtrate was concentrated under reduced pressure and partitioned between ether and 1 N hydrochloric acid. The aqueous layer was neutralized with 1 N aqueous sodium hydroxide solution, and extracted with dichloromethane thoroughly. The combined organic extracts were dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure. The residue was purified after Boc-protection (Boc₂O, Et₃N, CH₂Cl₂) to furnish (R)-1,4-di(tert-butoxycarbonyl)-2-(4-chlorophenyl)piperazine (2.70 g, 22.6%) as pale yellow solid.

¹H NMR (CDCl₃) δ: 1.43(9H, s), 1.46(9H, s), 2.96(2H, m), 3.32(1H, dd, J=13.8, 4.2Hz), 3.74(1H, m), 3.94(1H, d, J=11.4Hz), 4.40(1H, d, J=13.2Hz), 5.23(1H, s), 7.25(2H, m)

To a suspension of (R)-2-(4-chlorophenyl)piperazine dihydrochloride (1.09 g, 4.05 mmol) in tetrahydrofuran (24 ml) was added triethylamine (2.82 ml, 20.3 mmol). After stirring for 15 min at room temperature, 2-chloro-3-methyl-6-(4pyridyl)-3H-pyrimidin-4-one (748 mg, 3.38 mmol) was added portionwise. Upon disappearance of the chloropyrimidone, the reaction mixture was condensed under reduced pressure. The residue was partitioned between saturated aqueous sodium bicarbonate solution and dichloromethane. The organic layer was dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure to give pale yellow solid, which was recrystallized from ethanol to afford (R)-2-(2-(4-chlorophenyl)piperazin-4-yl)-3-methyl-6-(4-pyridyl)-pyrimidin-4-one (998 mg, 77.4%) as white crystals. The enantiomer excess was determined by HPLC (>99% ee). The crystals were converted into its dihydrochloride salt. ¹H NMR (DMSO-d₆) δ : 3.40(3H, m), 3.46(3H, s), 3.62(1H, dd, J=12.0, 13.2Hz), 3.72(1H, m), 3.92(1H, t, J=15.5Hz), 4.68(1H, t, J=10.1Hz), 7.18(1H, s), 7.58(2H, d, J=8.6Hz), 7.83(2H, d, J=8.6Hz), 8.57(2H, d, J=6.6Hz), 9.01(2H, d, J=6.6Hz), 10.20(1H, d, J=7.8Hz), 10.76(1H, br s)MS: 382(M+H) $[\alpha]_{D^{24}} = +62.2 \circ (c \ 1.00, \ H_2O)$

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Example 6: Synthesis of (S)-2-(2-(4-chlorophenyl)piperazin-4-yl)-3-methyl-6-(4-pyridyl)-pyrimidin-4-one (No. XA373)

(S)-isomer was prepared same as above by using (R)-2-methyl-CBS-oxazaborolidine instead of (S)-2-methyl-CBS-oxazaborolidine.

¹H NMR (DMSO-d₆) δ : 3.40 (3H, m), 3.45 (3H, s), 3.53-3.96 (3H, m), 4.68 (1H, t, J = 13.5Hz), 7.10 (1H, s), 7.60 (2H, d, J=8.3Hz), 7.76 (2H, d, J=8.3Hz), 8.38 (1H, br s), 8.91 (1H, d, J=4.8Hz), 9.88 (1H, br s), 10.31 (1H, br s)

MS: 382(M+H)

[α] α ²⁴ = -63.3 ° (c 1.00, H₂O)

Example 7: Synthesis of 2-(2-(4-fluoro-2-methoxyphenyl)piperazin-4-yl)-3-methyl-6-(4-pyrimidyl)-pyrimidin-4-one (No. YA0366)

A solution of 2-bromo-5-fluoroanisole (11.8 g, 57.6 mmol) in tetrahydrofuran (60 ml) was dropped into the magnesium (1.40 g, 57.6 mmol) in refluxed tetrahydrofuran (32 ml) containing small amount of 1,2-dibromoethane and refluxed for 15 min. After addition of tetrahydrofuran (50 ml), the solution was cooled to -78 °C and diethyl oxalate (7.41 g, 50.7 mmol) in diethyl ether (50 ml) was dropped into the solution. After stirring at the same temperature for 30 min, the solution was warmed to -10°C and 1N aqueous hydrogen chloride (50 ml) and water were added. Organic layer was extracted with diethyl ether, washed with brine and dried over magnesium sulfate. After removal of the solvent under reduced pressure, purification of the residue by silica gel column chromatography (eluent: hexane/ethyl acetate = 5/2) gave ethyl 2-(4-fluoro-2-methoxyphenyl)-2-oxoacetate (6.80g, 59%)

¹H-NMR (CDCl₃) δ : 1.40(3H, t, J=7.1 Hz),3.87(3H, s), 4.89(2H, q, J=7.1Hz), 6.68(1H, d, J=10.5 Hz), 6.77-6.81(1H, m), 7.91-7.95(1H, m).

Ethylenediamine (0.60 g, 10.0 mmol) was added to a solution of ethyl 2-(4-fluoro-2-methoxyphenyl)-2-oxoacetate (2.26 g, 10.0 mmol) in ethanol(30 ml) and refluxed 4 hr. After removal of the solvent under reduced pressure, residue was washed with ethanol-diethyl ether to give 5,6-dihydro-3-(4-fluoro-2-methoxyphenyl)pyrazinone (1.76 g, 79%).

¹H-NMR (CDCl₃) δ : 3.50-3.56 (2H, m), 3.81 (3H, s), 3.88-3.92 (2H, m), 6.65(1H, d, J=11.0 Hz), 6.70-6.76 (1H, m), 6.89(1H, bs), 7.36-7.40(1H, m).

5,6-Dihydro-3-(4-fluoro-2-methoxyphenyl)pyrazinone was added to the solution of lithium aluminium hydride (0.46 g, 12 mmol) in diethyl ether (25 ml) and refluxed for 6 hr. Water (0.48 ml), 15% sodium hydroxide solution (0.48 ml) and again water (1.21 ml) were added to the ice-cooled solution and the precipitate was

filtered and washed with dichloromethane. Combined organic layer was evaporated to give 2-(4-fluoro-2-methoxyphenyl)piperazine (0.83 g, 99%).

¹H-NMR (CDCl₃) δ : 2.02(2H, s), 2.57-2.63 (1H, m), 2.80-2.89 (1H, m), 2.92-2.99 (2H, m), 3.06-3.12 (2H, m), 3.80(3H, s), 4.06 (1H, d, J=10.0 Hz), 6.56-6.65 (2H, m), 7.40 (1H, t, J=7.8 Hz).

2-Chloro-3-methyl-6-(4-pyrimidyl)-pyrimidin-4-one (223 mg, 1.0 mmol) was added to an ice-cooled solution of 2-(4-fluoro-2-methoxyphenyl)piperazine (210 mg, 1.0 mmol), triethylamine (0.15 ml, 1.1 mmol) in N,N-dimethylformamide (10 ml) and stirred at that temperature for 0.5 hr and then at room temperature for 3 hours. Reaction was quenched by ice-water and the filtrate was washed with water and dried to give 2-(2-(4-fluoro-2-methoxyphenyl)piperazin-4-yl)-3-methyl-6-(4-pyrimidyl)-pyrimidin-4-one (262 mg, 66%).

1H-NMR (CDCl₃) δ: 2.89-2.98 (1H, m), 3.22-3.31 (3H, m), 3.60 (3H, s), 3.62-3.71 (2H, m), 3.86 (3H, s), 4.39-4.44 (1H, m), 6.43-6.73 (2H, m), 7.33 (1H, s), 7.52-7.56 (1H, m),

4N Hydrogen chloride in 1,4-dioxane (0.2 ml) was added to the solution of 2-(2-(4-fluoro-2-methoxyphenyl)piperazin-4-yl)-3-methyl-6-(4-pyrimidyl)-pyrimidi n-4-one (238 mg, 0.6 mmol) in dichloromethane (5 ml) and stirred for 15 min. Wash with methanol and ethyl acetate after removal of the solvent and dryness gave the title compound (223 mg, 86%).

8.19 (1H, d, J=5.1 Hz), 8.87 (1H, d, J=5.2 Hz), 9.28 (1H, d, J=1.2 Hz).

Example 8: Synthesis of 2-(2-(4-chlorophenyl)-piperazine-4-yl)-3-methyl-6-(4-pyrimidyl)pyrimidin-4-one (No. YA0269)

Dimethyl sulfoxide (60 ml) solution of 4-chlorophenacylbromide (11.11 g, 65.9 mmol) and water (1.7 ml) were stirred. The solution was extracted with ethyl acetate 3 times and washed with water twice and brine and then dried over sodium sulfate. After removal of the solvent, the residue was washed with hexane-ethyl acetate and dried to give 4-chlorophenylglyoxal (4.43 g, 50%).

¹H-NMR (CDCl₃) δ : 4.02-4.16(2H, m), 5.90-5.95(1H, m), 7.45-7.53(2H, m), 8.05-8.11(2H, m).

A methanol (10 ml) solution of ethylenediamine (1.90 g, 31.6 mmol) was added to the ice-cooled solution of 4-chlorophenylglyoxal (4.43 g, 26.3 mmol) in methanol (100 ml) and tetrahydrofuran (30 ml) and stirred for 10 min. After addition of sodium tetrahydroborate (3.26 g, 86.3 mmol), additional methanol (50 ml) was added and stirred overnight. After removal of the solvent, diluted hydrochloric acid was added and extracted with ether twice. After addition of sodium hydroxide, basic aqueous layer was extracted with dichloromethane three times and washed with brine and dried over sodium sulfate. After removal of the solvent by filtration, purification of the residue by silica gel column chromatography (eluent; dichloromethane/ethanol = 10/1 to dichloromethane/ethanol/diethylamine = 20/2/1) to give 2-(4-chlorophenyl)-piperazine (0.43 g, 9%)

¹H-NMR (CDCl₂) δ: 2.67(1H, dd, J=10.5, 12.0 Hz), 2.87-3.03(4H, m), 3.07-3.13(1H, m), 3.77(1H, dd, J=2.7, 10.2 Hz), 7.27-7.36(4H, m).

Triethylamine (528 mg, 5.2 mmol) was added to a solution of 4-(chlorophenyl)piperazine (216 mg, 1.1 mmol) and 2-chloro-3-methyl-6-(4-pyrimidyl)pyrimidin-4-one and stirred at 50℃ for 2 hr. Solvent was removed under reduced pressure, and 1N aqueous sodium hydroxide solution was added to the residue and extracted by dichloromethane. After washing with brine and dryness by sodium sulfate, solvent was removed under reduced pressure, and the residue was purified using ISOLUTE(registered trade mark) SI (International Sorvent Technology, UK)(eluent; dichloromethane/ethanol = 10/1) to give the title compound (396 mg, 95 %).

Example 9: Synthesis of 2-(2-(4-chlorophenyl)-6,6-dimethyl-piperazin-4-yl)-3-methyl-6-pyridin-4-yl-3*H*-pyrimidin-4-one dihydrochloride (No. XA1986)

A solution of 4'-chloro-2-bromoacetophenone (25.0 g, 107 mmol), water (1.92 mL, 107 mmol) and 47% hydrobromic acid (0.20 mL) in dimethylsulfoxide (160 mL) was stirred at 80°C for 5 h. After the reaction mixture was poured into water, the precipitate was filtered, washed with diethylether and dried, affording 4'-chloro-2,2-dihydroxyacetophenone (14.0 g, 70%). ¹H NMR (300MHz, CDCl₃), δ 5.92(1H, s), 7.45-7.52(2H, m), 8.05 –8.20(2H, m).

2,2-dimethly-ethylenediamine (2.10 mL, 20.0 mmol) was added to a solution of 4'-chloro-2,2-dihydroxyacetophenone (3.70 g, 20.0 mmol) in methanol (120 mL) and tetrahydrofuran (30 mL) at room temperature. After 2 h, sodium borohydride (1.50 g, 40.0 mmol) was added to the reaction mixture at 0 $^{\circ}$ C. The reaction mixture was stirred overnight, then quenched with 1N hydrochloric acid and evaporated in vacuo. The acidic solution was extracted with ethyl acetate, then basified to pH 11 using 15% aqueous sodium hydroxide, and extracted with dichloromethane. The extract was dried over sodium sulfate and concentrated in vacuo. Di-t-butyldicarbonate (6.40 mL, 27.9 mmol) was added to the solution of the residue in 1N aqueous sodium hydroxide (40 mL) and tetrahydrofuran (60 mL). The resulting suspension was heated at 40 °C for 8 h, then diluted with ethyl acetate and water. The organic layer was extracted with additional ethyl acetate, dried and concentrated in vacuo. The crude product was purified by flash column chromatography, affording 2-(4-chlorophenyl)-4-t-butoxycarbonyl-6,6-dimethylpiperazine (1.69 g, 28%, 2 steps). ¹H NMR (300MHz, CDCl₃), δ 1.15(3H, s), 1.21(3H, s), 2.47-2.70(2H, m), 3.72-4.16(3H, m), 7.26-7.37(4H, m).

4 M Hydrogen chloride in ethyl acetate (5.0 mL, 20.0 mmol) was added to a solution of 2-(4-chlorophenyl)-4-t-butoxycarbonyl-6,6-dimethyl-piperazine (1.69 g, 5.2 mmol). After 12 h, removing the solvent, filtrating and washing the precipitate with ethyl acetate gave 2-(4-chlorophenyl)-6,6-dimethyl-piperazine dihydrochloride

(1.43 g, 95%). ¹H NMR (300MHz, DMSO-d₆), δ 1.40 (3H, s), 1.58(3H, s), 3.24-3.99(4H, m), 4.73(1H, m), 7.69(2H, d, J = 8.4 Hz), 7.79(2H, m), 9.99-10.12(2H, m).

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A solution of 2-(4-chlorophenyl)-6,6-dimethyl-piperazine hydrochloride (155 mg, 0.52 mmol), 2-chloro-3-methyl-6-(4-pyridyl)-pyrimidine-4-one (111 mg, 0.50 mmol) and triethylamine (0.42 mL, 2.50 mmol) in tetrahydrofuran (5 mL) was stirred at room temperature for 6 h. The whole was evaporated in vacuo and the residue was extracted with dichloromethane. The organic layer was washed with water, dried and concentrated in vacuo. The residue was dissolved in methanol (5mL) and treated with 4M hydrogen chloride in ethyl acetate (0.50 mL, 2.0 mmol) for 20 min. After removing the solvent, filtrating and washing the precipitate with ethanol gave 2-(2-(4-chlorophenyl)-6,6-dimethyl-piperazin-4-yl)-3-methyl-6-pyridin-4-yl-3H-pyrimidin-4-one dihydrochloride (235 mg, 97%).

Example 10: Synthesis of 2-(2S-(4-bromophenyl)-piperazin-1-yl)-3-methyl-6-pyridin-4-yl-3H-pyrimidin-4-one (No. XA2051)

Benzyl chloroformate (2.40 mL, 15.0 mmol) was added to a solution of 2S-(4-bromophenyl)-piperazine dihydrochloride in 1N aqueous sodium hydroxide (30 mL) and dichloromethane (60 mL). The resulting suspension was stirred at room temperature for 1.5 h. After partitioned between ethyl acetate, the organic layer was extracted with additional ethyl acetate, dried and concentrated in vacuo. The precipitate was washed with ether, affording 2S-(4-bromophenyl)-4-benzyloxycarbonyl-piperazine (2.92 g, 57%). ¹H NMR (300MHz, CDCl₃), δ 2.87-3.01(2H, m), 3.47(2H, m), 3.93-3.97(1H, m), 4.20(2H, m), 5.16(2H, s), 7.36(5H, m), 7.42-7.61(4H, m).

A solution of 2S-(4-bromophenyl)-4-benzyloxycarbonyl-piperazine (788 mg, 2.10 mmol), 2-chloro-3-methyl-6-(4-pyridyl)-pyrimidine-4-one (444 mg, 2.00 mmol) and disopropylethylamine (0.70 mL, 4.00 mmol) in dimethylformamide (20 mL) was stirred at 80°C for 3 h. The reaction mixture was poured into water and the

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whole was extracted with ethyl acetate. The organic layer was washed with brine, dried and concentrated *in vacuo*. Chromatographic purification of the residue provided 2-(2*S*-(4-bromophenyl)-4-benzyloxycarbonyl-piperazin-1-yl)}-3-methyl-6-pyridin-4-yl-3*H*-pyrimidin-4-one (601 mg, 54%). ¹H NMR (300MHz, CDCl₃), δ 3.05(1H, m), 3.30-3.48(3H, m), 3.64(3H, s), 4.08-4.22(2H, m), 4.68(1H, m), 5.15(1H, d, J= 12.3 Hz), 5.21(1H, d, J= 12.6 Hz), 6.63(1H, s), 7.21(2H, d, J= 8.4 Hz), 7.28-7.39(7H, m), 7.59(2H, d, J=6.3 Hz), 8.68(2H, d, J=6.3 Hz).

Potassium hydroxide (168 mg, 3.0 mmol) was added to a solution of 2-{2S-(4-bromophenyl)-4-benzyloxycarbonyl-piperazin-1-yl}-3-methyl-6-pyridin-4-y l-3H-pyrimidin-4-one in ethanol (2.0 mL). After stirring for 8 h at room temperature, purifying by preparative HPLC gave 2-(2S-(4-bromophenyl)-piperazin-1-yl)-3-methyl-6-pyridin-4-yl-3H-pyrimidin-4-one (40 mg, 26%).

Example 11: Synthesis of (S)-3-methyl-6-(4-pyridyl)-2-(3-(4-(3-(pyrrolidin-1-yl) pyrrolidin-1-yl)phenyl)piperazin-1-yl)pyrimidin-4-one (No. XA2032)

A suspension of (S)-2-(4-bromophenyl)-1,4-di(t-butoxycarbonyl) piperazine (1.33 g, 3.00 mmol), (R)-3-pyrrolidinol (520 mg, 4.20 mmol), palladium acetate (27 mg, 0.12 mmol), 2-(di-t-butylphosphino)biphenyl (72 mg, 0.24 mmol), and sodium t-butoxide (808 mg, 8.41 mmol) in tert-butanol (20 mL) was heated at 90 °C for 3.5 h. After dilution with ethyl acetate, the resulting mixture was passed through a Celite column. The filtrate was concentrated in vacuo, and the residue was purified by silica gel column chromatography eluting 10-50% ethyl acetate - hexane to afford (S)-1,4-di-(t-butoxycarbonyl)-2-(4-((R)-3-hydroxypyrrolidino) phenyl)piperazine (733 mg, 54.5%) as a yellow foam.

To a solution of (S)-1,4-di(t-butoxycarbonyl)-2-(4-((R)-3-hydroxy pyrrolidino) phenyl)piperazine (733 mg, 1.64 mmol) and triethylamine (0.34 mL, 2.46 mmol) in dichloromethane (20 mL) was added methanesulfonyl chloride (0.152 mL, 1.97 mmol) at 0 °C. After stirring for 20 min, the reaction mixture was

partitioned between ethyl acetate and water. The organic layer was washed with brine, dried over anhydrous sodium sulfate, and concentrated in vacuo to afford (S)-1,4-di(t-butoxycarbonyl)-2-(4-((R)-3-(methansulfonyloxy)pyrrolidin-1-yl) phenyl)piperazine (877 mg, quant.) as a brown solid.

To a solution of (S)-1,4-di(t-butoxycarbonyl)-2-(4-((R)-3-methansulfonyloxy-pyrrolidino)phenyl)piperazine (877 mg, 1.64 mmol) in toluene (10 mL) was added pyrrolidine (0.64 mL, 8.19 mmol), and the resulting solution was heated at 90 °C for 8 h. After checking consumption of the starting material with TLC, the reaction mixture was partitioned between ethyl acetate and saturated sodium bicarbonate aqueous solution. The organic layer was washed with brine, dried over anhydrous sodium sulfate, and concentrated in vacuo. The residue was purified by silica gel column chromatography eluting 30-100% ethyl acetate-hexane and then 3-10% methanol-ethyl acetate to afford (S)-1,4-di(t-butoxycarbonyl)-2-(4-((S)-3-(pyrrolidin-1-yl)pyrrolidin-1-yl) phenyl)piperazine (479 mg, 58%) as a pale yellow powder.

To a solution of (S)-1,4-di(t-butoxycarbonyl)-2-(4-((S)-3-(pyrrolidin-1-yl) pyrrolidin-1-yl)phenyl)piperazine (479 mg, 0.957 mmol) in dichloromethane (4 mL) was added 4 N hydrogen chloride in ethyl acetate (4 mL) at room temperature.

After stirring for 3 h, the resulting precipitate was collected and dried in vacuo to afford (S)-2-(4-((S)-3-(pyrrolidin-1-yl)pyrrolidin-1-yl)phenyl)piperazine tetrahydrochloride (370 mg, 87%) as a white solid.

To a suspension of (S)-2-(4-((S)-3-(pyrrolidin-1-yl)pyrrolidin-1-yl)phenyl) piperazine tetrahydrochloride (98 mg, 0.22 mmol) in tetrahydrofuran (5 mL) was added triethylamine (0.20 mL, 1.40 mmol) and 2-chloro-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one (44 mg, 0.20 mmol) at room temperature. After stirring for 24 h, the reaction mixture was concentrated in vacuo. The residue was dissolved in dichloromethane and sodium bicarbonate aqueous solution, and the solution was passed through CHEM ELUT CE1010 (manufactured by VARIAN). The filtrate was

concentrated, and the resulting crystals were washed in a mixture of diisopropyl ether and ethanol to afford (S)-2-(3-(4-(3-(pyrrolidin-1-yl)pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3- methyl-6-(4-pyridyl)pyrimidin-4-one (80 mg, 82%) as a pale yellow solid.

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Example 12: Synthesis of (S)-3-methyl-6-(4-pyrimidinyl)-2-(3-(4-(3-(pyrrolidin-1-yl) pyrrolidin-1-yl)phenyl)piperazin-1-yl)pyrimidin-4-one (No. YA1577)

To a suspension of (S)-2-(4-((S)-3-(pyrrolidin-1-yl)pyrrolidin-1-yl)phenyl) piperazine tetrahydrochloride (99 mg, 0.22 mmol) in tetrahydrofuran (5 mL) was added triethylamine (0.20 mL, 1.40 mmol) and 2-chloro-3-methyl-6-(4-pyrimidinyl)-3H-pyrimidin-4-one (45 mg, 0.20 mmol) at room temperature. After stirring for 24 h, the reaction mixture was concentrated in vacuo. The residue was dissolved in dichloromethane and sodium bicarbonate aqueous solution, and the solution was passed through CHEM ELUT CE1010 (manufactured by VARIAN). The filtrate was concentrated, and the resulting crystals were washed in a mixture of diisopropyl ether and ethanol to afford (S)-3-methyl-6-(4-pyrimidinyl)-2-(3-(4-(3-(pyrrolidin-1-yl)pyrrolidin-1-yl)phenyl)piperazin-1-yl)-pyrimidin-4-one (65 mg, 66%) as a pale yellow solid.

Example 13: Synthesis of (S)-2-(3-(4-(N-cyclohexyl-N-methylamino)phenyl) piperazin- 1-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one (No. XA1999)

A suspension of (S)-2-(4-bromophenyl)-1,4-di(t-butoxycarbonyl) piperazine (1.21 g, 2.75 mmol), N-methylcyclohexylamine (0.43 mL, 3.30 mmol), palladium acetate(25 mg, 0.11 mmol), 2-(di-t-butylphosphino)biphenyl (66 mg, 0.22 mmol), and sodium t-butoxide (370 mg, 3.85 mmol) in t-butanol (15 mL) was heated at 80 °C for 8 h. The resulting solution was partitioned between ethyl acetate and water. The organic layer was washed with brine, dried over anhydrous sodium sulfate, and concentrated in vacuo. The residue was purified by silica gel column

chromatography eluting 10-15% ethyl acetate-hexane to afford (S)-1,4-di(t-butoxycarbonyl)-2-(4-(N-cyclohexyl-N-methylamino)phenyl)piperazine (917 mg) as white crystals.

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To a solution of (S)-1,4-di(t-butoxycarbonyl)-2-(4-(N-cyclohexyl-N-methylamino)phenyl)piperazine in dichloromethane (4 mL) was added 4 N hydrogen chloride in ethyl acetate(4 mL). After stirring for 40 min, the white precipitate was collected, which included impurities. The mixture was purified by a reverse phase chromatography eluting 0.05% TFA in water-acetonitrile to afford (S)-2-(4-(N-cyclohexyl-N-methylamino)phenyl)piperazine (59 mg 8% in 2 steps) as a clear oil.

To a solution of (S)-2-(4-(N-cyclohexyl-N-methylamino)phenyl) piperazine(50 mg, 0.183 mmol) and triethylamine (0.077 mL, 0.55 mmol) was added 2-chloro-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one (37 mg, 0.17 mmol) at room temperature. After stirring for 4.5 h, the reaction mixture was concentrated in vacuo. The residue was partitioned between dichloromethane and saturated sodium bicarbonate aqueous solution. The organic layer was dried over anhydrous sodium sulfate and concentrated. The residue was purified by a reverse phase chromatography eluting 0.05% TFA in water-acetonitrile to afford (S)-2-(3-(4-(N-cyclohexyl-N-methylamino)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyr idyl)pyrimidin-4-one (67 mg, 88%) as a oil, which was dissolved in ethyl acetate and treated with 4 N hydrogen chloride in ethyl acetate to yield its trihydrochloride.

Example 14: Synthesis of (S)-2-(3-(4-(N,N-dimethylamino)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one trihydrochloride (No. XA2017)

A suspension of (S)-2-(4-bromophenyl)-1,4-di(t-butoxycarbonyl)
piperazine (1.14 g, 2.59 mmol), N,N-dimethylamine hydrochloride (422 mg, 5.17 mmol), palladium acetate (23 mg, 0.10 mmol), 2-(di-t-butylphosphino)biphenyl(62 mg, 0.21 mmol), and sodium t-butoxide (845 mg, 8.80 mmol) in t-butanol (15 mL)

was heated at 90 °C for 3 h. After dilution with ethyl acetate, the resulting solution was passed through a Celite column. The filtrate was concentrated, and the residue was purified by silica gel column chromatography eluting 10-20% ethyl acetate-hexane to afford (S)-1,4-di(t-butoxycarbonyl)-2-(4-(N,N-dimethylamino) phenyl)piperazine (556 mg, 53%) as white crystals.

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To a solution of (S)-1,4-di(t-butoxycarbonyl)-2-(4-(N,N-dimethylamino) phenyl)piperazine (556 mg, 1.37 mmol) in dichloromethane (4 mL) was added 4 N hydrogen chloride in ethyl acetate (4 mL). After stirring for 8.5 h, the white precipitate was collected and dried in vacuo to afford (S)-2-(4-(N,N-dimethylamino) phenyl)piperazine trihydrochloride (413 mg, 96%) as white crystals.

To a suspension of (S)-2-(4-(N,N-dimethylamino)phenyl)piperazine trihydrochloride(115 mg, 0.365 mmol) in tetrahydrofuran (5 mL) was added triethylamine (0.28 mL, 2.0 mmol) and then 2-chloro-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one (74 mg, 0.33 mmol) at room temperature. After stirring for 10 h, the resulting mixture was concentrated in vacuo. The residue was dissolved in dichloromethane and saturated sodium bicarbonate aqueous solution, and the solution was passed through CHEM ELUT CE1010 (manufactured by VARIAN). The filtrate was concentrated in vacuo to yield crystals, which were washed with diisopropyl ether. After the crystals were dissolved in ethyl acetate, the solution was treated with 4 N hydrogen chloride in ethyl acetate. White precipitate was collected and dried in vacuo to afford (S)-2-(3-(4-(N,N-dimethylamino)phenyl) piperazin-1-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one trihydrochloride (135 mg, 81%).

Example 15: Synthesis of (S)-2-(3-(4-methoxybiphen-4-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one (No. XA1991)

A mixture of (S)-2-(4-bromophenyl)-1,4-di(t-butoxycarbonyl)piperazine (1.82 g, 4.11 mmol), 4-methoxyphenylboronic acid (937 mg, 6.17 mmol), sodium

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carbonate (2.18 g, 20.6 mmol), and tetrakis(triphenylphosphine)palladium(0) (238 mg, 0.206 mmol) was dissolved in dimethoxyethane (20 mL) and water (20 mL), and the resulting solution was refluxed for 3 h. After cooling to room temperature, the mixture was partitioned between ethyl acetate and water. The organic layer was washed with brine, dried over anhydrous sodium sulfate, and concentrated in vacuo. The resulting solid was washed with ethyl acetate to afford (S)-1,4-di(t-butoxycarbonyl)-2-(4'-methoxybiphen-4-yl) piperazine (1.46 g, 75.9%) as a white solid.

To a solution of (S)-1,4-di(t-butoxycarbonyl)-2-(4'-methoxybiphen-4-yl)-piperazine (1.46 g, 3.12 mmol) in dichloromethane (8 mL) was added 4 N hydrogen chloride in ethyl acetate (8 mL) at room temperature. After stirring for 1 h, the precipitate was collected and dried in vacuo to afford (S)-2-(4'-methoxybiphen-4-yl) piperazine dihydrochloride (1.00 g, 94%) as white solid.

To a suspension of (S)-2-(4'-methoxybiphen-4-yl)-piperazine dihydrochloride (237 mg, 0.694 mmol) in tetrahydrofuran (5 mL) was added triethylamine (0.40 mL, 2.9 mmol) and then 2-chloro-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one (128 mg, 0.579 mmol) at room temperature. After stirring for 28 h, the resulting mixture was concentrated in vacuo. The residue was partitioned between dichloromethane and saturated sodium bicarbonate aqueous solution, and the organic layer was dried over anhydrous sodium sulfate and then concentrated in vacuo. The resulting solid was washed with hot ethanol to afford (S)-2-(3-(4-methoxybiphen-4-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one (252 mg, 96%), which was treated with 4 N hydrogen chloride in ethyl acetate to yield its dihydrochloride salt (252 mg) as pale yellow crystals.

Example 16: Synthesis of (S)-2-(3-benzylpiperazin-1-yl)-3-methyl-6-(4-pyridyl) pyrimidin-4-one (No. XA2004)

To a solution of L-phenylalanine ethyl ester hydrochloride (3.875 g, 16.87

mmol), Boc-glycine (2.815 g, 16.07 mmol) in dichloromethane (100 mL) was added triethylamine (2.35 mL, 16.87 mmol) and then 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (3.23 g, 16.87 mmol) at room temperature. After the resulting mixture was stirred for 2.5 h, it was partitioned between ethyl acetate and water. The organic layer was washed with 1 N hydrochloric acid, brine, and then saturated sodium bicarbonate aqueous solution, dried over anhydrous sodium sulfate, and concentrated in vacuo to afford Boc-glyclylphenylalanine ethyl ester (5.96 g).

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To a solution of Boc-glycylphenylalanine ethyl ester (5.96 g) in dichloromethane (20 ml) was added trifluoroacetic acid (20 mL) at room temperature. After stirring 1.5 h, the resulting solution was concentrated in vacuo. The residue was dissolved in water, into which sodium bicarbonate was added until the pH was 9. After the solution was stirred for several hours, the resulting white crystals were collected and dried in vacuo to afford (S)-3-benzyl-2,5-dioxopiperazine (2.29 g, 70% in 2 steps) as a white powder.

To a suspension of (S)-3-benzyl-2,5-dioxopiperazine (2.284 g, 11.18 mmol) in tetrahydrofuran (20 mL) was added borane-tetrahydrofuran complex (49 mL, 1.0 M solution in THF, 49 mmol) at room temperature. The resulting mixture was refluxed for several hours before it was quenched with methanol at 0 °C. After concentration in vacuo, the residue was treated with 10% sodium hydroxide aqueous solution, which was extracted with dichloromethane thoroughly. The organic layer was washed with water, dried over anhydrous sodium sulfate, and concentrated in vacuo to afford white crystals, which were washed with ether to yield (S)-2-benzylpiperazine (795 mg, 40.3%).

To a solution of (S)-2-benzylpiperazine (48 mg, 0.27 mmol) in tetrahydrofuran (5 mL) was added triethylamine (0.10 mL, 0.74 mmol) and then 2-chloro-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one (55 mg, 0.248 mmol) at room temperature. After refluxing for 24 h, the resulting mixture was concentrated in

vacuo. The residue was partitioned between dichloromethane and saturated sodium bicarbonate aqueous solution, and the organic layer was dried over anhydrous sodium sulfate and then concentrated in vacuo. The residue was purified by a reverse phase chromatography eluting 0.05% TFA in

water-acetonitrile to afford (S)-2-(3-benzylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)

pyrimidin-4-one (73 mg 81%), which was treated with 4 N hydrogen chloride in

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Example 17: Synthesis of (S)-3-methyl-2-(3-(4-(1,2,4-oxadiazol-3-yl)phenyl) piperazin-1-yl)-6-(4-pyridyl)pyrimidin-4-one (No. XA2039)

ethyl acetate to yield its dihydrochloride salt as a yellow powder.

To a solution of 4-cyanoacetophenone (11.32 g, 77.98 mmol) in dichloromethane (200 mL) was added bromine (4.00 mL, 78.0 mmol) dropwise at room temperature. After stirring several minutes, the reaction mixture was washed with water, dried over anhydrous sodium sulfate, and concentrated in vacuo to afford 4-cyanophenacyl bromide (17.73 g) as a white solid.

A solution of 4-cyanophenacyl bromide (11.20 g, 49.99 mmol) in dimethylsulfoxide (83 mL) was treated with water (0.90 mL, 49.99 mmol). After stirring for 24 h at room temperature, it was poured into ice-water, and extracted with ether. The organic layer was washed with water and then brine, dried over anhydrous sodium sulfate, and concentrated in vacuo. The residue was purified by a silica gel column chromatography eluting 20-50% ethyl acetate in hexane to afford 4-cyanophenylglyoxal (5.10 g, 64.1%) as a yellow solid.

To a solution of 4-cyanophenylglyoxal (2.21 g, 12.5 mmol) in methanol (30 mL) and tetrahydrofuran (10 mL) was added ethylenediamine (1.00 mL, 14.96 mmol) at room temperature. After the mixture was stirred at room temperature for 1 h, sodium borohydride (943 mg, 24.92 mmol) was added at 0 °C. The solution was warmed up to room temperature and stirred for another 2 h before it was quenched with 1 N hydrochloric acid. After concentration in vacuo, the mixture was

partitioned between ether and water. The aqueous layer was alkalized with sodium hydroxide, and extracted with dichloromethane. The extract was dried over anhydrous sodium sulfate, and then concentrated in vacuo to afford reddish oil (1.69 g). The oil was dissolved in dichloromethane (30 mL), into which triethylamine (3.82 mL, 27.41 mmol) and di-tert-butyl dicarbonate (5.98 g, 27.41 mmol) at room temperature. The reaction mixture was stirred for several hours before it was partitioned between ethyl acetate and water. The organic layer was dried over anhydrous sodium sulfate, and then concentrated in vacuo. The residue was purified by a silica gel column chromatography eluting 5-20% ethyl acetate in hexane to afford 1,4-di(t-butoxycarbonyl)-2-(4-cyanophenyl)piperazine (2.46 g, 50.9%) as white crystals.

A solution of 1,4-di(t-butoxycarbonyl)-2-(4-cyanophenyl)piperazine (558 mg, 1.44 mmol), hydroxylamine hydrochloride (300 mg, 4.23 mmol), and sodium carbonate (763 mg, 7.20 mmol) in ethanol (3 mL) and water (3 mL) was heated at 80 °C for 2.5 h before it was partitioned between dichloromethane and water. The aqueous layer was extracted with dichloromethane. The combined organic layer was dried over sodium sulfate, and concentrated in vacuo to afford white foam (680 mg), which was dissolved in toluene (5 mL) and treated with triethyl orthoformate (2.4 mL, 14.4 mmol) and p-toluenesulfonic acid (27 mg, 0.14 mmol). The solution was heated at 90 °C for 1 h before it was partitioned between dichloromethane and saturated sodium bicarbonate aqueous solution. The organic layer was dried over anhydrous sodium sulfate, and concentrated in vacuo. The resulting white crystals were washed with ethyl acetate, and dried in vacuo to afford 1,4-di(t-butoxycarbonyl)-2-(4-(1,2,4-oxadiazol-3-yl)phenyl)piperazine (464 mg, 75% in 2 steps).

To a solution of 1,4-di(t-butoxycarbonyl)-2-(4-(1,2,4-oxadiazol-3-yl) phenyl)piperazine (464 mg, 1.08 mmol) in dichloromethane (2 mL) was added 4 N hydrogen chloride in ethyl acetate (3 mL) at room temperature. After stirring for

1.5 h, the precipitate was collected and dried in vacuo to afford 2-(4-(1,2,4-oxadiazol-3-yl)phenyl)piperazine dihydrochloride (321 mg, 98%) as a white powder.

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To a suspension of 2-(4-(1,2,4-oxadiazol-3-yl)phenyl)piperazine dihydrochloride (102 mg, 0.34 mmol) in tetrahydrofuran (6 mL) was added triethylamine (0.23 mL, 1.65 mmol) and then 2-chloro-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one (73 mg, 0.33 mmol) at room temperature. After stirring for 24 h, the resulting mixture was concentrated in vacuo. The residue was dissolved in dichloromethane and saturated sodium bicarbonate aqueous solution, and the solution was passed through CHEM ELUT CE1010 (manufactured by VARIAN). The filtrate was concentrated in vacuo to yield crystals, which were washed with diisopropyl ether and ethanol to afford (S)-2-(3-(4-(1,2,4-oxadiazol-3-yl)phenyl) piperazin-1-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one (102 mg 74%) as a white powder.

Example 18: Synthesis of 2-[4-(2-Methoxyphenylamino)-piperidin-1-yl]-3-methyl-6-(pyridin-4-yl)-3H-pyrimidin-4-one (No. XB276)

To a solution of anisidine (3.1g, 25.2 mmol) and 4-oxo-piperidine-1-carboxylic acid tert-butyl ester (5.0 g, 25.1 mmol) in methanol (100 mL) was added sodium triacetoxyborohydride (13.4 g, 63.2 mmol) at room temperature. After stirring for 6 h, the resulting suspension was partitioned between ethyl acetate and 1N sodium hydroxide. The aqueous layer was extracted with ethyl acetate. The combined organic layer was washed with brine, dried over magnesium sulfate, and concentrated in vacuo. The residue was purified by silica gel chromatography eluting 10-20 % ethyl acetate in hexane to furnish 4-(2-methoxyphenylamino)-piperidine-1-carboxylic acid tert-butyl ester (2.7g, 8.8mmol, 35%) as a pale yellow oil.

To a solution of 4-(2-methoxyphenylamino)-piperidine-1-carboxylic acid tert-butyl ester (2.7g, 8.8mmol) in methanol (30 mL) was added 4N hydrochloric

acid in ethyl acetate (20 mL) at room temperature. After stirring for 1h, the resulting suspension was concentrated *in vacuo*. The residue was partitioned between chloroform and 1N sodium hydroxide. The aqueous layer was extracted with chloroform. The combined organic layer was washed with brine, dried over magnesium sulfate, and concentrated *in vacuo*. The residue was purified by silica gel chromatography eluting 10-20% methanol in chloroform to furnish 4-(2-methoxyphenylamino)-piperidine (1.8 g, 8.7 mmol, 99%) as white crystals.

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To a solution of 4-(2-methoxyphenylamino)-piperidine (0.8 g, 3.87 mmol) and triethylamine (1.3 g, 12.8 mmol) in tetrahydrofuran (20 mL) was added 2-chloro-3-methyl-6-(pyridin-4-yl)-3H-pyrimidin-4-one (0.8 g, 3.61 mmol) portionwise. After stirring for 12 h, the resulting suspension was partitioned between chloroform and 1N sodium hydroxide. The aqueous layer was extracted with chloroform. The combined organic layer was washed with brine, dried over magnesium sulfate, and concentrated in vacuo. The residue was purified by silica gel chromatography eluting 5-10% methanol in chloroform to furnish 2-(4-(2-methoxyphenylamino)-piperidin-1-yl)-3-methyl-6-(pyridin-4-yl)-3H-pyrimidin-4-one (1.2 g, 3.07 mmol, 85%) as white crystals.

Example 19: Synthesis of 3-Methyl-2-(3-(4-(4-methylpiperazin-1-yl)-phenyl)-piperidin-1-yl)-6-(pyridin-4-yl)-3H-pyrimidin-4-one (No. XB278)

A solution of (4-bromo-phenyl)-acetic acid ethyl ester (2.31 g, 9.50 mmol) in dimethylsulfoxide (6 mL) was added to the suspension of sodium hydride (407 mg, 60% in oil, 10.17 mmol) and stirred 3 min. A solution of (3-bromo-propyl)-carbamic acid tert-butyl ester (2.03 g, 8.52 mmol) in dimethylsulfoxide (6 mL) was added to the solution and stirred at 50 °C for 30 min. The resulting solution was partitioned between ethyl acetate and saturated aqueous ammonium chloride. The aqueous layer was extracted with ethyl acetate. The combined organic layer was washed with water and brine, dried by passing through Celite column, and concentrated in

vacuo. The residue was purified by silica gel chromatography eluting ethyl acetate / hexane (4/1 to 3/1, v/v) to afford 3-(4-Bromo-phenyl)-6-tert-butoxycarbonylamino-hexanoic acid ethyl ester (2.43 g, 74%).

To a solution of 3-(4-Bromo-phenyl)-6-tert-butoxycarbonylamino-hexanoic acid ethyl ester (2.43 g, 6.32 mmol) in ethyl acetate (3 mL) was added 4 N hydrogen chloride in ethyl acetate (6 mL) at room temperature. Removal of the solvent in vacuo after stirring for 30 min afforded 6-Amino-3-(4-bromo-phenyl)-hexanoic acid ethyl ester hydrochloride that was used in the next step without further purification.

A solution of 6-amino-3-(4-bromo-phenyl)-hexanoic acid ethyl ester hydrochloride, potassium carbonate (1039 mg, 7.52 mmol) in ethanol (50 ml) was refluxed for 20 hr. Solvent was removed in vacuo after addition of dilute hydrochloric acid and water was added to the residue. Filtration, wash with water and dryness afforded 3-(4-Bromo-phenyl)-piperidin-2-one (1387 mg, 86%, 2 steps).

To an ice-cooled solution of 3-(4-bromo-phenyl)-piperidin-2-one (37.97 g, 149 mmol) in tetrahydrofuran (250 ml) was added borane-tetrahydrofuran complex (335 ml, 1.0 M solution in THF, 335 mmol). The solution was stirred overnight at room temperature, and then refluxed 1.5 hr after addition of 10% aqueous hydrochloric acid. Solvents was removed in vacuo, and the residue was partitioned between dichloromethane and 1N sodium hydroxide. The aqueous layer was extracted with dichlorometane. The combined organic layer was washed with water and brine, dried over sodium sulfate, and concentrated in vacuo. The residue was dissolved in water (100 mL) and concentrated hydrochloric acid (100 mL) and refluxed for 3 hr. Sodium hydroxide was added to the solution and the resulting solution was extracted with dichlorometane. The organic layer was washed with water and brine, dried over sodium sulfate Concentration in vacuo afforded 3-(4-bromo-phenyl)-piperidine (32 18 g, 90%).

To a suspension of 3-(4-bromophenyl)-piperidine (25.2 g, 105 mmol), and

triethylamine (13 g, 128 mmol) in tetrahydrofuran (250 mL) was added di-tert-butyl-dicarbonate (25.2 g, 105 mmol) at room temperature. After stirring for 1 h, the resulting suspension was partitioned between ethyl acetate and 1N sodium hydroxide. The aqueous layer was extracted with ethyl acetate. The combined organic layer was washed with brine, dried over magnesium sulfate, and concentrated in vacuo. The residue was washed by hexane to furnish 3-(4-bromophenyl)- piperidine-1-carboxylic acid tert-butyl ester (35.7 g, 105 mmol, 100%) as white crystals.

To a suspension of 3-(4-bromophenyl)-piperidine-1-carboxylic acid tert-butyl ester (3.0 g, 8.8 mmol), palladium acetate (80 mg, 0.36 mmol), 2-(di-t-butyl phosphino)biphenyl (210 mg, 0.70 mmol), and sodium t-butoxide (1.2 g, 125 mmol) in toluene (30 mL) was added N-methylpiperazine (1.3 g, 13.0 mmol) at room temperature. After heating at 90 °C for 5 h, the resulting suspension was passed through a Celite column. The filtrate was concentrated under reduced pressure, and the residue was purified by silica gel chromatography eluting 5-25% of ethyl acetate in hexane to afford 3-(4-(4-methylpiperazin-1-yl)-phenyl)-piperidine-1-carboxylic acid tert-butyl ester (2.0 g, 5.56 mmol, 63%) as white crystals.

To a solution of 3-(4-(4-methylpiperazin-1-yl)-phenyl)-piperidine1-carboxylic acid tert-butyl ester (2.0 g, 5.56 mmol) in methanol (20 mL) was added 4N hydrochloric acid in ethyl acetate (20 mL) at room temperature. After stirring for 1h, the resulting suspension was concentrated *in vacuo*. The residue was washed with ethyl acetate to furnish 3-(4-(4-methylpiperazin-1-yl)-phenyl)-piperidine trihydrochloride (1.84 g, 4.99 mmol, 90%) as white crystals.

To a solution of 3-(4-(4-methylpiperazin-1-yl)-phenyl)-piperidine trihydrochloride salt (0.4 g, 1.08 mmol) and triethylamine (0.6 g, 5.93 mmol) in tetrahydrofuran (10 mL) was added 2-chloro-3-methyl-6-(pyridin-4-yl)-3H-pyrimidin-4-one (0.22 g, 0.99 mmol) portionwise. After stirring for 12 h, the

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resulting suspension was partitioned between chloroform and 1N sodium hydroxide. The aqueous layer was extracted with chloroform. The combined organic layer was washed with brine, dried over magnesium sulfate, and concentrated *in vacuo*. The residue was purified by silica gel chromatography eluting 5-10% methanol in chloroform to furnish 3-methyl-2-(3-(4-(4-methylpiperazin-1-yl)-phenyl)-piperidin-1-yl)-6-(piridin-4-yl)-3H-pyrimidin-4-one (0.31 g, 0.70 mmol, 71%) as white crystals.

Example 20: Synthesis of 2-(3-(4-cyclohexylaminophenyl)-piperidin-1-yl)-3-methyl-6-(pyridin-4-yl)-3H-pyrimidin-4-one (No. XB301)

To a suspension of 3-(4-bromophenyl)-piperidine-1-carboxylic acid tert-butyl ester (8.0 g, 23.5 mmol), palladium acetate (210 mg, 0.94 mmol), 2-(di-t-butyl phosphino)biphenyl (560 mg, 1.88 mmol), and sodium t-butoxide (3.2 g, 33.3 mmol) in toluene (80 mL) was added cyclohexylamine (2.8 g, 28.2 mmol) at room temperature. After heating at 90 °C for 5 h, the resulting suspension was passed through a Celite column. The filtrate was concentrated under reduced pressure, and the residue was purified by silica gel chromatography eluting 5-25% of ethyl acetate in hexane to afford 3-(4-cyclohexylaminophenyl)-piperidine-1-carboxylic acid tert-butyl ester (6.74 g, 18.8 mmol, 80%) as white crystals.

To a solution of 3-(4-cyclohexylaminophenyl)-piperidine-1-carboxylic acid tert-butyl ester (6.74 g, 18.8 mmol) in methanol (50 mL) was added 4N hydrochloric acid in ethyl acetate (40 mL) at room temperature. After stirring for 1 h, the resulting suspension was concentrated *in vacuo*. The residue was washed with ethyl acetate to furnish 3-(4-cyclohexylaminophenyl)-piperidine dihydrochloride (5.84 g, 17.6 mmol, 94%) as white crystals.

To a solution of 3-(4-cyclohexylaminophenyl)-piperidine dihydrochloride salt (1.0 g, 3.02 mmol) and triethylamine (1.5 g, 14.8 mmol) in tetrahydrofuran (20

mL) was added 2-chloro-3-methyl-6-(pyridin-4-yl)-3H-pyrimidin-4-one (0.64 g, 2.89 mmol) portionwise. After stirring for 12 h, the resulting suspension was partitioned between chloroform and 1N sodium hydroxide. The aqueous layer was extracted with chloroform. The combined organic layer was washed with brine, dried over magnesium sulfate, and concentrated *in vacuo*. The residue was purified by silica gel chromatography eluting 5-10% methanol in chloroform to furnish 2-(3-(4-cyclohexylaminophenyl)-piperidin-1-yl)-3-methyl-6-(pyridin-4-yl)-3H-pyrimidin-4-one (1.23 g, 2.77 mmol, 96%) as white crystals.

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Example 21: Synthesis of 2-(4-(4-Bromo-phenyl)-piperidin-1-yl)-3-methyl-6-pyridin-4-yl-3H-pyrimidin-4-one (No. XB267)

Mixture of 4-bromobenzaldehyde (22.40 g, 121.1 mmol), dimethyl malonate(19.37 g, 146.6 mmol), cat. acetic acid and cat. piperidine in toluene (100 ml) were refluxed for 6 h with azeotropically removal of water. Resulting solution was partitioned between ethyl acetate and water. The aqueous layer was extracted with ethyl acetate. The combined organic layer was washed with water, saturated aqueous sodium bicarbonate and brine, dried over sodium sulfate. Concentration of the organic solvent in vacuo afforded 2-(4-bromo-benzylidene)-malonic acid diethyl ester as an oil that was used in the next step without further purification.

To an ice-cooled solution of dimethyl malonate (19.35 g, 146.5 mmol) and sodium methoxide (30. 12g in 28% methanol solution, 156.1 mmol) in methanol (300 ml) was added 2-(4-bromo-benzylidene)-malonic acid diethyl ester in methanol (50 ml). After stirring for 3 h, the solvent was removed in vacuo and the residue was partitioned between ethyl acetate and dilute hydrochloric acid. The aqueous layer was extracted with ethyl acetate. The combined organic layer was washed with brine, dried over sodium sulfate. Concentration of the organic solvent in vacuo afforded 3-(4-bromo-phenyl)-2,4-bis-ethoxycarbonyl-pentanedioic acid diethyl ester as an oil that was used in the next step without further purification.

A solution of 3-(4-bromo-phenyl)-2,4-bis-ethoxycarbonyl-pentanedioic acid diethyl ester in concentrated hydrochloric acid (100 ml) and acetic acid (100 ml) was refluxed for 8 h. Removal of the solvent in vacuo and recrystallization of the residue from acetonitrile yielded 3-(4-bromo-phenyl)-pentanedioic acid (22.84 g in 1st crop, 65%, 3.84 g in 2nd crop, 11.05% from 4-bromobenzaldehyde).

A solution 3-(4-bromo-phenyl)-pentanedioic acid (26.68 g, 92.9 mmol) in acetic anhydride (100 ml) was refluxed for 1.5 hr. Removal of the solvent in vacuo, and remaining solvent were azeotropically removed using toluene.

Teterahydrofuran (200 ml) and aqueous ammonia (28%, 50 ml) was added to the residue and stirred overnight. After removal of the solvent in vacuo, acetic anhydride (100 ml) was added and refluxed for 4 hr. After removal of the solvent in vacuo and succeeding azeotropic distillation with toluene, residue was partitioned between ethyl ether and water. Filtration of the suspension and dryness afforded the 4-(4-bromo-phenyl)-piperidine-2,6-dione (12.53 g, 50%) as a solid.

To an ice-cooled solution of lithium tetrahydroborate (4.13 g, 189.6 mmol) in tetrahydrofuran (200 ml) was added chlorotrimethylsilane (41.52 g, 382.2 mmol). After stirring 5 min, a solution of 4-(4-bromo-phenyl)-piperidine-2,6-dione (12.53 g, 46.7 mmol) was added and stirred overnight. The resulting solution was concentrated in vacuo after addition of 10% aqueous hydrochloric acid. The residue was dissolved in aqueous sodium hydroxide solution and methanol, and a solution of di-tert-butyl dicarbonate (11.45 g, 52.5 mmol) in methanol (10 ml) was added and stirred for 6 h. After removal of the solvent in vacuo, concentrated hydrochloric acid wad added and stirred overnight. After extraction of the solution by diethyl ether, sodium hydroxide was added to the aqueous layer to turn basic, and extracted with dichloromethane. The organic layer was washed with brine, dried over sodium sulfate. The residue of the diethyl ether and dichloromethane after removal of the solvents under reduced pressure was mixed and dissolved in tetrahydrofuran (200 ml). A solution of di-tert-butyl dicarbonate (7.45 g, 34.1 mmol) in tetrahydrofuran

(10 ml) and triethylamine were added and stirred overnight. The resulting solution was concentrated in vacuo. Purification of the residue by silica gel chromatography eluting hexane / ethyl acetate (5/1, v/v) furnished
4-(4-bromo-phenyl)-piperidine-1-carboxylic acid tert-butyl ester (14.4g, 91%) as a solid.

To a solution of furnished 4-(4-bromophenyl)-piperidine-1-carboxylic acid tert-butyl ester (1114 mg, 3.27 mmol) in ethyl acetate (1 mL) was added 4 N hydrogen chloride in ethyl acetate (2 mL) at room temperature. After stirring for 5 h, solvent was removed in vacuo, and the resulting solid was washed with ethyl acetate and dried in vacuo to afford (4-(4-bromophenyl)-piperidine hydrochloride (884 mg, 98%) as a white solid.

A solution of (4-(4-bromophenyl)-piperidine hydrochloride (279 mg, 1.01 mmol) and triethylamine (554 mg, 5.47 mmol), 2-chloro-3-methyl-6- (pyridin-4-yl)-3H-pyrimidin-4-one (206 mg, 0.929 mmol) in tetrahydrofuran (20 mL) was stirred for 3 hr. The resulting solution was diluted with tetrahydrofuran and filtrated. After removal of the solvents under reduced pressure and the purification of the resulting residue by CHEM ELUT CE1010 (manufactured by VARIAN) eluting dichloromethane / ethanol (15/1, v/v) and wash with ethyl acetate afforded 2-(4-(4-Bromophenyl)-piperidin-1-yl)-3-methyl-6-pyridin- 4-yl-3H-pyrimidin-4-one (368 mg, 93%) as a solid.

Example 22: Synthesis of 3-Methyl-6-pyridin-4-yl-2-[4-(4-pyrrolidin-1-yl-phenyl)-piperidin-1-yl]-3H-pyrimidin-4-one (No. XB269)

A suspension of 4-(4-Bromophenyl)-piperidine-1-carboxylic acid tert-butyl ester (1.97 g, 5.79 mmol), palladium acetate (54 mg, 0.24 mmol), 2-(di-t-butylphosphino)biphenyl (154 mg, 0.52 mmol), and sodium t-butoxide (846 mg, 8.80 mmol), pyrrolidine (587 mg, 8.25 mmol) in toluene (80 mL) was heated at 90 °C for 3 h under nitrogen atmosphere. The resulting suspension was passed through a

Celite column and partitioned between ethyl acetate and water. The aqueous layer was extracted with ethyl acetate. The combined organic layer was washed with brine, dried over sodium sulfate, and concentrated *in vacuo*. Purification of the residue by HPLC afforded 4-(4-pyrrolidin-1-yl-phenyl)-piperidine-1-carboxylic acid tert-butyl ester as a solid that was used in the next step without further purification.

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To a solution of furnished 4-(4-Pyrrolidin-1-yl-phenyl)-piperidine-1-carboxylic acid tert-butyl ester in ethyl acetate (5 mL) was added 4 N hydrogen chloride in ethyl acetate (10 mL) at room temperature. After stirring for 3 h, solvent was removed in vacuo, and the resulting solid was purified by HPLC. Sodium hydroxide was added to the resulting fractions and the aqueous layer was extracted by dichloromethane. Organic layer was washed with brine, and passed through Cerite. Removal of the solvent under reduced pressure afforded 4-(4-pyrrolidin-1-yl-phenyl)-piperidine (1.01 g, 76%).

A solution of 4-(4-pyrrolidin-1-yl-phenyl)-piperidine (215 mg, 0.933 mmol) and triethylamine (391 mg, 3.86 mmol), 2-chloro-3-methyl-6-(pyridin-4-yl)-3H-pyrimidin-4-one (187 mg, 0.844 mmol) in tetrahydrofuran (10 mL) was refluxed for 5 hr. The resulting solution was diluted with tetrahydrofuran and filtrated. After removal of the solvents under reduced pressure and the purification of the resulting residue by CHEM ELUT CE1010 (manufactured by VARIAN) eluting dichloromethane / ethanol (15/1, v/v) and wash with ethyl acetate afforded 3-methyl-6-pyridin-4-yl-2-(4-(4-pyrrolidin-1-yl-phenyl)-piperidin-1-yl)-3H-pyrimidin-4-one (284 mg, 81%) as a solid.

Example 23: Synthesis of 2-(4-(6-Fluorobenzo[b]thiophen-3-yl)piperidin-1-yl)-1-methyl-1H-[4,4']bipyrimidinyl-6-one (No. YB253)

The key intermediate 4-(6-fluorobenzo[b]thiophen-3-yl)piperidine hydrochloride of 2-[4-(6-fluorobenzo[b]thiophen-3-yl)piperidin-1-yl]-1-methyl- 1H-

[4,4']bipyrimidinyl-6-one was synthesized from 1-acetylpipridine-4-carboxylic acid which was prepared according to the method reported by Watanabe (*J. Heterocyclic Chem.*, 30, 445 (1993)).

To a solution of 1-benzoylpiperidine-4-carboxylic acid (66 g, 285 mmol) in dichloromethane (160 mL) was added thionyl chloride (26 mL, 388 mmol). After stirring at 60°C for 1 h, the mixture was added portionwise to a stirred suspension of 2,4-difluorobenzene (45 g, 397 mmol) and anhydrous aluminum chloride (88 g, 666 mmol) in dichloromethane (245 mL), and the reaction mixture was refluxed for 5 h. The reaction mixture was poured into a mixture of ice and concentrated hydrochloric acid and extracted with chloroform. The organic layer was dried over sodium sulfate and the solvent was evaporated under reduced pressure.

Recrystallization from hexane gave 1-benzoyl-4-(2,4 -difluorobenzoyl)piperidine (46 g, 50%) as colorless crystals.

A solution of 1-benzoyl-4-(2,4-difluorobenzoyl)piperidine (40 g, 120 mmol), methyl thioglycolate (12 mL, 130 mmol) in dimethylformamide (500 mL) was stirred at room temperatute for 12h. The solvent was evaporated off *in vacuo* and the residue treated with water and ethyl acetate. The organic layer was dried over sodium sulfate and the solvent was evaporated under reduced pressure. The obtained residue was purified by silica gel column chromatography eluting hexane/ethyl acetate to give 3-(1-benzoylpiperidin-4-yl)-6-fluorobenzo[b]thiophene-2 -carboxylic acid (11.8 g, 26%) as an oil.

3-(1-Benzoylpiperidin-4-yl)-6-fluorobenzo[b]thiophene-2-carboxylic acid (10 g, 26 mmol) was suspended in quinoline (100 mL) and cupper powder (0.5g) was added. After stirring at 200°C for 1 h, the mixture was cooled to room temperature and partitioned between ethyl acetate and water. The organic layer was dried over magnesium sulfate and evaporated. The obtained residue was purified by silica gel column chromatography eluting hexane/ ethyl acetate to give (4-(6-fluorobenzo[b]thiophen-3-yl)piperidin-1-yl)phenylmethanone (5.0 g, 48%) as yellow

crystals.

A solution of (4-(6-fluorobenzo[b]thiophen-3-yl)piperidin-1-yl) phenylmethanone (6.5 g, 19 mmol) in acetic acid (100 mL) and concentrated hydrochloric acid (100 mL) was stirred at 90°C for 10 h. To a solution of reaction mixture was added ethyl acetate. The precipitated crystals were collected by filtration and washed with ethyl acetate to give 4-(6-fluorobenzo[b]thiophen-3-yl)piperidine hydrochloride (4.8 g, 89%) as yellow crystals.

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To a solution of 4-(6-fluorobenzo[b]thiophen-3-yl)piperidine hydrochloride (200 mg, 0.74 mmol) and 2-chloro-1-methyl-1H-[4,4']bipyrimidinyl-6-one (160 mg, 0.70 mmol) in tetrahydrofuran (10 mL) was added triethylamine (212 mg, 2.1 mmol). The mixture was stirred at 90°C for 6 h. The solvent was evaporated off in vacuo and the residue was treated with water and chloroform. The organic layer was dried over sodium sulfate and the solvent was evaporated under reduced pressure. Recrystallization from ethyl acetate gave 2-[4-(6-fluorobenzo[b]thiophen-3-yl)piperidin-1-yl]-1-methyl-1H-[4,4']bipyrimidinyl-6-one (220 mg, 96%) as colorless crystals.

Example 24: Synthesis of 2-(4-(Biphenyl-2-yl)piperazin-1-yl)-1-methyl-1H-[4,4']bipyrimidinyl-6-one (No. YA1552)

To a solution of 1-biphenyl-2-yl-piperazine dihydrochloride (311 mg, 1.0 mmol) and 2-chloro-1-methyl-1H-[4,4']bipyrimidinyl-6-one (202 mg, 0.91 mmol) in tetrahydrofuran (20 mL) was added triethylamine (404 mg, 4.0 mmol). The mixture was stirred at 90°C for 4 h. The solvent was evaporated off *in vacuo* and the residue treated with water and chloroform. The organic layer was dried over sodium sulfate and the solvent was evaporated under reduced pressure. Recrystallization from ethyl acetate gave 2-[4-(biphenyl-2-yl)piperazin-1-yl]-

1-methyl-1H-[4,4']bipyrimidinyl-6-one (250 mg, 65%) as colorless crystals.

The compounds in the following table were prepared in the same manner as the methods described above. The compound numbers in the following table correspond to those shown in the above-described table of preferred compounds.

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Table 5

NO	NMR	Exact-MS
XA19	2.51-2.89(4H, m), 3.31-3.34(4H, m), 3.39(3H,s), 3.56(2H, s), 6.80(1H, s), 7.25-7.31(1H, m), 7.31-7.36(4H, m), 7.98(2H, dd, J=1.5, 4.8 Hz), 8.68(2H, dd, J=1.5, 4.5 Hz)(DMSO-d6)	362
XA25	3.32-3.34(4H, m), 3.46(3H, s), 3.48-3.51(4H, m), 6.80-6.85(1H, m), 6.84(1H, s), 7.01(2H, d, J=8.0 Hz), 7.23-7.28(2H, m), 8.00(2H, dd, J=1.3, 4.6 Hz), 8.70(2H, dd, J=1.5, 4.5 Hz)(DMSO-d6)	348
XA156	3.47(3H,s), 3.51-3.60(4H, m), 3.62-3.71(4H, m), 6.85(1H, s), 7.41-7.49(1H, m), 7.56-7.61(1H, m), 8.02(2H, dd, J=1.5, 4.5 Hz), 8.09(1H, d, J=8.1 Hz), 8.16(1H, d, J=8.1 Hz), 8.70(2H, dd, J=1.5, 4.8 Hz)(DMSO-d6)	405
XA289	1.11-1.28(3H, m), 2.98-3.16(1H, m), 3.28-3.41(1H, m), 3.39(3H, s), 3.54-3.80(3H, m), 3.88-3.99(1H, m), 4.08-4.26(4H, m), 4.32-4.45(1H, m), 7.13(1H, s), 7.37-7.53(5H, m), 8.45(2H, d, J=5.8 Hz), 8.96(2H, d, J=6.0 Hz) (DMSO-d6)	434
XA361	3.44(3H,s), 3.54-3.95(6H,m), 4.64(1H,brs), 7.11(1H,s), 7.42-7.51(3H,m), 7.74(2H,d,J=6.6Hz), 8.46(2H,d,J=5.7Hz), 8.94(2H,d,J=5.7Hz), 9.98(1H,brs), 10.46(1H, brs) (DMSO-d6).	348
XA364	(DMSO-d6): 3.41-3.76(4H, m), 3.48(3H, s), 3.89-4.01(2H, m), 4.96(1H, m), 7.16(1H, s), 7.33-7.58(3H, m), 8.11(1H, dd, J=7.2, 7.2Hz), 8.52(2H, d, J=6.6Hz), 8.97(2H, d, J=6.6Hz), 10.04(1H, m), 10.66(1H, m).	366
XA365	3.43(s, 3H), 3.51-3.96(m, 6H), 4.70(m, 1H), 7.00(s, 1H), 7.25(m, 1H), 7.54(m, 2H), 7.60(m, 1H), 8.20(d, J=5.7Hz, 2H), 8.80 (d, J=5.7Hz, 2H)(CDCI3)	366
XA366	2.27-2.85(1H, m), 2.94-3.08(3H, m), 3.43(3H,s), 3.59-3.67(2H, m), 3.94-3.97(1H, m), 6.81(1H, s), 7.19(2H, t, J=8.9 Hz), 7.50-7.55(2H, m), 7.96(2H, dd, J=1.6, 4.5 Hz), 8.68(2H, dd, J=1.5, 4.6 Hz)(DMSO-d6)	366

XA366 (HCI)	3.35-3.50(2H, m), 3.46(3H, s), 3.58-3.75(2H, m), 3.86-3.97(2H, m), 4.68(1H, t, J=9.3 Hz), 7.15(1H, s), 7.35(2H, t, J=9.0 Hz), 7.82-7.87(2H, m), 8.48(2H, d, J=6.6 Hz), 8.96(2H, d, J=6.3 Hz), 9.55-10.08(1H, m), 10.54-10.70(1H, m)(DMSO-d6)	366
XA369	(CDCI3):2.81(1H,dd,J=10.4,12.5Hz), 3.18-3.40(3H,m), 3.50-3.80(5H,m), 4.50(1H,dd,J=2.5,10.1Hz), 6.67(1H,s), 7.20-7.45(3H,m), 7.74(1H,dd,J=1.9,7.6Hz), 7.81(2H,dd,J=1.4,4.6Hz), 8.70(2H,dd,J=1.4,4.6Hz).	382
XA370	(CDCI3):3.01(1H,dd,J=10.4,12.5Hz), 3.10-3.30(3H,m), 3.50-3.80(5H,m), 4.04(1H,dd,J=2.7,10.8Hz), 6.67(1H,s), 7.20-7.45(4H,m), 7.50(1H,s), 7.80(2H,dd,J=1.5,4.8Hz), 8.71(2H,dd,J=1.5,5.1Hz).	382
XA371	3.44(3H,s), 3.44-3.71(7H,m), 3.90(2H,m), 4.66(1H,brs), 7.11(1H,s), 7.55(2H,d,J=8.4Hz), 7.78(2H,d,J=8.4Hz), 8.50(2H,d,J=5.7Hz), 8.95(2H,d,J=5.7Hz), 10.13(1H,brs), 10.60(1H,brs)(DMSO-d6)	382
XA376	(DMSO-d6):3.45(3H,s), 3.50-4.20(6H,m), 4.66(1H,br s), 7.12(1H,s), 7.72(4H,s), 8.44(2H,d,J=6.6Hz), 8.94(2H,d,J=6.6Hz), 10.00(1H,br s), 10.05(1H,br s).	426
XA391	3.37-3.93(6H, m), 3.48(3H, s), 3.87(3H, s), 4.89-4.95(1H, m), 7.04-7.12(2H, m), 7.17(1H, d, J=8.5 Hz), 7.45-7.51(1H, m), 7.75-7.81(1H, m), 8.29-8.38(2H, m), 8.83-8.91(2H, m), 9.66-9.77(1H, m), 9.91-10.10(1H, m)(DMSO)	378
XA392	(DMSO-d6):3.30-3.58(5H,m), 3.58-3.80(2H,m), 3.81(3H,s), 3.85-4.00(2H,m), 4.58-4.75(1H,m), 7.03(1H,dd,J=1.8,8.1Hz), 7.11(1H, s), 7.26(1H,d,J=7.8Hz), 7.35-7.50(2H,m), 8.41(2H,d,J=5.7Hz), 8.92(2H,d,J=6.0Hz), 9.80-10.00(1H,brd), 10.30-10.60(1H,brd).	378
XA393	3.40-3.43(5H,m), 3.51-3.63(2H,m), 3.78(3H,s), 3.93(2H,m),4.58(1H,br), 7.02-7.06(3H,m), 7.64(2H,d,J=8.7Hz), 8.34(2H,d,J=6.3Hz), 8.88(2H,d,J=8.7Hz), 9.76(1H,br), 10.16(1H,br)(DMSO-d6)	378
XA396	1.30(3H, t, J=6.9 Hz), 3.38-3.54(1H, m), 3.49(3H, s), 3.65-3.79(1H, m), 3.84-3.98(2H, m), 4.02-4.18(2H, m), 4.84(1H, t, J=10.5 Hz), 7.04-7.16(2H, m), 7.15(1H, s), 7.39-7.45(1H, m), 7.89(1H, d, J=6.6 Hz), 8.49(2H, d, J=6.3 Hz), 8.95(2H, d, J=6.6 Hz), 9.92(1H, d, J=9.3 Hz), 10.51-10.64(1H, m)(DMSO-d6)	392

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THE TOTAL THE

	(DMSO-d6):3.64(2H,m), 3.94(2H,t,J=11.4Hz), 4.02-4.40(5H,m),	
	4.78(1H,t,J=10.4Hz), 7.06(1H,s),	
XA406	7.98(2H,d,J=8.3Hz), 8.01(2H,d,J=8.3Hz),	373
1	8.23(1H,dd,J=1.2,5.1Hz),	
	9.02(1H,d,J=5.1Hz), 9.31(1H,d,J=1.2Hz),	
	10.03(1H,d,J=8.7Hz), 10.57(1H,s).	
	(CDCl3):2.00(4H,m),	
	3.03(1H,dd,J=10.8,12.0Hz), 3.21(3H,m),	
	3.29(4H,m), 3.57(3H,s), 3.62(2H,m),	
XA433	3.90(1H,dd,J=2.7,10.8Hz),	417
	6.57(2H,d,J=8.7Hz), 6.66(1H,s),	
	7.29(2H,d,J=8.7Hz), 7.80(2H,d,J=4.8Hz),	
	8.70(2H,d,J=4.8Hz).	
	(CDCl3):3.02(1H,dd,J=10.7,12.4Hz),	
	3.18(7H,m), 3.55(3H,s), 3.62(2H,m),	
V 4 400	3.87(4H,m), 3.96(1H,dd,J=2.5,11.1Hz),	40.4
XA439	6.66(1H,S), 6.93(2H,d,J=8.7Hz),	434
	7.36(2H,d,J=8.7Hz), 7.79(2H,d,J=4.5Hz),	
	8.70(2H,d,J=4.5Hz).	
	(CDCl3):2.36(3H,s), 2.59(4H,m),	
	3.02(1H,t,J=11.6Hz), 3.22(7H,m),	
V 1 4 4 0	3.55(3H,s), 3.63(2H,m),	440
XA442	3.94(1H,d,J=10.5Hz), 6.66(1H,s),	446
	6.93(2H,d,J=8.7Hz), 7.34(2H,d,J=8.7Hz),	
	7.80(2H,d,J=4.5Hz), 8.70(2H,d,J=4.5Hz).	
	3.41-3.54(3H, m), 3.48(3H, s), 3.69-3.73(1H,	
	m), 3.78(3H, s), 3.82(3H, s), 3.86-3.93(2H,	
	m), 4.89(1H, t, J=10.5 Hz), 6.97-7.01(1H, m),	
XA463	7.08(1H, d, J=9.0 Hz), 7.15(1H, s), 7.66(1H,	408
77400	d, J=3.0 Hz), 8.51(2H, d, J=6.3 Hz), 8.96(2H,	400
	d, J=6.3 Hz), 9.93(1H, d, J=9.0 Hz),	
	10.60-10.73(1H, m)(DMSO-d6)	
	(DMSO-d6): 3.45(3H, s), 3.38-3.81(6H, m),	
	3.88(6H, s), 5.06(1H, m), 6.82(2H, d,	
XA464	J=8.7Hz), 7.04(1H, s), 7.44(1H, t, J=8.4Hz),	408
77404	8.20(1H, m), 8.30(2H, d, J=6.3Hz), 8.87(2H,	400
/	d, J=6.3Hz), 10.07(1H, m).	
	3.40-3.50(4H, m), 3.47(3H, s), 3.83-3.94(2H,	
	m), 3.88(3H, s), 4.81-4.91(1H, m),	
	6.92-6.99(1H, m), 7.07-7.10(1H, m),	
XA468	7.12(1H, s), 7.79-7.91(1H, m), 8.30-8.40(2H,	396
	m), 8.85-8.92(2H, m), 9.70-9.79(1H, m),	
	10.02-10.23(1H, m)(DMSO)	
-	(DMSO-d6):3.38-3.60(6H,m),	· · · · · · · · · · · · · · · · · · ·
	3.60-3.80(1H,m) 3.80-4.00(5H,m),	
	4.80-4.97(1H,m), 6.85-7.00(1H,m),	
XA469/	7.09(1H,dd,J=2.4,11.4Hz), 7.13(1H,s),	396
XA470	7.95(1H,dd,J=6.9,8.7Hz),	530
	8.46(2H,d,J=6.6Hz), 8.94(2H,d,J=6.3Hz),	
	9.80-10.00(1H,brd), 10.35-10.60(1H,brd).	
	3.36-4.00(6H, m), 3.46(3H, s), 3.94(3H, s),	
	4.94-5.02(1H, m), 6.96-7.01(1H, m),	
XA472	7.05(1H, d, J=8.6 Hz), 7.14(1H, s),	
	7.49-7.58(1H, m), 8.44-8.50(2H, m),	396
	8.52-8.64(1H, m), 8.96(2H, d, J=6.6 Hz),	
	10.49-10.60(1H, m)(DMSO)	
	1 10.70 10.00(111, 111)(DIVIGO)	<u></u>

XA480	2.78(1H, dd, J=10.0, 12.1 Hz), 3.18-3.27(3H, m), 3.59(3H, s), 3.64-3.74(2H, m), 3.86(3H, s), 4.37(1H, dd, J=2.4, 10.1 Hz), 6.67(1H, s), 6.89(1H, d, J=2.1 Hz), 6.99(1H, dd, J=1.7, 8.0 Hz), 7.50(1H, d, J=8.2 Hz), 7.82(2H, dd, J=1.5, 4.8 Hz), 8.71(2H, dd, J=1.8, 4.5 Hz)(CDCI3)	412
XA490 (2HCI)	3.35-3.94(6H, m), 3.49(3H, s), 4.71-4.80(1H, m), 7.02-7.11(1H, m), 7.18-7.28(2H, m), 7.98-8.10(1H, m), 8.31-8.48(2H, m), 8.87-8.97(2H, m), 9.79-9.92(1H, m), 10.18-10.39(1H, m) (DMSO)	380
XA501	(CDCI3):2.77(1H,dd,J=10.2,12.0Hz), 3.15-3.35(3H,m), 3.50-3.80(5H,m), 3.84(3H,s), 4.39(1H,d,J=7.8Hz), 6.67(1H,s), 6.78(1H,d,J=8.8Hz), 7.39(1H,dd,J=2.4,8.7Hz), 7.71(1H,d,J=2.3Hz), 7.82(2H,d,J=6.0Hz), 8.71(2H,d,J=6.0Hz).	456
XA510	(CDCI3): 1.98-2.05(4H, m), 2.85(1H, dd, J=12, 10.5Hz), 3.17-3.24(7H, m), 3.58(3H, s), 3.65-3.72(2H, m), 3.85(3H, s), 4.28(1H, dd, 10.5, 2.7Hz), 6.10(1H, d, J=2.1Hz), 6.18(1H, dd, J=8.7, 2.1Hz), 6.65(1H, s), 7.33(1H, d, J=8.4Hz), 7.83(2H, dd, J=4.5, 1.8Hz), 8.70(2H, dd, J=4.5, 1.5Hz).	447
XA511	(CDCl3):1.90-2.05(4H,m), 2.93(1H,t,J=12.0Hz), 3.15-3.40(7H,m), 3.59(3H,s), 3.65-3.85(5H,m), 4.11(1H,dd,J=2.1,10.2Hz), 6.49(1H,dd,J=3.0,9.0Hz), 6.66(1H,s), 7.83(2H,dd,J=1.8,4.5Hz), 8.70(2H,dd,J=1.5,4.5Hz).	447
XA516	(DMSO-d6):3.20-3.70(4H,m), 3.70(1H,m), 3.98(3H,s), 3.99(3H,s), 4.00(1H,m), 4.96(1H,d,J=10.2Hz), 7.01(1H,s), 7.03(2H,m), 8.26(2H,d,J=6.1Hz), 8.53(1H,s), 8.84(2H,d,J=6.1Hz), 10.25(1H,d,J=10.7Hz)	414
XA525	(DMSO-d6):3.30-3.50(2H,m), 3.48(3H,s), 3.55-3.78(2H,m), 3.78(3H,s), 3.96(2H,d,J=13.5Hz), 4.69(1H,t,J=10.4Hz), 7.06(1H,t,J=7.4Hz), 7.12(1H,s), 7.14(1H,d,J=7.4Hz), 7.31(1H,d,J=7.4Hz), 7.39(1H,t,J=7.4Hz), 7.59(2H,d,J=8.3Hz), 7.77(2H,d,J=8.3Hz), 8.43(2H,d,J=6.5Hz), 8.93(2H,d,J=6.5Hz), 9.89(1H,d,J=8.7Hz), 10.34(1H,s).	454
XA527	(DMSO-d6):3.40-4.10(9H,m), 3.81(3H,s), 4.69(1H,m), 7.05(1H,s), 7.05(2H,d,J=9.0Hz), 7.67(2H,d,J=9.0Hz), 7.75(4H,s), 8.27(2H,d,J=5.7Hz), 8.85(2H,d,J=5.7Hz), 9.75(1H,s), 10.04(1H,s).	454

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XA536	(DMSO-d6):3.40-3.60(2H,m), 3.47(3H,s), 3.68(2H,m), 3.95(2H,m), 4.71(1H,t,J=9.9Hz), 7.16(1H,s), 7.33(2H,t,J=8.85Hz), 7.78(6H,m), 8.50(2H,d,J=6.3Hz), 8.97(2H,d,J=6.3Hz), 10.02(1H,s), 10.50(1H,s).	443
XA543	3.52(s, 3H), 3.57-4.10(m, 6H), 5.57(m, 1H), 7.02(s, 1H), 7.53-7.70(m, 2H), 8.06(d, J=7.2Hz, 2H), 8.21-8.34(m, 3H), 8.82(d, J=6.3Hz, 2H), 9.88-9.92(m, 1H), 10.58-10.61(m, 1H)(DMSO d6)	398
XA544	3.41-3.59(2H, m), 3.49(3H, s), 3.68-3.76(2H, m), 3.97-4.02(2H, m), 4.78-4.89(1H, m), 7.15(1H, s), 7.58-7.63(2H, m), 7.89-8.07(4H, m), 8.30(1H, s), 8.49(2H, d, J=6.3 Hz), 8.95(2H, d, J=6:3 Hz), 10.17(1H, d, J=8.4 Hz), 10.57-10.70(1H, m)(DMSO-d6)	398
XA619	(CDCl3): 2.98(1H, dd, J=12.6, 10.8Hz), 3.17-3.28(5H, m), 3.58(3H, s), 3.62(1H, m), 3.79(1H, m), 4.26(1H, dd, 10.5, 2.7Hz), 4.62(2H, m), 6.66(1H, s), 6.88(1H, t, J=7.5Hz), 7.16(1H, d, J=7.2Hz), 7.27(1H, m), 7.84(2H, d, J=6.0), 8.70(2H, dd, J=4.8, 1.2Hz).	390
XA626	3.33-3.41(4H, m), 3.42(3H, s), 3.47-3.87(4H, m), 6.84(1H, s), 7.44-7.49(5H, m), 7.99(2H, dd, J=1.5, 4.5 Hz), 8.69(2H, dd, J=1.4, 4.8 Hz)(DMSO-d6)	376
XA649	3.44(3H, s),3.37-4.04(9H, m),4.67(1H, d,J=9.6Hz),7.10(1H, s),7.45-7.55(3H, m),7.83(2H, d,J=6.0Hz),8.47(2H, d,J=6.6Hz),8.95(2H, d,J=6.6Hz),12.15(1H, brs)(DMSO-d6)	362
XA756	(CDCl3):2.50-2.61(1H,m), 2.80-2.95(1H,m), 3.05-3.20(1H,m), 3.25-3.40(1H,m), 3.50-3.60(1H,m), 3.57(3H,s), 3.65-3.75(1H,m), 3.75-3.80(1H,m), 3.85(3H,s), 6.60-6.80(3H,m), 7.47(1H,dd,J=7.2,8.4Hz), 7.82(2H,dd,J=1.5,4.5Hz), 8.71(2H,dd,J=1.5,4.5Hz).	410
XA757/ XA758	(DMSO-d6):2.54(3H,s), 3.40-3.79(3H,m), 3.46(3H,s), 3.80-4.10(6H,m), 4.83-5.10(1H,m), 6.90-7.05(1H,m), 7.08(1H,s), 7.13(1H,dd,J=2.7,11.4Hz), 8.00-8.25(1H,brd), 8.37(2H,d,J=6.3Hz), 8.91(2H,d,J=6.6Hz), 11.80-12.20(1H,brd).	410
XA831	2.55(s, 3H), 3.51(s, 3H), 3.67-3.82(m, 4H), 4.04-4.08(m, 2H), 5.64(m, 1H), 7.05(s, 1H), 7.59-7.72(m, 3H), 8.06-8.11(m, 2H), 8.35(d, J=6.6Hz, 2H), 8.41(d, J=7.8Hz, 1H), 8.49 (d, J=6.9Hz, 1H), 8.84(d, J=6.6Hz, 2H)(DMSO d6)	412

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XA 1016	(DMSO-d6):3.15-3.35(1H,m), 3.38-3.60(4H,m), 3.75-4.15(8H,m), 4.18-4.25(1H,m), 4.90-5.20(1H,m), 7.00-7.20(3H,m), 7.30-7.55(6H,m), 8.50-8.70(3H,m), 9.00(2H,d,J=6.3Hz).	486
XA 1276	(CDCI3):1.80-2.42(3H, m), 3.08-3.39(4H, m), 3.40-3.62(1H, m), 3.65-4.23(6.8H,m), 4.63-4.90(0.6H, m), 5.40-5.62(0.7H, m), 5.80-6.00(0.1H, m), 6.52-6.78(3H, m), 6.90-7.2(1H, m), 7.68-7.90(2H,m), 8.64-8.80(2H,m)	438
XA 1649	1.48(3H, s), 1.57(3H, s), 3.50(3H, s), 3.51-3.66(2H, m), 3.72-3.76(1H, m), 3.90(3H, s), 3.99(1H, d, J=13.4 Hz), 5.15-5.23(1H, m), 7.08-7.12(2H, m), 7.18(1H, d, J=8.6 Hz), 7.46-7.49(1H, m), 8.04-8.11(1H, m), 8.37-8.45(2H, m), 8.89-8.97(2H, m), 9.49-9.60(1H, m), 9.95-10.11(1H, m)(DMSO)	406
XA 1973	3.01 (1H, dd, J = 10.8, 12.9 Hz), 3.10-3.30 (3H, m), 3.50-3.75 (5H, m), 4.04 (1H, dd, J = 2.7, 10.8 Hz), 6.67 (1H, s), 7.20-7.40 (4H, m), 7.50 (1H, s), 7.80 (2H, dd, J = 1.5, 4.8 Hz), 8.71 (2H, dd, J = 1.5, 5.1 Hz) (CDCI3)	382
XA 1974	2.80 (1H, dd, J = 10.3, 12.2 Hz), 3.15-3.30 (3H, m), 3.50-3.80 (5H, m), 4.44 (1H, dd, J = 2.6, 10.3 Hz), 6.67 (1H, s), 7.10-7.20 (1H, m), 7.25-7.40 (1H, m), 7.58 (1H, dd, J = 1.0, 8.1 Hz), 7.73 (1H, dd, J = 1.6, 7.8 Hz), 7.81 (2H, dd, J = 1.6, 4.5 Hz), 8.70 (2H, dd, J = 1.6, 4.5 Hz) (CDCI3)	426
XA 1975	2.95-3.10 (1H, m), 3.10-3.35 (3H, m), 3.56 (3H, s), 3.60-3.70 (2H, m), 3.80-4.05 (7H, m), 6.67 (1H, s), 6.87 (1H, d, J = 8.1 Hz), 6.90-7.10 (2H, m), 7.80 (2H, dd, J = 1.8, 6.3 Hz), 8.71 (2H, dd, J = 1.5, 4.8 Hz) (CDCI3)	407
XA 1976	3.40 (3H, m), 3.45 (3H, s), 3.53-3.96 (3H, m), 4.68 (1H, t, J = 13.5Hz), 7.10 (1H, s), 7.60 (2H, d, J=8.3Hz), 7.76 (2H, d, J=8.3Hz), 8.38 (1H, br s), 8.91 (1H, d, J=4.8Hz), 9.88 (1H, br s), 10.31 (1H, br s) (DMSO-d6)	382
XA 1977	3.40(3H, m), 3.46(3H, s), 3.62(1H, dd, J=12.0, 13.2Hz), 3.72(1H, m), 3.92(1H, t, J=15.5Hz), 4.68(1H, t, J=10.1Hz), 7.18(1H, s), 7.58(2H, d, J=8.6Hz), 7.83(2H, d, J=8.6Hz), 8.57(2H, d, J=6.6Hz), 9.01(2H, d, J=6.6Hz), 10.20(1H, d, J=7.8Hz), 10.76(1H, br s) (DMSO-d6)	382
XA 1978	2.98 (1H, t, J = 10.9 Hz), 3.22 (m, 3H), 3.56 (3H, s), 3.60 (2H, m), 4.03 (1H, d, J = 8.7 Hz), 6.68 (1H, s), 7.28 (1H, d, J = 8.2 Hz), 7.46 (1H, d, J = 8.2 Hz), 7.61 (1H, s), 7.79 (2H, d, J = 5.6 Hz), 8.71 (2H, d, J = 5.6 Hz) (CDCI3)	

XA 1979	3.31 (1H, dd, J = 13.8, 8.9 Hz), 3.46 (3H, s), 3.85 (1H, dd, J = 13.8, 3.6 Hz), 4.10 (1H, d, J = 17.7 Hz), 4.19 (1H, d, J = 17.7 Hz), 4.91 (1H, dd, J = 8.9, 3.6 Hz), 6.11 (1H, s), 6.74 (1H, s), 7.32 (2H, d, J = 8.4 Hz), 7.42 (2H, d, J = 8.4 Hz), 7.79 (2H, dd, J = 4.8, 1.5 Hz), 8.74 (2H, dd, J = 4.8, 1.5 Hz) (CDCI3)	396
XA 1980	1.97 (4H, m), 3.26 (4H, m), 3.38 (2H, m), 3.45 (3H, s), 3.60 (2H, m), 3.80 (1H, d, J = 13.8 Hz), 3.92 (1H, d, J = 14.1 Hz), 4.48 (1H, t, J = 10.4 Hz), 6.65 (2H, d, J = 8.7 Hz), 7.16 (1H, s), 7.54 (2H, d, J = 8.7 Hz), 8.57 (2H, d, J = 6.6 Hz), 9.00 (2H, d, J = 6.6 Hz), 9.83 (1H, d, J = 9.3 Hz), 10.32 (1H, br s) (DMSO-d6)	417
XA 1981	3.21 (4H, m), 3.40 (2H, m), 3.46 (3H, s), 3.65 (2H, m), 3.78 (4H, m), 3.91 (2H, t, J = 13.7 Hz), 4.55 (1H, t, J = 10.1 Hz), 7.14 (2H, d, J = 8.7 Hz), 7.20 (1H, s), 7.64 (2H, d, J = 8.7 Hz), 8.60 (2H, d, J = 6.6 Hz), 9.02 (2H, d, J = 6.6 Hz), 9.93 (1H, d, J = 9.0 Hz), 10.47 (1H, br s) (DMSO-d6)	433
XA 1982	2.80 (3H, d, J = 4.5 Hz), 3.15 (4H, m), 3.44 (4H, m), 3.45 (3H, s), 3.60 (2H, m), 3.82 (1H, d, J = 13.5 Hz), 3.90 (3H, m), 4.54 (1H, t, J = 10.5), 7.10 (2H, d, J = 8.7 Hz), 7.17 (1H, s), 7.64 (2H, d, J = 8.7 Hz), 8.54 (2H, d, J = 6.3 Hz), 8.99 (2H, d, J = 6.3 Hz), 9.94 (1H, d, J = 8.7 Hz), 10.47 (1H, br s), 11.26 (1H, br s) (DMSO-d6)	446
XA 1983	1.27(3H, t, J=6.6 Hz), 3.46-4.14(8H, m), 4.70(1H, m), 7.11(1H, s), 7.60(2H, d, J=8.4 Hz), 7.76(2H, d, J=8.4 Hz), 8.32(2H, d, J=6 Hz), 8.89(2H, d, J=6.0 Hz), 9.87(1H, m), 10.23(1H, m), (DMSO-d6)	396
XA 1984	1.27(6H, dd, J=6.9, 6.9 Hz), 3.37-4.36(6H, m), 4.66-4.79(2H, m), 7.03(1H, s), 7.62(2H, d, J=8.7 Hz), 7.78(2H, d, J=8.7 Hz), 8.33(2H, d, J=6 Hz), 8.90(2H, d, J=6.0 Hz), 9.93(1H, m), 10.25(1H, m), (DMSO-d6)	410
XA 1985	1.40(3H, d, J=6.3 Hz), 3.44-4.04(5H, m), 3.48(3H, s), 4.69(1H, m), 7.08(1H, s), 7.60(2H, d, J=8.4 Hz), 7.79(2H, d, J=8.4 Hz), 8.33(2H, d, J=6.3 Hz), 8.90(2H, d, J=6.3 Hz), 9.83(1H, m), 10.00(1H, m), (DMSO-d6)	396

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XA 1986	1.57(6H, s), 3.50(3H, s), 3.51-3.93(4H, m), 4.98(1H, m), 7.11(1H, s), 7.60(2H, d, J=7.4 Hz), 7.94(2H, d, J=7.4 Hz), 8.41(2H, d, J=6.0 Hz), 8.93(2H, d, J=6.0 Hz), 9.88(1H, m), 10.05(1H, m), (DMSO-d6)	410
XA 1987	1.43(3H, d, J=6.6 Hz), 3.38-3.93(5H, m), 3.48(3H, s), 4.72(1H, m), 7.12(1H, s), 7.59(2H, d, J=8.4 Hz), 7.84(2H, d, J=8.4 Hz), 8.43(2H, d, J=6.6 Hz), 8.95(2H, d, J=6.6 Hz), 9.65(1H, m), 10.23(1H, m), (DMSO-d6)	396
XA 1988	2.34 (1H, m), 2.42 (1H, m), 2.80 (3H, d, J = 5.6 Hz), 2.81 (3H, d, J = 5.6 Hz), 3.28 (1H, q, J = 8.8 Hz), 3.43 (2H, m), 3.45 (3H, s), 3.57 (5H, m), 3.80 (1H, d, J = 11.4 Hz), 3.96 (2H, m), 4.50 (1H, t, J = 10.4 Hz), 6.69 (2H, d, J = 8.4 Hz), 7.14 (1H, s), 7.55 (2H, d, J = 8.4 Hz), 8.47 (2H, d, J = 5.6 Hz), 8.96 (2H, d, J = 5.6 Hz), 9.75 (1H, d, J = 8.0 Hz), 10.16 (1H, br s), 11.40 (1H, br s) (DMSO-d6)	460
XA 1989	1.65 (2H, br s), 1.91 (4H, br s), 3.46 (9H, s), 3.70 (2H, m), 3.92 (2H, t, J = 16.6 Hz), 4.66 (1H, br s), 7.16 (1H, s), 7.85 (4H, br s), 8.50 (2H, d, J = 6.4 Hz), 8.97 (2H, d, J = 6.4 Hz), 10.01 (1H, br s), 10.59 (1H, br s) (DMSO-d6)	431
XA 1990	2.32 (1H, m), 2.42 (1H, m), 2.79 (3H, d, J = 5.2 Hz), 2.81 (3H, d, J = 5.2 Hz), 3.27 (1H, m), 3.39 (2H, m), 3.45 (3H, s), 3.59 (5H, m), 3.79 (1H, d, J = 13.3 Hz), 3.95 (2H, m), 4.50 (1H, t, J = 11.6 Hz), 6.69 (2H, d, J = 8.4 Hz), 7.16 (1H, s), 7.56 (2H, d, J = 8.4 Hz), 8.50 (2H, s), 8.98 (2H, d, J = 5.6 Hz), 9.78 (1H, br s), 10.19 (1H, br s), 11.44 (1H, br s) (DMSO-d6)	460
XA 1991	3.47 (3H, s), 3.61 (3H, m), 3.81 (3H, s), 4.02 (3H, m), 4.69 (1H, t, J = 10.6 Hz), 7.05 (2H, d, J = 8.8 Hz), 7.10 (1H, s), 7.67 (2H, d, J = 8.8 Hz), 7.77 (4H, s), 8.38 (2H, br s), 8.91 (2H, d, J = 5.2 Hz), 9.90 (1H, br s), 10.28 (1H, br s) (DMSO-d6)	454
XA 1992	1.26(3H, t, J=6.9 Hz), 1.41(3H, d, J=6.3 Hz), 3.43-4.06(7H, m), 4.74(1H, m), 7.09(1H, s), 7.58(2H, d, J=8.4 Hz), 7.84(2H, d, J=8.4 Hz), 8.32(2H, d, J=6.6 Hz), 8.90(2H, d, J=6.6 Hz), 9.90(1H, m), 10.03(1H, m), (DMSO-d6)	410
XA 1993	1.41(3H, t, J=6.3 Hz), 1.55(6H, dd, J=6.6, 6.6 Hz), 3.49-3.73(5H, m), 4.64(1H, m), 4.78(1H, m), 6.99(1H, s), 7.58(2H, d, J=8.7 Hz), 7.81(2H, d, J=8.7 Hz), 8.28(2H, d, J=6.3 Hz), 8.87(2H, d, J=6.3 Hz), 9.91(2H, m)(DMSO-d6)	424

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XA 1994	1.27(3H, t, J=6.9 Hz), 1.55(3H, s), 1.60(3H, s), 3.42-4.14(6H, m), 5.04(1H, m), 7.13(1H, s), 7.60(2H, d, J=8.4 Hz), 7.91(2H, d, J=8.4 Hz), 8.32(2H, d, J=6.3 Hz), 8.89(2H, d, J=6.3 Hz), 9.80-9.84(2H, m)(DMSO-d6)	424
XA 1995	1.52(3H, d, J=6.6 Hz), 1.58(6H, s), 1.59(3H, d, J=6.6 Hz), 3.40-3.68(4H, m), 4.75(1H, m), 5.09(1H, m), 7.03(1H, s), 7.60(2H, d, J=8.4 Hz), 7.93(2H, d, J=8.4 Hz), 8.33(2H, d, J=6.0 Hz), 8.89(2H, d, J=6.0 Hz), 9.89(2H, m)(DMSO-d6)	438
XA 1996	1.29 (3H, t, J = 6.8 Hz), 3.47 (2H, br s), 3.66 (3H, m), 3.81 (3H, s), 3.83 (1H, m), 4.04 (2H, m), 4.71 (1H, d, J = 10.6 Hz), 7.05 (2H, d, J = 8.8 Hz), 7.12 (1H, s), 7.67 (2H, d, J = 8.8 Hz), 7.75 (2H, d, J = 8.4 Hz), 7.79 (2H, d, J = 8.4 Hz), 8.36 (2H, d, J = 6.4 Hz), 8.91 (2H, d, J = 6.4 Hz), 9.92 (1H, d, J = 8.8 Hz), 10.29 (1H, br s) (DMSO-d6)	468
XA 1997	1.56 (3H, d, J = 6.4 Hz), 1.58 (3H, d, J = 6.4 Hz), 3.47 (2H, br s), 3.60 (1H, m), 3.77 (2H, m), 3.81 (3H, s), 4.72 (3H, m), 7.05 (2H, d, J = 8.8 Hz), 7.06 (1H, s), 7.68 (2H, d, J = 8.8 Hz), 7.76 (2H, d, J = 8.4 Hz), 7.80 (2H, d, J = 8.4 Hz), 8.42 (2H, d, J = 6.4 Hz), 8.94 (2H, d, J = 6.4 Hz), 10.02 (1H, d, J = 9.6 Hz), 10.39 (1H, br s) (DMSO-d6)	482
XA 1998	1.24 (1H, m), 1.39 (4H, m), 1.72 (1H, m), 1.79 (4H, m), 2.55 (1H, m), 3.45 (3H, s), 4.00-3.45 (6H, m), 4.61 (1H, t, J = 11.2 Hz), 7.09 (1H, s), 7.35 (2H, d, J = 8.4 Hz), 7.62 (2H, d, J = 8.4 Hz), 8.37 (2H, d, J = 4.0 Hz), 8.90 (2H, d, J = 4.0 Hz), 9.75 (1H, d, J = 9.6 Hz), 10.17 (1H, br s), (DMSO-d6)	430
XA 1999	1.04 (1H, m), 1.17 (2H, m), 1.43 (2H, m), 1.60 (1H, m), 1.79 (4H, m), 2.96 (3H, br s), 3.45 (3H, s), 4.18-3.44 (6H, m), 4.62 (1H, br s), 7.13 (1H, s), 7.75 (4H, br s), 8.46 (1H, br s), 8.95 (1H, br s), 9.87 (1H, br s), 10.40 (1H, br s) (DMSO-d6)	459
XA 2000	1.40(3H, d, J=6.6 Hz), 3.44-4.04(5H, m), 3.48(3H, s), 4.72(1H, m), 7.05(1H, s), 7.61(2H, d, J=8.4 Hz), 7.78(2H, d, J=8.4 Hz), 8.29(2H, d, J=6.0 Hz), 8.90(2H, d, J=6.0 Hz), 9.78-10.00(2H, m), (DMSO-d6)	396

XA 2001	1.26(3H, t, J=6.9 Hz), 1.41(3H, d, J=6.0 Hz), 3.43-4.06(7H, m), 4.74(1H, m), 7.08(1H, s), 7.58(2H, d, J=8.4 Hz), 7.81(2H, d, J=8.4 Hz), 8.29(2H, d, J=6.3 Hz), 8.88(2H, d, J=6.3 Hz), 9.84-10.00(2H, m), (DMSO-d6)	410
XA 2002	1.41(3H, t, J=6.0 Hz), 1.56(6H, dd, J=6.6, 6.6 Hz), 3.49-3.73(5H, m), 4.62(1H, m), 4.78(1H, m), 7.00(1H, s), 7.59(2H, d, J=8.4 Hz), 7.81(2H, d, J=8.4 Hz), 8.80(2H, d, J=6.3 Hz), 8.88(2H, d, J=6.3 Hz), 9.91(2H, m)(DMSO-d6)	424
XA 2003	3.03(4H, td, J=4.6Hz), 3.26(4H, t, J=4.5Hz), 3.48(3H, s), 6.65(1H, s), 7.10(2H, m), 7.20-7.45(5H, m), 7.65(2H, d, J=8.5Hz), 7.79(2H, d, J=6.3Hz), 8.71(2H, d, J=1.5, 4.8Hz)(CDCI3),	425
XA 2004	2.93 (1H, m), 3.20 (2H, m), 3.30 (3H, s), 3.36 (1H, d, J = 12.8 Hz), 3.46 (1H, t, J = 12.0 Hz), 3.73 (4H, m), 7.03 (1H, s), 7.33 (2H, m), 7.42 (3H, m), 8.16 (2H, d, J = 6.4 Hz), 8.86 (2H, d, J = 6.4 Hz), 9.61 (1H, d, J = 10.0 Hz), 9.95 (1H, d, J = 8.4 Hz) (DMSO-d6)	362
XA 2005	2.93 (1H, dd, J = 14.8, 8.4 Hz), 3.07 (1H, m), 3.19 (1H, m), 3.33 (3H, s), 3.41 (3H, s), 3.69 (1H, m), 3.80 (2H, d, J = 14.0 Hz), 6.96 (1H, br s), 7.39 (2H, d, J = 8.0 Hz), 7.49 (2H, d, J = 8.0 Hz), 8.00 (2H, br s), 8.77 (2H, br s), 9.24 (1H, s), 9.54 (1H, s) (DMSO-d6)	396
XA 2006	3.39 (2H, m), 3.46 (3H, s), 3.56 (2H, m), 3.85 (1H, d, J = 13.2 Hz), 3.93 (1H, d, J = 13.6 Hz), 4.55 (1H, t, J = 10.4 Hz), 6.94 (1H, br s), 7.13 (1H, s), 7.14 (4H, m), 7.30 (2H, m), 7.59 (2H, d, J = 8.0 Hz), 8.45 (2H, s), 8.95 (2H, s), 9.73 (1H, br s), 10.10 (1H, br s) (DMSO-d6)	508
XA 2007	1.39 (1H, m), 1.80 (8H, m), 2.18 (2H, d, J = 11.2 Hz), 2.76 (2H, t, J = 11.4 Hz), 3.90 (2H, m), 3.33 (1H, m), 3.40 (3H, m), 3.45 (3H, s), 3.58 (2H, m), 3.82 (1H, d, J = 13.3 Hz), 3.93 (3H, m), 4.53 (1H, t, J = 10.4 Hz), 7.09 (2H, d, J = 8.8 Hz), 7.11 (1H, s), 7.56 (2H, d, J = 8.8 Hz), 8.40 (2H, d, J = 6.0 Hz), 8.92 (2H, d, J = 6.0 Hz), 9.75 (1H, d, J = 8.8 Hz), 10.14 (1H, br s), 10.39 (1H, br s) (DMSO-d6)	514
XA 2008	2.82-2.90(1H, m), 3.01-3.05(4H, m), 3.22(3H, s), 3.44(3H, s), 3.58-3.66(2H, m), 4.08(1H, dd, J=1.2, 10.2Hz), 6.81(1H, s), 7.77(2H, d, J=7.2Hz), 7.92-7.98(4H, m), 8.69(2H, d, J=4.2Hz)(DMSO-d6)	426

XA 2009	1.21(3H, d, J=6.6 Hz), 3.17-3.45(4H, m), 3.52(3H, s), 4.02(1H, m), 4.69(1H, m), 7.20(1H, s), 7.54(2H, d, J=8.4 Hz), 7.70(2H, d, J=8.4 Hz), 8.26(2H, d, J=6.3 Hz), 8.88(2H, d, J=6.3 Hz), 9.90(1H, m), 10.16(1H, m), (DMSO-d6)	396
XA 2010	1.21(3H, d, J=6.0 Hz), 3.17-3.45(4H, m), 3.53(3H, s), 4.02(1H, m), 4.70(1H, m), 7.24(1H, s), 7.54(2H, d, J=8.7 Hz), 7.73(2H, d, J=8.7 Hz), 8.33(2H, d, J=5.7 Hz), 8.93(2H, d, J=5.7 Hz), 10.04(1H, m), 1037(1H, m), (DMSO-d6)	396
XA 2011	3.02 (1H, t, J = 11.9 Hz), 3.17 (6H, m), 3.55 (3H, s), 3.63 (2H, m), 3.86 (4H, m), 3.96 (1H, d, J = 10.2 Hz), 6.66 (1H, s), 6.92 (2H, d, J = 8.4 Hz), 7.35 (2H, d, J = 8.4 Hz), 7.80 (2H, d, J = 5.1 Hz), 8.70 (2H, d, J = 5.1 Hz) (CDCI3)	433 -
XA 2012	2.31 (3.6H, s), 3.16 (4H, t, J = 4.8 Hz), 3.44 (3H, s), 3.45 (4H, m), 3.75 (4H, t, J = 4.8 Hz), 3.86 (1H, d, J = 14.0 Hz), 3.92 (1H, d, J = 12.4 Hz), 4.56 (1H, d, J = 10.4 Hz), 6.95 (1H, s), 7.06 (2H, d, J = 8.8 Hz), 7.43 (2H, d, J = 8.8 Hz), 8.06 (2H, d, J = 6.0 Hz), 8.75 (2H, d, J = 6.0 Hz), 9.03 (1H, s), 9.33 (1H, d, J = 10.0 Hz) (DMSO-d6)	433
XA 2013	1.82 (4H, m), 1.97 (2H, m), 2.12 (2H, m), 2.77 (2H, t, J = 11.6 Hz), 3.01 (2H, m), 3.27 (1H, m), 3.40 (2H, m), 3.45 (3H, s), 3.49 (2H, m), 3.57 (1H, m), 3.63 (1H, m), 3.84 (1H, d, J = 13.6 Hz), 3.92 (3H, d, J = 12.8 Hz), 4.53 (1H, t, J = 11.2 Hz), 7.12 (2H, d, J = 8.4 Hz), 7.14 (1H, s), 7.58 (2H, d, J = 8.9 Hz), 8.49 (2H, d, J = 5.2 Hz), 8.97 (2H, d, J = 5.2 Hz), 9.82 (1H, br s), 10.24 (1H, br s), 11.12 (1H, br s) (DMSO-d6)	500
XA 2014	1.75(2H, m), 2.14(2H, m), 2.72(6H, d, J=4.5 Hz), 2.74-2.80(3H, m), 3.30-3.95(8H, m), 3.45(3H, s), 4.54(1H, m), 7.10(2H, d, J=9.0 Hz), 7.15(1H, s), 7.60(2H, d, J=9.0 Hz), 8.51(2H, d, J=6.6 Hz), 8.98(2H, d, J=6.6 Hz), 9.86(1H, m), 10.32(1H, m), 10.93(1H, m), (DMSO-d6)	474
XA 2015	1.68(2H, m), 2.09(2H, m), 3.16-3.90(10H, m), 3.45(3H, s), 4.60(1H, m), 7.13(1H, s), 7.45-7.71(4H, m), 8.45(2H, d, J=6.0 Hz), 8.94(2H, d, J=6.0 Hz), 9.83(1H, m), 10.22(1H, m) (DMSO-d6)	447

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XA 2016	1.91-2.03(2H, m), 3.09(1H, m), 3.28-3.57(7H, m), 3.40(3H, s), 4.41(2H, m), 6.58(2H, d, J= 8.7 Hz), 7.13(1H, s), 7.46(2H, d, J= 8.7 Hz), 8.44(2H, d, J=6.3 Hz), 8.94(2H, d, J=6.3 Hz), 9.61(1H, m), 9.89(1H, m) (DMSO-d6)	433
XA 2017	2.97 (6H, s), 3.45 (3H, s), 4.20-3.30 (6H, m), 4.53 (1H, t, J = 9.8 Hz), 6.69 (2H, br s), 7.14 (1H, s), 7.57 (2H, br s), 8.48 (2H, br s), 8.96 (2H, br s), 9.72 (1H, br s), 10.09 (1H, br s) (DMSO-d6)	391
XA 2018	3.18-3.22(1H, m), 3.44-3.80(15H, m), 4.51-4.55(1H, m), 5.11(2H, s), 7.04-7.07(3H, m), 7.32-7.39(5H, m), 7.52-7.55(2H, m), 8.33-8.35(2H, m), 8.82-8.87(2H, m), 9.65-9.75(2H, br)(DMSO-d6)	566
XA 2019	1.32(6H, d, J=6.8Hz), 3.04-3.88(18H, m), 4.52-4.55(1H, m), 7.09-7.12(3H, m), 7.62(2H, d, J=7.2Hz), 8.45(2H, d, J=4.2Hz), 8.94(2H, d, J=4.2Hz), 9.83-10.34(3H, br), 11.00-11.04(1H, br)(DMSO-d6)	474
XA 2020	1.32(6H, d, J=6.8Hz), 3.04-3.88(18H, m), 4.52-4.55(1H, m), 7.09-7.12(3H, m), 7.62(2H, d, J=7.2Hz), 8.45(2H, d, J=4.2Hz), 8.94(2H, d, J=4.2Hz), 9.83-10.34(3H, br), 11.00-11.04(1H, br)(DMSO-d6)	476
XA 2021	2.09(3H, s), 3.19-4.00(20H, m), 4.43-4.54(3H, m), 7.06-7.19(3H, m), 7.62(2H, d, J=7.2Hz), 8.44(2H, d, J=4.2Hz), 8.94(2H, d, J=4.2Hz), 9.82-9.85(1H, br), 10.26-10.30(1H, br), 11.30-11.40(1H, br)(DMSO-d6)	518
XA 2022	3.17-3.21(4H, m), 3.38-4.16(14H, m), 4.51-4.54(1H, m), 7.08-7.18(3H, m), 7.60(2H, d, J=7.2Hz), 8.43(2H, d, J=4.2Hz), 8.93(2H, d, J=4.2Hz), 9.26-9.34(2H, br), 9.81-84(1H, br), 10.25-10.30(1H, br)(DMSO-d6)	432
XA 2023	1.82(3H, m), 3.29(3H, m), 3.40-3.96(9H, m), 3.48(3H, s), 4.55(1H, m), 7.10(1H, s), 7.13(2H, d, J=8.4 Hz), 7.56(2H, d, J=8.4 Hz), 8.39(2H, d, J=6.0 Hz), 8.91(2H, d, J=6.0 Hz), 9.67(1H, m), 9.97(1H, m) (DMSO-d6)	445

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XA 2024	1.89-2.03(2H, m), 2.95-3.07(5H, m), 3.29-3.83(5H, m), 3.40(3H, s), 4.40(1H, m), 4.94(1H, m), 6.49(2H, d, J= 8.4 Hz), 7.13(1H, s), 7.25(2H, d, J= 8.4 Hz), 7.95(2H, d, J=6.0 Hz), 8.69(2H, d, J=6.0 Hz) (DMSO-d6)	433
XA 2025	1.16(6H, d, J= 6.3 Hz), 2.28-2.36(2H, m), 2.97-3.21(6H, m), 3.54(3H, s), 3.55-3.62(4H, m), 3.95(1H, m), 6.66(1H, s), 6.93(2H, d, J= 8.7 Hz), 7.32(2H, d, J= 8.7 Hz), 7.80(2H, d, J=6.3 Hz), 8.70(2H, d, J=6.3 Hz) (CDCI3)	460
XA 2026	1.26(6H, d, J= 6.3 Hz), 2.42(2H, dd, J= 11.1, 11.1 Hz), 3.02(1H, dd, J= 12.3, 10.8 Hz), 3.17-3.22(3H, m), 3.45-3.63(4H, m), 3.55(3H, s), 3.81(1H, m), 3.95(1H, dd, J= 13.2, 2.1 Hz), 6.66(1H, s), 6.92(2H, d, J= 8.4 Hz), 7.34(2H, d, J= 8.4 Hz), 7.80(2H, d, J=6.0 Hz), 8.70(2H, d, J=6.0 Hz) (CDCl3)	461
XA 2027	2.91-3.09(5H, m), 3.26(3H, s), 3.46(3H, s), 3.69-3.73(2H, m), 4.07-4.11(1H, m), 6.81(1H, s), 7.64(2H, d, J=7.2Hz), 7.77(2H, d, J=7.2Hz), 7.94-8.02(6H, m), 8.68(1H, d, J=4.2Hz)(DMSO-d6)	502
XA 2028	3.28-3.32(4H, m), 3.46(3H, s), 3.86-3.91(2H, m), 4.59-4.61(1H, m), 6.90(1H, s), 7.77-8.06(10H, m), 8.70(2H, d, J=4.2Hz), 9.36-9.44(1H, br)(DMSO-d6)	449
XA 2029	3.08 (1H, dd, J = 12.4, 10.4 Hz), 3.25 (3H, m), 3.58 (3H, s), 3.68 (2H, m), 4.09 (1H, dd, J = 10.4, 2.4 Hz), 6.68 (1H, s), 7.29 (2H, d, J = 8.4 Hz), 7.54 (2H, d, J = 8.4 Hz), 7.56 (2H, d, J = 8.4 Hz), 7.59 (2H, d, J = 8.4 Hz), 7.81 (2H, dd, J = 4.4, 1.6 Hz), 8.71 (2H, dd, J = 4.4, 1.6 Hz) (CDCI3)	508
XA 2030	3.08 (1H, dd, J = 12.4, 10.4 Hz), 3.27 (3H, m), 3.58 (3H, s), 3.70 (2H, m), 4.11 (1H, dd, J = 10.4, 2.4 Hz), 6.68 (1H, s), 7.57 (2H, d, J = 8.0 Hz), 7.63 (2H, d, J = 8.0 Hz), 7.70 (4H, s), 7.81 (2H, dd, J = 4.8, 1.2 Hz), 8.71 (2H, dd, J = 4.8, 1.2 Hz) (CDCI3)	492
XA 2031	1.45 (3H, t, J = 12.4 Hz), 3.08 (1H, dd, J = 12.4, 10.8 Hz), 3.24 (3H, m), 3.57 (3H, s), 3.67 (2H, m), 4.07 (1H, m), 4.09 (2H, q, J = 7.0 Hz), 6.67 (1H, s), 6.97 (2H, d, J = 8.4 Hz), 7.51 (2H, d, J = 8.4 Hz), 7.51 (2H, d, J = 8.4 Hz), 7.57 (2H, d, J = 8.4 Hz), 7.57 (2H, d, J = 8.4 Hz), 7.51 (2H, d, J = 8.4 Hz), 7.51 (2H, dd, J = 4.8, 1.2 Hz), 8.71 (2H, dd, J = 4.8, 1.2 Hz) (CDCI3)	468

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XA 2032	1.94 (4H, m), 2.02 (1H, m), 2.21 (1H, m), 2.62 (4H, m), 2.91 (1H, m), 3.03 (1H, dd, J = 12.4, 10.4 Hz), 3.20 (4H, m), 3.33 (1H, m), 3.48 (2H, m), 3.54 (3H, s), 3.62 (2H, m), 3.91 (1H, dd, J = 10.4, 2.4 Hz), 6.55 (2H, d, J = 8.4 Hz), 6.66 (1H, s), 7.29 (2H, d, J = 8.4 Hz), 7.81 (2H, dd, J = 4.4, 0.8 Hz), 8.70 (2H, dd, J = 4.4, 0.8 Hz) (CDCI3)	468
XA 2033	2.29(3H, s), 3.06(4H, t, J=4.8Hz), 3.38(4H, t, J=4.8Hz), 3.51(3H, s), 5.70(1H, s), 6.67(1H, s), 7.24-7.29(5H, m), 7.83(2H, dd, J=1.6, 4.3Hz), 8.72(2H, dd, J=1.3, 4.5Hz)(CDCI3)	427
XA 2034	3.09 (1H, dd, J = 12.0, 10.8 Hz), 3.23 (3H, m), 3.57 (3H, s), 3.66 (2H, m), 3.82 (3H, s), 3.86 (3H, s), 4.06 (1H, dd, J = 10.8, 2.4 Hz), 6.58 (2H, m), 6.67 (1H, s), 7.24 (2H, m), 7.47 (2H, d, J = 8.0 Hz), 7.53 (2H, d, J = 8.0 Hz), 7.82 (2H, dd, J = 4.8, 1.2 Hz), 8.71 (2H, dd, J = 4.8, 1.2 Hz) (CDCI3)	484
XA 2035	3.08 (3H, dd, J = 12.4, 10.8 Hz), 3.25 (3H, m), 3.57 (3H, s), 3.67 (2H, m), 3.93 (3H, s), 3.96 (3H, s), 4.08 (1H, dd, J = 10.0, 2.0 Hz), 6.68 (1H, s), 6.95 (1H, d, J = 8.4 Hz), 7.11 (1H, d, J = 2.4 Hz), 7.16 (1H, dd, J = 8.4, 2.4 Hz), 7.51 (2H, d, J = 8.0 Hz), 7.58 (2H, d, J = 8.0 Hz), 7.81 (2H, dd, J = 4.8, 1.2 Hz), 8.71 (2H, dd, J = 4.8, 1.2 Hz) (CDCI3)	484
XA 2036	3.08 (1H, dd, J = 12.4, 10.8 Hz), 3.26 (3H, m), 3.57 (3H, s), 3.67 (2H, m), 4.09 (1H, dd, J = 10.0, 2.0 Hz), 6.68 (1H, s), 7.42 (2H, d, J = 8.4 Hz), 7.53 (4H, d, J = 8.4 Hz), 7.58 (2H, d, J = 8.4 Hz), 7.80 (2H, dd, J = 4.8, 1.6 Hz), 8.71 (2H, dd, J = 4.8, 1.6 Hz) (CDCI3)	458
XA 2037	3.09 (1H, dd, J = 12.4, 10.8 Hz), 3.25 (3H, m), 3.58 (3H, s), 3.69 (2H, m), 4.11 (1H, dd, J = 10.4, 2.4 Hz), 6.68 (1H, s), 7.28 (2H, m), 7.44 (2H, d, J = 8.0 Hz), 7.51 (3H, m), 8.81 (2H, dd, J = 4.0, 1.2 Hz), 8.72 (2H, dd, J = 4.0, 1.2 Hz) (CDCI3)	492
XA 2038	3.07 (1H, dd, J = 12.3, 11.0 Hz), 3.26 (3H, m), 3.57 (3H, s), 3.67 (2H, m), 4.10 (1H, dd, J = 10.2, 2.1 Hz), 6.68 (1H, s), 7.42 (1H, dd, J = 8.1, 2.2 Hz), 7.55 (5H, m), 7.68 (1H, d, J = 2.2 Hz), 7.80 (2H, dd, J = 4.8, 1.3 Hz), 8.71 (2H, dd, J = 4.8, 1.3 Hz) (CDCI3)	492

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XA 2039	3.06 (1H, dd, J = 12.0, 10.8 Hz), 3.24 (3H, m), 3.58 (3H, s), 3.67 (2H, m), 4.13 (1H, dd, J = 10.4, 2.4 Hz), 6.68 (1H, s), 7.61 (2H, d, J = 8.4 Hz), 7.80 (2H, d, J = 4.4 Hz), 8.15 (2H, d, J = 8.4 Hz), 8.71 (2H, d, J = 4.4 Hz), 8.77 (1H, s) (CDCI3)	416
XA 2040	3.04-3.26(4H, m), 3.57(3H, s), 3.66-3.71(2H, m), 4.07(1H, m), 5.12(2H, s), 6.68(1H, s), 7.06(2H, d, J= 8.7 Hz), 7.40-7.59(11H, m), 7.81(2H, d, J=6.0 Hz), 8.71(2H, d, J=6.0 Hz) (CDCI3)	530
XA 2041	0.38(2H, m), 0.67(2H, m), 1.32(1H, m), 3.09(1H, dd, J=12.6, 11.1 Hz), 3.22-3.28(3H, m), 3.58(3H, s), 3.67-3.71(2H, m), 3.86(2H, d, J= 6.9 Hz), 4.08(1H, m), 6.68(1H, s), 7.06(2H, d, J= 9.0 Hz), 7.49-7.60(6H, m), 7.82(2H, d, J=6.0 Hz), 8.72(2H, d, J=6.0 Hz) (CDCI3)	494
XA 2042	1.37(6H, d, J= 6.0 Hz), 3.08(1H, dd, J=12.3, 11.1 Hz), 3.20-3.28(3H, m), 3.57(3H, s), 3.65-3.70(2H, m), 4.06(1H, m), 4.59(1H, m), 6.67(1H, s), 7.06(2H, d, J= 9.0 Hz), 7.48-7.59(6H, m), 7.81(2H, d, J=6.0 Hz), 8.71(2H, d, J=6.0 Hz) (CDCl3)	482
XA 2043	0.99(3H, t, J= 7.5 Hz), 1.40-1.85(4H, m), 3.05-3.30(4H, m), 3.57(3H, s), 3.65-3.70(2H, m), 4.00-4.10(3H, m), 6.67(1H, s), 6.97(2H, d, J= 8.7 Hz), 7.50-7.56(6H, m), 7.81(2H, d, J=6.0 Hz), 8.71(2H, d, J=6.0 Hz) (CDCI3)	496
XA 2044	1.66(1H, br.s), 2.52(3H, s), 3.05(1H, dd, J=10.5, 12.6Hz), 3.20-3.26(3H, m), 3.57(3H, s), 3.62-3.72(2H, m), 4.07(1H, dd, J=2.1, 10.5Hz), 6.67(1H, s), 7.33(2H, d, J=8.4Hz), 7.50-7.61(6H, m), 7.81(2H, dd, J=1.6, 4.3Hz), 8.70(2H, dd, J=1.3, 4.5Hz)(CDCI3)	469
XA 2045	1.72(1H, br.s), 2.40(3H, s), 2.98-3.26(5H, m), 3.57(3H, s), 3.57-3.67(1H, m), 4.07(1H, dd, J=2.1, 10.5Hz), 6.67(1H, s), 7.24(2H, d, J=8.1Hz), 7.49-7.52(4H, m), 7.60(2H, d, J=8.1Hz), 7.81(2H, dd, J=1.6, 4.3Hz), 8.70(2H, dd, J=1.3, 4.5Hz)(CDCl3)	437
XA 2046	1.36(9H, s), 1.72(1H, br.s), 3.06(1H, dd, J=10.5, 12.4Hz), 3.20-3.28(3H, m), 3.57(3H, s), 3.57-3.67(2H, m), 4.07(1H, dd, J=2.1, 10.5Hz), 6.67(1H, s), 7.24(2H, d, J=8.1Hz), 7.43-7.56(6H, m), 7.81(2H, dd, J=1.6, 4.3Hz), 8.71(2H, dd, J=1.3, 4.5Hz)(CDCI3)	479

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XA 2047	1.29(6H, d, J=6.9Hz), 1.73(1H, br.s), 2.96(1H, m), 3.06(1H, dd, J=10.5, 12.4Hz), 3.21-3.29(3H, m), 3.57(3H, s), 3.62-3.71(2H, m), 4.07(1H, dd, J=2.1, 10.5Hz), 6.67(1H, s), 7.31(2H, d, J=8.1Hz), 7.45-7.54(4H, m), 7.63(2H, d, J=8.1Hz), 7.81(2H, dd, J=1.6, 4.3Hz), 8.71(2H, dd, J=1.3, 4.5Hz), (CDCI3)	465
XA 2048	1.68(2H, br.s), 2.98(1H, dd, J=10.5, 12.6Hz), 3.20-3.27(2H, m), 3.56(3H, s), 3.64-3.74(1H, m), 4.04(1H, dd, J=3.3, 11.1Hz), 4.80(3H, s), 6.66(1H, s), 6.72(2H, d, J=8.5Hz), 7.49-7.52(4H, m), 7.63(2H, d, J=8.1Hz), 7.81(2H, dd, J=1.6, 4.3Hz), 8.70(2H, dd, J=1.3, 4.5Hz)(DMSO-d6)	438
XA 2049	2.67 (3H, s), 3.06 (1H, dd, J = 12.4, 10.8 Hz), 3.25 (3H, m), 3.57 (3H, s), 3.62 (2H, m), 4.12 (1H, dd, J = 10.0, 2.0 Hz), 6.68 (1H, s), 7.59 (2H, d, J = 8.0 Hz), 7.80 (1H, dd, J = 4.8, 1.2 Hz), 8.09 (1H, d, J = 8.0 Hz), 8.71 (1H, dd, J = 4.8, 1.2 Hz), (CDCI3)	430
XA 2050	3.05(1H, m), 3.30-3.48(3H, m), 3.64(3H, s), 4.08-4.22(2H, m), 4.68(1H, m), 5.15(1H, d, J= 12.3 Hz), 5.21(1H, d, J= 12.6 Hz), 6.63(1H, s), 7.21(2H, d, J= 8.4 Hz), 7.28-7.39(7H, m), 7.59(2H, d, J=6.3 Hz), 8.68(2H, d, J=6.3 Hz) (CDCI3)	560
XA 2051	2.88-3.34(6H, m), 3.67(3H, s), 4.56(1H, dd, J= 9.9, 3.3 Hz), 6.62(1H, s), 7.19(2H, d, J= 10.8 Hz), 7.36(2H, d, J= 10.8 Hz), 7.58(2H, dd, J=4.5, 1.5 Hz), 8.67(2H, dd, J=4.5, 1.5 Hz) (CDCI3)	426
XA 2052	3.04(1H, m), 3.29-3.48(3H, m), 3.64(3H, s), 4.10-4.15(2H, m), 4.68(1H, m), 5.15(1H, d, J= 12.3 Hz), 5.21(1H, d, J= 12.6 Hz), 6.63(1H, s), 7.21(2H, d, J= 8.1 Hz), 7.32-7.39(7H, m), 7.59(2H, d, J=6.0 Hz), 8.68(2H, d, J=6.0 Hz) (CDCI3)	560
XA 2053	3.01(1H, m), 3.29-3.41(3H, m), 3.66(3H, s), 4.05-4.13(2H, m), 4.67(1H, m), 6.64(1H, s), 7.23(2H, d, J= 8.4 Hz), 7.41(2H, d, J= 8.4 Hz), 7.60(2H, dd, J=4.5, 1.5 Hz), 8.69(2H, dd, J=4.5, 1.5 Hz) (CDCI3)	527
XA 2054	2.28(3H, s), 3.07(4H, m), 3.59(4H, m), 3.73(3H, s), 5.78(1H, s), 6.70(1H, s), 6.98(1H, m), 7.40(1H, m), 7.60-7.66(2H, m), 7.81(2H, dd, J=1.6, 4.3Hz), 8.72(2H, dd, J=1.3, 4.5Hz)(CDCI3)	445

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XA 2055	2.31(3H, s), 3.19(4H, m), 3.46(4H, m), 3.54(3H, s), 5.79(1H, s), 6.69(1H, s), 7.18-7.23(1H, m), 7.79(2H, d, J=5.4Hz), 7.79-7.87(2H, m), 8.54(1H, d, J=5.2Hz), 8.72(2H, d, J=4.5Hz)(CDCl3)	428
XB13	1.16-1,28(1H, m), 1.50-1.64(1H, m), 1.70-1.82(2H, m), 1.90-2.01(1H, m), 2.58(2H, d, J=7.3 Hz), 2.64-2.72(1H, m), 2.89-2.97(1H, m), 3.28(3H, s), 3.57-3.67(2H, m), 6.93(1H, s), 7.20-7.35(5H, m), 8.26(2H, d, J=5.7 Hz), 8.87(2H, d, J=5.9 Hz)(DMSO-d6)	361
XB16	1.75-2.16(4H, m), 2.96-3.08(3H, m, 3.55(3H, s), 3.69-3.79(2H, m), 6.66(1H, s), 7.26-7.40(5H, m), 7.81(2H, d, J = 6.0 Hz), 8.70(2H, d, J = 6.0 Hz) (CDCI3)	347
XB17	1.76-1.99(5H, m), 2.97-3.10(2H, m), 3.75(1H, d, J=12.4 Hz), 6.81(1H, s), 7.18-7.24(2H, m), 7.28-7.35(1H, m), 7.47(1H, t, J=7.1 Hz), 7.98(2H, d, J=5.8 Hz), 8.68(2H, d, J=5.8 Hz)(DMSO-d6)	365
XB19	1.86-2.14(4H, m), 2.94-3.03(3H, m), 3.55(3H, s), 3.68-3.75(2H, m), 6.66(1H, s), 7.05(2H, m), 7.23(2H, m), 7.80(2H, d, J = 6.3 Hz), 8.70(2H, d, J = 6.3 Hz)(CDCI3)	365
XB33	1.75-2.08(4H, m), 2.80(1H, m), 3.03(1H, m), 3.42(3H, s), 3.77(2H, m), 3.85(3H, s), 6.65(1H, s), 6.89-7.00(2H, m), 7.22-7.28(2H, m), 7.82(2H, d, J = 6.0 Hz), 8.70(2H, d, J = 6.0 Hz) (CDCI3)	377
XB35	1.73-1.83(4H, m), 2.90-3.02(3H, m), 3.42(3H, s), 3.67-3.81(2H, m), 3.74(3H, s), 6.80(1H, s), 6.91(2H, d, J=8.7 Hz), 7.27(2H, d, J=8.5 Hz), 7.97(2H, d, J=5.9 Hz), 8.69(2H, d, J=5.7 Hz)(DMSO-d6)	377
XB43	1.69-1.90(7H, m), 1.94-2.00(1H, m), 2.59-2.68(4H, m), 2.92-3.02(3H, m), 3.43(3H, s), 3.69-3.80(4H, m), 6.59(3H, s), 6.79(1H, s), 7.29-7.36(4H, m), 7.96(2H, d, J=5.9 Hz), 8.68(2H, d, J=5.1 Hz)(DMSO-d6)	430
XB46	(CDCI3): 1.95-2.09(3H, m), 2.39(1H, m), 3.15(1H, m), 3.45(1H, dd, J=12.9, 10.8Hz), 3.57(3H, s), 3.61-3.72(2H, m), 4.08(1H, m), 6.67(1H, s), 7.32(1H, m), 7.58-7.60(2H, m), 7.74(1H, d, J=7.8Hz), 7.80(2H, dd, J=4.5, 1.5Hz), 8.69(2H, dd, J=4.5, 1.5Hz).	388
XB47	(CDCI3): 1.90-2.06(3H, m), 2.36(1H, m), 3.14(1H, m), 3.42(1H, m), 3.57(3H, s), 3.61-3.71(2H, m), 4.06(1H, m), 6.68(1H, s), 7.09(1H, m), 7.28(1H, m), 7.68(1H, dd, J=8.8, 5.1Hz), 7.79(2H, d, J=4.7Hz), 8.69(2H, d, J=5.9Hz).	406

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XB48	1.90-2.10(3H, m), 2.32-2.44(1H, m), 3.11-3.20(1H, m), 3.45(1H, dd, J=10.5, 12.6 Hz), 3.57(3H, s), 3.61-3.72(2H, m), 4.08(1H, d, J=11.1 Hz), 6.67(1H, s), 7.30-7.35(1H, m), 7.56-7.62(2H, m), 7.74(1H, d, J=13.8 Hz), 7.80(2H, dd, J=1.8, 4.5 Hz), 8.70(2H, dd, J=1.8, 4.8 Hz)(CDCI3)	388
XB49	1.91-2.09(3H, m), 2.37-2.42(1H, m), 3.12-3.19(1H, m), 3.45(1H, dd, J=10.8, 12.9 Hz), 3.57(3H, s), 3.60-3.72(2H, m), 4.08(1H, d, J=11.1 Hz), 6.67(1H, s), 7.30-7.35(1H, m), 7.54-7.62(2H, m), 7.75(1H, d, J=8.1 Hz), 7.80(2H, dd, J=1.5, 4.5 Hz), 8.70(2H, dd, J=1.8, 4.5 Hz)(CDCI3)	388
XB50	1.59-1.67(1H, m), 1.72-1.81(1H, m), 2.08(1H, dt, J=3.4, 12.7 Hz), 2.23-2.40(1H, m), 3.06-3.14(1H, m), 3.41-3.54(2H, m), 3.42(3H, s), 3.93(1H, d, J=14.0 Hz), 7.02(1H, s), 7.24-7.29(1H, m), 7.34-7.39(2H, m), 7.56-7.59(2H, m), 8.55(2H, d, J=6.6 Hz), 8.98(2H, d, J=6.5 Hz)(DMSO-d6)	363
XB80	2.21-2.36(4H, m), 3.19-3.31(2H, m), 3.46(3H, s), 3.88(2H, d, J=13.2 Hz), 6.86(1H, s), 7.38-7.42(1H, m), 7.46-7.51(2H, m), 7.58-7.64(2H, m), 8.01(2H, d, J=5.1 Hz), 8.70(2H, d, J=5.1 Hz)(DMSO-d6)	372
XB122	1.44(2H, m), 1.75-1.83(3H, m), 2.63(2H, d, J = 6.9 Hz), 2.90(2H, m), 3.51(3H, s), 3.64(2H, m), 6.65(1H, s), 7.17-7.34(5H, m), 7.80(2H, d, J = 6.3 Hz), 8.70(2H, d, J = 6.3 Hz) (CDCI3)	361
XB123	1.44-2.16(5H, m), 2.86-2.97(2H, m), 3.49(3H, s), 3.62(1H, m), 3.72(1H, m), 4.48(1H, d, J = 7.2 Hz), 6.64(1H, s), 7.07(2H, m), 7.32(2H, m), 7.79(2H, d, J = 6.3 Hz), 8.69(2H, d, J = 6.3 Hz) (CDCI3)	395
XB124	1.38-1.60(3H, m), 1.78(1H, m), 2.16(1H, m), 2.79-2.94(2H, m), 3.20(3H, s), 3.49(3H, s), 3.59(1H, m), 3.69(1H, m), 3.88(1H, d, J = 7.5 Hz, 1H), 6.64(1H, s), 7.08(2H, m), 7.25(2H, m), 7.79(2H, d, J = 6.0 Hz), 8.70(2H, d, J = 6.0 Hz) (CDCI3)	409
XB127	1.87-2.06(4H, m), 2.79(1H, m), 3.10(2H, m), 3.57(3H, s), 3.78(2H, m), 6.68(1H, s), 7.23-7.29(3H, m), 7.34(2H, m), 7.84(2H, d, J = 6.0 Hz), 8.72(2H, d, J = 6.0 Hz) (CDCI3)	347
XB130	1.81-2.03(4H, m), 2.78(1H, m), 3.09(2H, m), 3.57(3H, s), 3.79(2H, m), 6.69(1H, s), 7.03(2H, m), 7.23(2H, m), 7.84(2H, d, J = 5.4 Hz), 8.72(2H, br s) (CDCI3)	365
XB134	1.78-1.95(4H, m), 2.80-2.91(1H, m), 2.96-3.09(2H, m), 3.45(3H, s), 3.81(2H, d, J=13.1 Hz), 6.80(1H, s), 7.33(1H, dd, J=2.0, 8.3 Hz), 7.56-7.60(2H, m), 7.99(2H, dd, J=1.6, 4.5 Hz), 8.69(2H, dd, J=1.5, 4.5 Hz)(DMSO-d6)	415

XB145	1.82-2.02(4H, m), 3.09-3.27(3H, m), 3.57(3H, s), 3.79(2H, m), 3.86(3H, s), 6.67(1H, s), 6.89-6.99(2H, m), 7.21-7.26(2H, m), 7.84(2H, d, J = 6.0 Hz), 8.72(2H, d, J = 6.0 Hz) (CDCI3)	377
XB157	1.85-2.07(2H,m), 2.17-2.30(2H,m), 2.91-3.10(1H,m), 3.10-3.24(2H,m), 3.57(3H,s), 3.71-3.88(2H,m), 6.69(1H,s), 6.99-7.06(1H,m), 7.21(1H,dd,J=2.1,8.7Hz), 7.45(1H,s), 7.49-7.65(1H,m), 7.83(2H,dd,J=1.8,4.5Hz), 8.72(2H,dd,J=1.2,4.8Hz)(CDCl3)	405
XB158	2.22-2.32(4H, m), 3.22(2H, m), 3.37(1H, m), 3.58(3H, s), 3.82(2H, m, 6.71(1H, s), 7.10(1H, m), 7.29(1H, m), 7.67(1H, m), 7.83(2H, d, J = 6.3 Hz), 8.72(2H, d, J = 6.3 Hz) (CDCI3)	406
XB159	2.19-2.26(4H, m), 3.21(2H, m), 3.35(1H, m), 3.59(3H, s), 3.82(2H, m), 6.70(1H, s), 6.95(1H, dt, J = 9.0, 2.1 Hz), 7.13(1H, dd, J = 9.0, 2.1 Hz), 7.71(1H, m), 7.85(2H, d, J = 6.3 Hz), 8.72(2H, d, J = 6.3 Hz) (CDCI3)	405
XB160	2.13-2.34(2H,m), 2.34-2.43(2H,m), 3.10-3.38(3H,m), 3.57(3H,s), 3.68-3.83(2H,m), 6.69(1H,s), 7.29-7.40(2H,m), 7.46-7.59(1H,m), 7.64-7.78(1H,m), 7.80-7.78(2H,m), 8.72(2H,d,J=6.0Hz)(CDCl3)	388
XB161	2.19(2H, m), 2.38(2H, m), 3.18(2H, m), 3.39(1H, m), 3.58(3H, s), 3.80(2H, m), 6.70(1H, s), 7.39(1H, m), 7.50(1H, m), 7.83(2H, d, J = 6.0 Hz), 7.89(1H, d, J = 7.2 Hz), 8.01(1H, d, J = 7.8 Hz), 8.73(2H, d, J = 6.0 Hz) (CDCI3)	404
XB162	1.96(2H, m), 2.88(2H, m), 3.15(2H, m), 3.60(3H, s), 3.85(2H, m), 4.63(1H, m), 6.73(1H, s), 7.13-7.23(3H, m), 7.46(1H, d, J = 7.5 Hz), 7.84(2H, d, J = 6.3 Hz), 8.73(2H, d, J = 6.3 Hz)(CDCI3)	420
XB164	1.64(2H, m), 2.23(2H, m), 3.13(2H, m), 3.50(1H, m), 3.53(3H, s), 3.68(2H, m), 6.58(2H, m), 6.68(1H, s), 6.91(2H, m), 7.81(2H, d, J = 6.0 Hz), 8.72(2H, d, J = 6.0 Hz) (CDCI3)	380
XB165	1.91-1.99(4H, m), 2.84(3H, s), 3.07(2H, m), 3.55(3H, s), 3.77(2H, m), 3.84(1H, m), 6.69(1H, s), 6.75-6.87(3H, m), 7.27(2H, m), 7.82(2H, d, J = 6.3 Hz), 8.72(2H, d, J = 6.3 Hz) (CDCI3)	376
XB168	1.52(2H, m), 1.79(3H, s), 1.96(2H, m), 3.09(2H, m), 3.42(3H, s), 3.64(2H, m), 4.86(1H, m), 6.63(1H, s), 7.09-7.19(4H, m), 7.74(2H, d, J = 6.0 Hz), 8.70(2H, d, J = 6.0 Hz) (CDCI3)	422

XB169	1.86(1H, br s), 1.95(2H, m), 2.30(2H, m), 3.47-3.63(7H, m), 6.68(1H, s), 7.30-7.44(3H, m), 7.54(2H, d, J = 7.5 Hz), 7.84(2H, d, J = 6.0 Hz), 8.71(2H, d, J = 6.0 Hz) (CDCI3)	363
XB201	2.20-2.31(4H, m), 3.20-3.29(2H, m), 3.46(3H, s), 3.87(2H, d, J=13.8 Hz), 6.86(1H, s), 7.29-7.35(2H, m), 7.64-7.69(2H, m), 8.01(2H, dd, J=1.5, 4.5 Hz), 8.70(2H, dd, J=1.5, 4.5 Hz)(DMSO-d6)	390
XB227	2.16-2.25(2H, m), 2.48-2.58(2H, m), 3.14-3.21(2H, m), 3.40(3H, s), 3.41-3.50(2H, m), 6.79(1H, s), 7.28-7.33(1H, m), 7.39-7.46(4H, m), 7.97(2H, dd, J=1.5, 4.5 Hz), 8.68(2H, dd, J=1.5, 4.5 Hz)(DMSO-d6)	389
XB256	1.77-1.85(8H, m), 2.10(1H, m), 2.51(4H, m), 2.97-3.02(3H, m), 3.58(3H, s), 3.55(3H, s), 3.62(2H, s), 3.74(1H, m), 6.66(1H, s), 7.16(2H, d, J=7.8Hz), 7.32(1H, d, J=7.8Hz), 7.80(2H, dd, J=1.5, 4.8Hz), 8.70(2H, dd, J=1.5, 4.8Hz)(CDCI3)	430
XB257	1.77-1.85(8H, m), 2.10(1H, m), 2.51(4H, m), 2.97-3.02(3H, m), 3.58(3H, s), 3.55(3H, s), 3.62(2H, s), 3.74(1H, m), 6.66(1H, s), 7.16(2H, d, J=7.8Hz), 7.32(1H, d, J=7.8Hz), 7.80(2H, dd, J=1.5, 4.8Hz), 8.70(2H, dd, J=1.5, 4.8Hz)(CDCI3)	430
XB258	1.86 (4H, m), 1.99 (4H, m), 3.03 (5H, m), 3.35 (4H, m), 3.43 (3H, s), 3.73 (2H, m), 4.30 (2H, s), 6.81 (1H, s), 7.43 (2H, d, J = 8.1 Hz), 7.69 (2H, d, J = 8.1 Hz), 7.97 (2H, d, J = 6.0 Hz), 8.69 (2H, d, J = 6.0 Hz), 11.01 (1H, br s) (DMSO-d6)	429
XB259	1.75 (1H, m), 1.89 (3H, m), 1.97 (3H, m), 2.13 (1H, d, J = 13.6 Hz), 3.02 (3H, m), 3.46 (2H, t, J = 7.0 Hz), 3.55 (3H, s), 3.66 (2H, t, J = 7.0 Hz), 3.75 (2H, m), 6.66 (1H, s), 7.30 (2H, d, J = 8.0 Hz), 7.52 (2H, d, J = 8.0 Hz), 7.80 (2H, dd, J = 6.0, 1.2 Hz), 8.71 (2H, dd, J = 6.0, 1.2 Hz)	443
XB260	1.77-1.86(8H, m), 2.94-3.06(5H, m), 3.43(3H, s), 3.73-3.78(2H, m), 4.28-4.31(2H, m), 6.81(1H, s), 7.44(2H, d, J=7.3Hz), 7.57(2H, d, J=7.3Hz), 7.96(2H, d, J=4.2Hz), 8.63(2H, d, J=4.2Hz), 10.75-10.80(1H, br)(DMSO-d6)	430
XB261	1.45-1.59(6H, m), 1.73-1.94(4H, m), 2.10-2.15(4H, m), 2.98-3.05(3H, m), 3.49(2H, m), 3.55(3H, s), 3.74-3.77(2H, m), 6.65(1H, s), 7.22(2H, d, J=8.4 Hz), 7.33(2H, d, J=8.4 Hz), 7.80(2H, d, J=6.0 Hz), 8.70(2H, d, J=6.0 Hz)(CDCl3)	444

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XB262	1.19-1.31(6H, m), 1.80-1.94(7H, m), 2.10(1H, m), 2.32(3H, s), 2.45(1H, m), 2.97-3.02(3H, m), 3.54(3H, s), 3.55(2H, m), 3.69-3.74(2H, m), 6.66(1H, s), 7.22(2H, d, J=8.4 Hz), 7.33(2H, d, J=8.4 Hz), 7.81(2H, d, J=6.0 Hz), 8.71(2H, d, J=6.0 Hz)(CDCl3)	472
XB263	1.77-1.86(4H, m), 2.44(1H, m), 2.80(6H, s), 2.98-3.16(4H, m), 3.42(3H, s), 3.62-3.79(6H, m), 4.42(3H, m), 6.93(1H, s), 7.45(2H, d, J=8.4 Hz), 7.58(2H, d, J=8.4 Hz), 8.21(2H, d, J=6.0 Hz), 8.82(2H, d, J=6.0 Hz)(DMSO-d6)	473
XB264	0.99(3H, t, J=7.2Hz), 1.20-1.24(6H, m), 1.80-1.93(7H, m), 2.10(1H, m), 2.50-2.55(2H, m), 2.97-3.00(3H, m), 3.55(3H, s), 3.60(2H, s), 3.69-3.74(2H, m), 6.65(1H, s), 7.18(2H, d, J=8.4 Hz), 7.34(2H, d, J=8.4 Hz), 7.80(2H, d, J=6.0 Hz), 8.70(2H, d, J=6.0 Hz)(CDCI3)	486
XB265	1.02(6H, d, J=6.6Hz), 1.23-1.28(5H, m), 1.72-2.15(9H, m), 2.51(1H, m), 2.97-3.08(4H, m), 3.55(3H, s), 3.70(2H, s), 3.74-3.78(2H, m), 6.65(1H, s), 7.18(2H, d, J=7.8 Hz), 7.34(2H, d, J=7.8 Hz), 7.81(2H, d, J=6.0 Hz), 8.70(2H, d, J=6.0 Hz)(CDCI3)	500
XB266	1.77-1.87(4H, m), 2.44(1H, m), 2.80(6H, s), 2.99-3.09(4H, m), 3.42(3H, s), 3.62-3.79(6H, m), 4.42(3H, m), 6.95(1H, s), 7.45(2H, d, J=8.1 Hz), 7.58(2H, d, J=8.1 Hz), 8.29(2H, d, J=6.0 Hz), 8.86(2H, d, J=6.0 Hz)(DMSO-d6)	473
XB267	1.85-1.88(4H, m), 2.81(1H, m), 2.99-3.07(2H, m), 3.44(3H, s), 3.79-3.84(2H, m), 6.82(1H, s), 7.29(2H, d, J=8.4 Hz), 7.51(2H, d, J=8.4 Hz), 8.01(2H, d, J=6.0 Hz), 8.70(2H, d, J=6.0 Hz)(DMSO-d6)	425
XB268	1.83-1.99(4H, m), 2.83(1H, m), 2.98-3.06(2H, m), 3.45(3H, s), 3.79-3.84(2H, m), 6.82(1H, s), 7.29-7.43(3H, m), 7.53(1H, s), 8.01(2H, d, J=6.0 Hz), 8.70(2H, d, J=6.0 Hz)(DMSO-d6)	425
XB269	1.74-1.96(8H, m), 2.51(1H, m), 2.65-3.01(2H, m), 3.04-3.18(4H, m), 3.44(3H, s), 3.77-3.81(2H, m), 6.49(2H, d, J=8.4 Hz), 6.80(1H, s), 7.09(2H, d, J=8.4 Hz), 8.00(2H, dd, J=4.5, 1.8 Hz), 8.69(2H, dd, J=4.5, 1.8 Hz)(DMSO-d6)	416
XB270	1.83-1.99(8H, m), 2.72(1H, m), 2.97-3.07(2H, m), 3.19-3.23(4H, m), 3.45(3H, s), 3.78-3.83(2H, m), 6.38(1H, d, J=7.8 Hz) 6.44(1H, s), 6.53(1H, d, J=7.5 Hz), 6.81(1H, s), 7.09(1H, dd, J=7.8, 7.8 Hz), 8.00(2H, d, J=5.4 Hz), 8.70(2H, d, J=5.7 Hz)(DMSO-d6)	416

LONG TERMINATED

XB271	1.81-1.92(2H, m), 2.07-2.15(2H, m), 3.02-3.21(3H, m), 3.51(3H, s), 3.79-3.83(2H, m), 6.80-6.86(2H, m), 7.10-7.17(2H, m), 7.58-7.63(1H, m), 8.00(2H, d, J=4.2Hz), 8.69(2H, d, J=4.2Hz), 10.90(1H, brs)(DMSO-d6)	404
XB272	1.53-1.63(2H, m), 2.02-2.07(2H, m), 3.11-3.19(2H, m), 3.41(3H, s), 3.60-3.72(3H, m), 6.12(1H, d, J=8.2Hz), 6.79-6.80(2H, m), 6.88-6.91(2H, m), 7.25-7.31(1H, m), 8.00(2H, d, J=4.2Hz), 8.70(2H, d, J=4.2Hz)(DMSO-d6)	430
XB273	1.47-1.57(2H, m), 2.00-2.07(2H, m), 2.71(6H, s), 3.04-3.12(2H, m), 3.37-3.42(4H, m), 3.67-3.71(2H, m), 4.87(1H, d, J=8.2Hz), 6.56-6.65(4H, m), 6.79(1H, s), 7.99(2H, d, J=4.2Hz), 8.69(2H, d, J=4.2Hz)(DMSO-d6)	405
XB274	1.51-1.61(2H, m), 2.01-2.07(2H, m), 3.08-3.16(2H, m), 3.43(3H, s), 3.50-3.53(1H, m), 3.67(3H, s), 3.70-3.73(2H, m), 5.56(1H, d, J=8.2Hz), 6.09-6.24(3H, m), 6.78(1H, s), 6.96(1H, dd, J=7.2Hz, 7.3Hz), 7.99(2H, d, J=4.2Hz), 8.69(2H, d, J=4.2Hz)(DMSO-d6)	392
XB275	1.48-1.59(2H, m), 2.00-2.07(2H, m), 3.06-3.13(2H, m), 3.40(3H, s), 3.44-3.46(1H, m), 3.64(3H, s), 3.66-3.71(2H, m), 5.07(1H, d, J=8.2Hz), 6.59(2H, d, J=7.2Hz), 6.70(2H, d, J=7.2Hz), 6.79(1H, s), 7.98(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	392
XB276	1.57-1.68(2H, m), 2.03-2.07(2H, m), 3.05-3.09(2H, m), 3.41(3H, s), 3.51-3.77(6H, m), 4.57(1H, d, J=8.2Hz), 6.53-6.58(1H, m), 6.66-6.69(1H, m), 6.74-6.82(3H, m), 7.99(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	392
XB277	1.78-1.92(4H, m), 2.94-3.07(5H, m), 3.41-3.86(10H, m), 6.88-6.92(1H, m), 7.04(1H, s), 7.21-7.24(2H, m), 7.39-7.44(1H, m), 8.48(2H, d, J=4.2Hz), 8.95(2H, d, J=4.2Hz)(DMSO-d6)	406
XB278	1.68-2.08(4H, m), 2.90-2.96(2H, m), 3.15(3H, s), 3.38(3H, s), 3.81-4.04(7H, m), 7.03(1H, s), 7.13(2H, d, J=7.2Hz), 7.81(2H, d, J=7.2Hz), 8.45(2H, d, J=4.2Hz), 8.94(2H, d, J=4.2Hz)(DMSO-d6)	406
XB279	1.76-1.85(4H, m), 2.65(3H, s), 2.85-2.94(2H, m), 3.41-3.42(1H, m), 3.44(3H, s), 3.74-3.79(2H, m), 4.02(3H, s), 6.78(1H, s), 6.83-6.99(4H, m), 7.97(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	406

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XB280	1.86-1.98(4H, m), 2.98(6H, s), 3.01-3.10(2H, m), 3.40-3.92(11H, m), 7.00-7.13(2H, m), 7.42-7.50(2H, m), 8.51(2H, d, J=4.2Hz), , 8.97(2H, d, J=4.2Hz)(DMSO-d6)	419
XB281	1.69-1.88(3H, m), 1.92-2.00(1H, m), 2.92-3.06(3H, m), 3.42(3H, s), 3.63-3.88(2H, m), 6.79(1H, s), 7.33(2H, d, J=8.4 Hz), 7.54(2H, d, J=8.4 Hz), 7.96(2H, d, J=5.7 Hz), 8.68(2H, d, J=6.0 Hz)(DMSO-d6)	425
XB282	2.51-2.60(4H, m), 3.47(3H, s), 3.65-3.68(4H, m), 6.54(1H, s), 8.00(2H, d, J=4.2Hz), 8.70(1H, d, J=4.2Hz)(DMSO-d6)	285
XB283	1.71-1.82(4H, m), 2.40-2.49(2H, m), 2.50-2.53(4H, m), 2.86-2.94(3H, m), 3.06-3.09(4H, m), 3.41(3H, s), 3.50-3.68(4H, m), 4.43-4.46(1H, m), 6.78(1H, s), 6.89(2H, d, J=7.2Hz), 7.17(2H, d, J=7.2Hz), 7.95(2H, d, J=4.2Hz), 8.67(2H, d, J=4.2Hz)(DMSO-d6)	475
XB284	1.71-1.93(4H, m), 2.86(6H, s), 2.88-2.97(3H, m), 3.41(3H, s), 3.65-3.75(2H, m), 6.73(2H, d, J=7.2Hz), 6.78(1H, s), 7.15(2H, d, J=7.2Hz), 7.96(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	390
XB285	1.72-1.83(4H, m), 2.89-2.96(3H, m), 3.05-3.09(4H, m), 3.42(3H, s), 3.71-3.75(4H, m), 6.78(1H, s), 6.91(2H, d, J=7.2Hz), 7.20(2H, d, J=7.2Hz), 7.96(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	432
XB286	1.52-1.91(10H, m), 2.86-2.94(3H, m), 3.07-3.10(4H, m), 3.41(3H, s), 3.66-3.75(2H, m), 6.78(1H, s), 6.89(2H, d, J=7.2Hz), 7.16(2H, d, J=7.2Hz), 7.95(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	430
XB287	1.64-1.88(4H, m), 2.21(3H, s), 2.42-2.45(4H, m), 2.89-2.94(3H, m), 3.07-3.11(4H, m), 3.41(3H, s), 3.69-3.75(2H, m), 6.78(1H, s), 6.90(2H, d, J=7.2Hz), 7.18(2H, d, J=7.2Hz), 7.96(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	445
XB288	1.43-1.47(2H, m), 1.71-1.90(6H, m), 2.19(6H, s), 2.58-2.66(2H, m), 2.87-2.95(2H, m), 2.87-2.98(3H, m), 3.30-3.32(1H, m), 3.41(3H, s), 3.64-3.75(4H, m), 6.78(1H, s), 6.90(2H, d, J=7.2Hz), 7.16(2H, d, J=7.2Hz), 7.96(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	473

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XB289	1.72-1.94(4H, m), 2.92-2.99(3H, m), 3.08-3.11(4H, m), 3.41(3H, s), 3.52-3.56(4H, m), 3.66-3.75(2H, m), 5.11(2H, s), 6.78(1H, s), 6.93(2H, d, J=7.2Hz), 7.20(2H, d, J=7.2Hz), 7.28-7.39(5H, m), 7.95(2H, d, J=4.2Hz), 8.69(2H, d, J=4.2Hz)(DMSO-d6)	565
XB290	1.53-1.63(2H, m), 1.85-1.89(2H, m), 2.14(3H, s), 2.31-2.46(8H, m), 2.86-2.94(2H, m), 3.34-3.35(1H, m), 3.39(3H, s), 3.70-3.74(2H, m), 6.79(1H, s), 7.98(2H, d, J=4.2Hz), 8.69(2H, d, J=4.2Hz)(DMSO-d6)	369
XB291	1.52-1.63(2H, m), 1.85-1.90(2H, m), 2.34-2.42(11H, m), 2.86-2.94(2H, m), 3.39(3H, s), 3.45-3.50(2H, m), 3.70-3.74(2H, m), 4.38-4.40(1H, m), 6.80(1H, s), 7.98(2H, d, J=4.2Hz), 8.69(2H, d, J=4.2Hz)	399
XB292	1.71-1.83(4H, m), 2.81-3.00(11H, m), 3.28-3.30(1H, m), 3.41(3H, s), 3.66-3.75(2H, m), 6.78(1H, s), 6.89(2H, d, J=7.2Hz), 7.17(2H, d, J=7.2Hz), 7.96(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	431
XB293	1.43-1.53(2H, m), 1.93-1.98(3H, m), 2.63-2.66(1H, m), 2.92-3.00(2H, m), 3.39(3H, s), 3.62-3.79(7H, m), 6.78(1H, s), 6.88-6.97(2H, m), 7.18-7.22(1H, m), 7.35(1H, d, J=7.3Hz), 7.98(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	406
XB294	1.42-1.53(2H, m), 1.96-2.08(3H, m), 2.61-2.67(1H, m), 2.91-2.99(2H, m), 3.39(3H, s), 3.62-3.80(7H, m), 6.77(1H, s), 6.86(2H, d, J=7.2Hz), 7.25(2H, d, J=7.2Hz), 7.97(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	406
XB295	1.81-1.91(2H, m), 2.61-2.20(2H, m), 2.96-3.17(6H, m), 3.41-3.47(5H, m), 3.74-3.86(4H, m), 6.90-7.03(3H, m), 7.21-7.29(2H, m), 8.44(2H, d, J=4.2Hz), 8.93(2H, d, J=4.2Hz), 9.30-9.38(2H, br)(DMSO-d6)	420
XB296	1.80-1.91(2H, m), 2.07-2.21(2H, m), 2.96-3.11(6H, m), 3.34-3.41(5H, m), 3.69-3.86(4H, m), 6.91(2H, d, J=7.2Hz), 7.05(1H, s), 7.20(2H, d, J=7.2Hz), 8.49(2H, d, J=4.2Hz), 8.96(2H, d, J=4.2Hz), 9.44-9.50(2H, br)(DMSO-d6)	420

XB297	1.41-1.51(2H, m), 1.91-1.96(3H, m), 2.61-2.65(1H, m), 2.86(6H, s), 2.91-2.98(2H, m), 3.38(3H, s), 3.61-3.67(4H, m), 6.70(2H, d, J=7.2Hz), 6.77(1H, s), 7.20(2H, d, J=7.2Hz), 7.97(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	419
XB298	2.04(2H, d, J=13.1Hz), 2.34(3H, s), 2.53(2H, m), 2.91(2H, m), 3.55(3H, s), 3.70(2H, d, J=13.1Hz), 4.27(1H, m), 6.08(1H, s), 6.86(1H, s), 7.36-7.48(5H, m), 7.80(2H, dd, J=1.6, 4.3Hz), 8.69(2H, dd, J=1.3, 4.5Hz)(CDCI3)	426
XB299	2.06(2H, d, J=13.1Hz), 2.22(2H, m), 2.99(2H, m), 3.13(1H, m), 3.54(3H, s), 3.70(2H, d, J=13.1Hz), 6.68(1H, s), 7.25(1H, s), 7.44-7.48(2H, m), 7.64-7.67(3H, m), 7.78(2H, dd, J=1.6, 4.3Hz), 8.69(2H, dd, J=1.3, 4.5Hz)(CDCI3)	413
XB300	1.75-1.85(4H, m), 2.97-3.10(5H, m), 3.43(3H, s), 3.71-3.76(2H, m), 3.88-3.93(2H, m), 6.70(1H, dd, J=7.2, 7.3Hz), 6.79(1H, s), 7.02-7.06(2H, m), 7.15-7.23(3H, m), 7.31-7.35(2H, m), 7.97(2H, d, J=4.2Hz), 8.69(2H, d, J=4.2Hz)(DMSO-d6)	464
XB301	1.09-1.34(5H, m), 1.57-1.88(9H, m), 2.78-2.93(3H, m), 3.08-3.18(1H, m), 3.41(3H, s), 3.62-3.74(2H, m), 5.27(1H, d, J=8.2Hz), 6.52(2H, d, J=7.2Hz), 6.79(1H, s), 7.01(2H, d, J=7.2Hz), 7.96(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	444
XB302	1.10-1.16(1H, m), 1.32-1.46(4H, m), 1.64-1.82(9H, m), 2.68(3H, s), 2.82-2.93(3H, m), 3.41(3H, s), 3.54-3.74(3H, m), 6.72(2H, d, J=7.2Hz), 6.78(1H, s), 7.12(2H, d, J=7.2Hz), 7.95(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	458

No.	NMR	MS[M+1]
YA0262	(DMSO-d6): 3.47(3H, s), 3.48-3.66(4H, m), 3.89-4.02(2H, m), 4.98(1H, m), 7.06(1H, s), 7.35-7.59(3H, m), 7.99(1H, dd, J=7.2, 6.9Hz), 8.25(1H, dd, J=5.4, 1.2Hz), 9.01(1H, d, J=5.1Hz), 9.31(1H, s), 9.84(1H, m), 10.19(1H, m).	367
YA0263	(CDCI3):3.01(1H,dd,J=10.5,12.4Hz), 3.10-3.35(3H,m), 3.57(3H,s), 3.55-3.65(2H,m), 4.05(1H,dd,J=2.4,10.4Hz), 7.00-7.10(1H,m), 7.30(1H,s), 7.22(2H,m), 7.30-7.42(2H,m), 8.15(1H,dd,J=1.3,5.2Hz), 8.86(1H,d,J=5.2Hz), 9.27(1H,d,J=1.0Hz).	367

YA0264	2.83(1H, dd, J=11.0, 11.9 Hz), 2.93(1H, s), 2.99-3.10(3H, m), 3.45(3H, s), 3.61-3.69(2H, m), 3.95(1H, dd, J=2.1, 10.3 Hz), 6.97(1H, s), 7.19(2H, t, J=8.8 Hz), 7.48-7.56(2H, m), 8.17(1H, dd, J=1.0, 5.0 Hz), 8.99(1H, d, J=5.1 Hz), 9.29(1H, d, J=1.0 Hz)(DMSO-d6)	367
YA0264 (HCI)	3.39-3.47(2H, m), 3.45(3H, s), 3.55-3.66(2H, m), 3.86-3.96(2H, m), 4.64-4.71(1H, m), 7.05(1H, s), 7.36(2H, t, J=8.7 Hz), 7.77-7.81(2H, m), 8.23(1H, dd, J=1.2, 5.1 Hz), 9.02(1H, d, J=5.1 Hz), 9.32(1H, d, J=1.2 Hz), 9.79(1H, d, J=10.2 Hz), 10.13-10.28(1H, m)(DMSO-d6)	367
YA0267	(CDCl3):2.81(1H,dd,J=10.5,12.6Hz), 3.15-3.40(3H,m), 3.50-3.65(4H,m),3.65-3.80(1H,m), 4.51(1H,dd,J=2.7,10.5Hz), 7.20-7.45(4H,m), 7.74(1H,dd,J=1.5,7.5Hz), 8.15-8.20(1H,m), 8.85(1H,d,J=5.1Hz), 9.27(1H,s).	383
YA0268	(CDCl3):3.00(1H,dd,J=10.5,12.6Hz), 3.10-3.35(3H,m), 3.50-3.70(5H,m), 4.03(1H,dd,J=2.4,10.5Hz), 7.32(4H,m), 7.50(1H,s), 8.15(1H,dd,J=1.2,5.1Hz), 8.87(1H,d,J=5.1Hz), 9.27(1H,d,J=1.5Hz).	383
YA0269	3.40-3.50(2H, m), 3.45(3H, s), 3.53-3.65(2H, m), 3.87-3.97(2H, m), 4.68(1H, t, J=10.2 Hz), 7.05(1H, s), 7.59(2H, d, J=11.1 Hz), 7.75(2H, d, J=11.1 Hz), 8.22(1H, dd, J=1.5, 5.4 Hz), 9.02(1H, d, J=5.1 Hz), 9.31(1H, s), 9.83(1H, d, J=9.6 Hz), 10.11-10.25(1H, m)(DMSO-d6)	383
YA0274	(DMSO-d6):3.45(3H,s), 3.40-3.70(4H,m), 3.92(2H,t,J=14.1Hz), 4.67(1H,br s), 7.06(1H,s), 7.68(2H,d,J=10.0Hz), 7.72(2H,d,J=10.0Hz), 8.22(1H,d,J=4.8Hz), 9.03(1H,d,J=4.8Hz), 9.31(1H,s), 9.88(1H,br s), 10.22(1H,br s).	427
YA0289	3.38-3.57(4H, m), 3.35(3H,s), 3.89(3H,s), 3.91-3.97(2H, m), 4.84-4.94(1H, m), 7.06(1H, s), 7.08-7.15(1H, m), 7.18(1H, d, J=8.4 Hz), 7.41-7.49(1H, m), 7.68(1H, d, J=7.6 Hz), 8.25(1H, d, J=4.9 Hz), 9.04(1H, d, J=5.1 Hz), 9.32(1H, s)(DMSO)	379
YA0290	(DMSO-d6):3.40-3.75(7H,m), 3.92(2H,t,J=13.2Hz), 4.64(1H,t,J=9.1Hz), 7.00-7.10(2H,m), 7.23(1H,d,J=7.6Hz), 7.35(1H,s), 7.42(1H,t, J=7.8Hz), 8.23(1H,d,J=5.6Hz), 9.02(1H,d,J=5.2Hz), 9.32(1H,s), 9.65-9.80(1H,brd), 9.90-10.15(1H,brd).	379
YA0291	(DMSO-d6): 3.42(3H, s), 3.36-3.58(4H, m), 3.79(3H, s), 3.83-3.95(2H, m), 4.61(1H, m), 7.05(1H, s), 7.07(2H, d, J=8.1Hz), 7.60(2H, d, J=8.7Hz), 8.22(1H, dd, J=5.1, 1.2Hz), 9.02(1H, d, J=5.4Hz), 9.31(1H, s), 9.58-9.74(2H, m).	379

YA0294	1.31(3H, t, J=6.8 Hz), 3.44-3.59(2H, m), 3.48(3H, s), 3.87-3.97(2H, m), 4.09-4.20(2H, m), 4.80-4.91(1H, m), 7.06(1H, s), 7.09-7.17(2H, m), 7.44(1H, t, J=7.4 Hz), 7.64(1H, d, J=7.5 Hz), 8.23(1H, d, J=5.3 Hz), 9.03(1H, d, J=5.2 Hz), 9.32(1H, s), 9.49-9.60(2H, m)(DMSO-d6)	393
YA0304	(DMSO-d6):3.45(3H,s), 3.64(3H,m), 3.93(3H,m), 4.78(1H,t,J=9.6Hz), 7.13(1H,s), 7.97(2H,d,J=8.7Hz), 8.01(2H,d,J=8.7Hz), 8.43(2H,d,J=6.2Hz), 8.93(2H,d,J=6.2Hz), 10.12(1H,s), 10.70(1H,s).	374
YA0331	(CDCl3):2.00(4H,m), 3.05(1H,t,J=11.7Hz), 3.18-3.30(3H,m), 3.29(4H,m), 3.56(3H,s), 3.62(2H,m), 3.91(1H,d,J=8.4Hz), 6.57(2H,d,J=8.7Hz), 7.31(3H,m), 8.17(1H,dd,J=1.2,5.1Hz), 8.85(1H,d,J=5.1Hz), 9.27(1H,d,J=1.2Hz).	418
YA0337	(CDCl3):3.02(1H,dd,J=10.8,12.6Hz), 3.18(8H,m), 3.56(3H,s), 3.61(1H,t,J=9.0Hz), 3.87(4H,m), 3.95(1H,dd,J=2.7,10.8Hz), 6.93(2H,d,J=8.9Hz), 7.31(1H,s), 7.36(2H,d,J=8.9Hz), 8.16(1H,dd,J=1.5,5.4Hz), 8.85(1H,d,J=5.4Hz), 9.27(1H,d,J=1.5Hz).	434
YA0340	(CDCl3):2.36(3H,s), 2.59(4H,m), 3.02(1H,t,J=11.4Hz), 3.16-3.29(7H,m), 3.26(3H,s), 3.61(2H,m), 3.94(1H,d,J=8.0Hz), 6.94(2H,d,J=8.7Hz), 7.31(1H,s), 7.34(2H,d,J=8.7Hz), 8.16(1H,d,J=5.1Hz), 8.85(1H,d,J=5.1Hz), 9.27(1H,s).	447
YA0361	3.39-3.50(2H, m), 3.47(3H, s), 3.61-3.73(1H, m), 3.78(3H, s), 3.83(3H, s), 3.87-3.92(3H, m), 4.92(1H, t, J=10.5 Hz), 6.99-7.11(3H, m), 7.57(1H, d, J=2.7 Hz), 8.25(1H, dd, J=1.2, 5.1 Hz), 9.03(1H, d, J=4.8 Hz), 9.31(1H, d, J=0.9 Hz), 9.78(1H, d, J=9.0 Hz), 10.21-10.38(1H, m)(DMSO-d6)	409
YA0362	(DMSO-d6): 3.47(3H, s), 3.37-4.04(6H, m), 3.94(6H, s), 5.09(1H, m), 6.82(2H, d, J=8.4Hz), 7.05(1H, s), 7.45(1H, t, J=8.4Hz), 8.22(1H, m), 8.24(1H, dd, J=5.4, 1.5Hz), 9.05(1H, d, J=5.1Hz), 9.32(1H, s), 10.06(1H, m).	409
YA0366	3.38-3.60(4H, m), 3.47(3H, s), 3.88-3.95(2H, m), 3.90(3H, s), 4.86-4.92(1H, m), 6.96-7.01(1H, m), 7.06(1H, s), 7.12(1H, d, J=8.8 Hz), 7.71-7.79(1H, m), 8.23-8.24(1H, m), 9.03(1H, d, J=5.1 Hz), 9.32(1H, d, J=1.2 Hz), 9.55-9.72(2H, m)(DMSO)	397
YA0367/ YA0368	(DMSO-d6):3.30-3.75(7H,m), 3.80-4.00(5H,m), 4.80-5.00(1H,m), 6.93-7.00(1H,m), 7.05(1H,s), 7.11(1H,dd,J=2.4,11.4Hz), 7.84(1H,m), 8.23(1H,d,J=5.1Hz), 9.03(1H,d,J=5.1Hz), 9.31(1H,s), 9.60-9.80(1H,brd), 9.90-10.15(1H,brd).	397

YA0370	3.31-3.56(3H, m), 3.45(3H, s), 3.69-3.78(1H, m), 3.90-3.99(2H, m), 3.94(3H, s), 4.95-5.03(1H, m), 6.96-7.02(1H, m), 7.03-7.09(2H, m), 7.49-7.56(1H, m), 8.24(1H, d, J=4.4 Hz), 8.51-8.69(1H, m), 9.03(1H, d, J=5.1 Hz), 9.32(1H, s), 10.55-10.67(1H, m) (DMSO)	397
YA0378	2.77(1H, dd, J=10.5, 12.0 Hz), 3.18-3.30(3H, m), 3.61(3H, s), 3.64-3.71(2H, m), 3.86(3H, s), 4.37(1H, dd, J=2.1, 10.1 Hz), 6.89(1H, d, J=1.7 Hz), 6.99(1H, dd, J=1.6, 8.2 Hz), 7.32(1H, s), 7.50(1H, d, J=8.2 Hz), 8.19(1H, d, J=5.2 Hz), 8.86(1H, d, J=5.2 Hz), 9.27(1H, s)(CDCI3)	413
YA0399	(CDCI3):2.76(1H,dd,J=10.2,12.3Hz), 3.10-3.40(3H,m), 3.55-3.80(5H,m), 3.85(3H,s), 4.39(1H,dd,J=2.4,10.2Hz), 6.78(1H,d,J=8.7Hz), 7.32(1H,s), 7.39(1H,dd,J=2.7,8.7Hz), 7.72(1H,d,J=2.4Hz), 8.20(1H,dd,J=1.2,5.1Hz), 8.87(1H,d,J=5.1Hz), 9.27(1H,d,J=1.2Hz).	457
YA0408	(CDCI3): 1.98-2.03(4H, m), 2.84(1H, m), 3.17-3.32(7H, m), 3.60(3H, s), 3.59-3.71(2H, m), 3.85(3H, s), 4.28(1H, d, 8.4Hz), 6.10(1H, d, J=1.8Hz), 6.18(1H, d, J=8.3Hz), 7.29(1H, s), 7.33(1H, d, J=8.4Hz), 8.21(1H, d, J=5.2Hz), 8.85(1H, d, J=5.2Hz), 9.27(1H, s).	448
YA0409	(CDCI3):1.95-2.10(4H,m), 2.95-3.10(1H,m), 3.19-3.45(7H,m), 3.59(3H,s), 3.50-3.80(2H,m), 3.80(3H,s), 4.48(1H,dd,J=2.2,10.2Hz), 6.49(1H,dd,J=3.0,8.9Hz), 6.63-6.87(2H,m), 7.32(1H,s), 8.20(1H,dd,J=1.4,5.2Hz), 8.86(2H,d,J=5.2Hz), 9.27(1H,d,J=1.1Hz).	448
YA0414	(CDCl3):3.14(2H,m), 3.22(1H,t,J=11.6Hz), 3.41(1H,t,J=11.6Hz), 3.82(2H,m), 3.83(3H,s), 3.88(3H,s), 4.58(1H,dd,J=3.1,11.0Hz), 6.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz).	415
YA0423	(DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H,s), 7.15(1H,d,J=7.7Hz), 7.31(1H,d,J=7.7Hz), 7.39(1H,t,J=7.7Hz), 7.61(2H,d,J=8.1Hz), 7.70(2H,d,J=8.1Hz), 8.25(1H,d,J=4.5Hz), 9.07(1H,d,J=4.5Hz), 9.33(1H,s), 9.66(1H,br s).	455
YA0425	(DMSO-d6):3.61(3H,m), 3.76(3H,s), 3.81(3H,s), 4.01(3H,m), 4.69(1H,t,J=9.9Hz), 7.05(2H,d,J=9.0Hz), 7.07(1H,s), 7.67(2H,d,J=9.0Hz), 7.76(4H,s), 8.24(1H,dd,J=1.2,5.1Hz), 9.03(1H,d,J=5.1Hz), 9.32(1H,d,J=1.2Hz), 9.79(1H,d,J=10.2Hz), 10.07(1H,s).	455
YA0434	(DMSO-d6):3.30-3.70(4H,m), 3.42(3H,s), 3.96(2H,d,J=13.8Hz), 4.71(1H,t,J=11.3Hz), 7.06(1H,s), 7.33(2H,t,J=8.0Hz), 7.77(6H,m), 8.24(1H,d,J=5.4Hz), 9.03(1H,d,J=5.4Hz), 9.32(1H,s), 9.80(1H,d,J=8.7Hz), 10.03(1H,s).	443

YA0442	3.43-3.59(2H, m), 3.48(3H, s), 3.63-3.75(2H, m), 3.97-4.01(2H, m), 4.80-4.86(1H, m), 7.06(1H, s), 7.60-7.64(2H, m), 7.86-7.88(1H, m), 7.95-8.00(2H, m), 8.05-8.07(1H, m), 8.24-8.27(2H, m), 9.02(1H, d, J=5.4 Hz), 9.32(1H, s), 10.01(1H, d, J=10.2 Hz), 10.30-10.41(1H, m)(DMSO-d6)	399
YA0517	(CDCI3): 2.97(1H, dd, J=12.3, 10.5Hz), 3.18-3.28(5H, m), 3.58(3H, s), 3.59(1H, m), 3.77(1H, m), 4.27(1H, dd, 10.2, 2.7Hz), 4.62(2H, m), 6.89(1H, t, J=7.5Hz), 7.16(1H, m), 7.27(1H, m), 7.28(1H, s), 8.26(1H, dd, J=5.4, 1.5Hz), 8.86(1H, d, J=5.4Hz), 9.26(1H, s).	391
YA0864	(DMSO-d6):3.15-3.35(1H,m), 3.38-3.50(4H,m), 3.70-4.30(9H,m), 5.00-5.20(1H,m), 7.00-7.10(2H,m), 7.10-7.20(1H,m), 7.30-7.50(6H,m), 8.15-8.20(1H,m), 8.30-8.40(1H,brd), 9.05(1H,d,J=5.1Hz), 9.31(1H,d,J=0.9Hz).	487
YA1074	(CDCl3):1.80-2.40(3H, m), 3.12-3.34(4H, m), 3.39-4.20(7.6H, m), 4.50-5.07(0.6H, m), 5.30-5.60(0.7H, m), 5.72-6.05(0.1H, m), 6.52-6.80(2H, m), 6.82-7.22(1H, m), 7.28(1H, s), 8.18(1H, d,J=4.8Hz), 8.89(1H, d,J=5.1Hz), 9.28(1H, d,J=1.2Hz)	439
YA1339	(CDCl3):2.50-2.62(1H,m), 2.80-2.95(1H,m), 3.02-3.20(1H,m), 3.25-3.40(1H,m), 3.50-3.74(5H,m), 3.75-3.80(1H,m), 3.85(3H,s), 6.60-6.80(2H,m), 7.30(1H,s), 7.48(1H,t,J=8.4Hz), 8.19(1H,dd,J=1.2,5.1Hz), 8.86(1H,d,J=5.1Hz), 9.27(1H,d,J=1.5Hz).	411
YA1340/ YA1341	(DMSO-d6):2.55(3H,d,J=3.9Hz), 3.40-3.80(3H,m), 3.45(3H,s), 3.80-4.15(6H,m), 4.85-5.15(1H,m), 6.90-7.05(1H,m), 7.05(1H,s), 7.13(1H,dd,J=2.4,11.4Hz), 8.21(1H,dd,J=1.2,5.1Hz), 9.04(1H,d,J=5.1Hz), 9.31(1H,d,J=1.2Hz), 11.50-12.20(1H,brd).	411
YA1534	2.90-3.10 (1H, m), 3.15-3.35 (3H, m), 3.50-3.70 (5H, m), 3.80-4.05 (7H, m), 6.87 (1H, d, J = 8.1 Hz), 6.90-7.10 (2H, m), 7.31 (1H, s), 8.16 (1H, d, J = 4.6 Hz), 8.85 (1H, d, J = 5.0 Hz), 9.27 (1H, s) (CDCI3)	408
YA1535	3.45 (3H, s), 3.46 (2H, m), 3.64 (m, 2H), 3.91 (2H, t, J = 16.1 Hz), 4.68 (1H, t, J = 10.5 Hz), 7.05 (1H, s), 7.59 (2H, d, J = 8.4 Hz), 7.79 (2H, d, J = 8.4 Hz), 8.23 (1H, dd, J = 5.1, 1.2 Hz), 9.02 (1H, d, J = 5.1 Hz), 9.31 (1H, d, J = 1.2 Hz), 10.00 (1H, d, J = 8.7 Hz), 10.49 (1H, br s) (DMSO-6)	383
YA1536	3.45 (3H, s), 3.46 (2H, m), 3.64 (m, 2H), 3.91 (2H, t, J = 16.1 Hz), 4.68 (1H, t, J = 10.5 Hz), 7.05 (1H, s), 7.59 (2H, d, J = 8.4 Hz), 7.79 (2H, d, J = 8.4 Hz), 8.23 (1H, dd, J = 5.1, 1.2 Hz), 9.02 (1H, d, J = 5.1 Hz), 9.31 (1H, d, J = 1.2 Hz), 10.00 (1H, d, J = 8.7 Hz), 10.49 (1H, br s) (DMSO-6)	383

YA1546	2.80 (3H, d, J = 4.5 Hz), 3.26 (4H, m), 3.44 (3H, s), 3.45 (4H, m), 3.60 (2H, m), 3.80 (1H, d, J = 3.5 Hz), 3.90 (3H, m), 4.54 (1H, t, J = 10.5 Hz), 7.04 (1H, s), 7.10 (2H, d, J = 8.7 Hz), 7.62 (2H, d, J = 8.7 Hz), 8.20 (1H, dd, J = 5.1, 1.2 Hz), 9.02 (1H, d, J = 5.1 Hz), 9.32 (1H, d, J = 1.2 Hz), 9.86 (1H, d, J = 10.2 Hz), 10.33 (1H, br s), 11.15 (1H, br s) (DMSO-d6)	447
YA1547	2.28(3H, s), 3.07(4H, t, J=4.7Hz), 3.37(4H, t, J=4.8Hz), 3.75(3H, s), 5.76(1H, s), 7.26-7.33(2H, m), 7.45(2H, dd, J=7.8, 7.8Hz), 7.79(2H, d, J=7.8Hz), 8.14(1H, d, J=5.4Hz), 8.87(1H, dd, J=7.8, 7.8Hz), 9.28(1H, d, J=1.2Hz)(CDCl3)	428
YA1548	2.37 (1H, m), 2.43 (1H, m), 2.80 (3H, d, J = 5.2 Hz), 2.81 (3H, d, J = 5.2 Hz), 3.28 (1H, q, J = 8.8 Hz), 3.40 (2H, m), 3.44 (3H, s), 3.57 (5H, m), 3.79 (1H, d, J = 11.4 Hz), 3.97 (2H, m), 4.50 (1H, t, J = 10.0 Hz), 6.69 (2H, d, J = 8.4 Hz), 7.05 (1H, s), 7.54 (2H, d, J = 8.4 Hz), 8.20 (1H, dd, J = 4.8, 1.2 Hz), 9.03 (1H, d, J = 4.8 Hz), 9.32 (1H, d, J = 1.2 Hz), 9.71 (1H, br s), 10.06 (1H, br s), 11.35 (1H, br s) (DMSO-d6)	461
YA1549	2.33 (1H, m), 2.41 (1H, m), 2.79 (3H, d, J = 4.8 Hz), 2.81 (3H, d, J = 4.8 Hz), 3.28 (1H, d, J = 8.4 Hz), 3.39 (2H, m), 3.44 (3H, s), 3.57 (5H, m), 3.79 (1H, d, J = 13.3 Hz), 3.97 (2H, m), 4.50 (1H, t, J = 11.6 Hz), 6.69 (2H, d, J = 8.4 Hz), 7.04 (1H, s), 7.55 (2H, d, J = 8.4 Hz), 8.21 (2H, d, J = 5.2 Hz), 9.02 (2H, d, J = 5.2 Hz), 9.32 (1H, s), 9.75 (1H, br s), 10.14 (1H, br s), 11.45 (1H, br s) (DMSO-d6)	461
YA1550	3.47 (3H, s), 3.60 (2H, m), 3.76 (2H, m), 3.81 (3H, s), 3.94 (2H, m), 4.68 (1H, m), 7.05 (2H, d, J = 8.6 Hz), 7.06 (1H, s), 7.67 (2H, d, J = 8.6 Hz), 7.76 (4H, s), 8.25 (1H, d, J = 5.0 Hz), 9.03 (1H, d, J = 5.0 Hz), 9.32 (1H, s) (DMSO-d6)	455
YA1551	1.18 (1H, m), 1.40 (4H, m), 1.70 (1H, m), 1.80 (4H, m), 2.55 (1H, m), 3.43 (2H, m), 3.45 (3H, s), 3.60 (2H, m), 3.91 (2H, m), 4.60 (1H, t, J = 10.8 Hz), 7.05 (1H, s), 7.35 (2H, d, J = 8.0 Hz), 7.64 (2H, d, J = 8.0 Hz), 9.03 (1H, d, J = 4.8 Hz), 9.31 (1H, s), 9.80 (1H, d, J = 8.8 Hz), 10.24 (1H, m) (DMSO-d6)	431
YA1552	3.02(4H, m), 3.23(4H, m), 3.49(3H, s), 7.08-7.67(10H, m), 8.15(1H, d, J=5.1Hz), 8.87(1H, d, J=5.1Hz), 9.27(1H, s)(CDCl3)	424
YA1553	2.90 (1H, dd, J = 13.2, 9.6 Hz), 3.16 (2H, m), 3.24 (1H, d, 14.4 Hz), 3.31 (3H, s), 3.34 (1H, d, J = 13.6 Hz), 3.47 (1H, t, J = 13.2 Hz), 3.80 (3H, m), 6.97 (1H, s), 7.38 (2H, m), 7.45 (3H, m), 7.64 (1H, dd, J = 5.2, 1.2 Hz), 8.94 (1H, d, J = 5.2 Hz), 9.28 (1H, d, J = 1.2 Hz), 9.54 (1H, br s), 9.78 (1H, br s) (DMSO-d6)	363

	To op (41)	
YA1554	2.95 (1H, m), 3.29-3.05 (3H, m), 3.34 (3H, s), 3.35 (1H, m), 3.44 (1H, t, J = 12.4 Hz), 3.79 (3H, m), 6.99 (1H, s), 7.40 (2H, d, J = 8.4 Hz), 7.51 (2H, d, J = 8.4 Hz), 7.76 (1H, dd, J = 4.8, 1.2 Hz), 8.96 (1H, d, J = 4.8 Hz), 9.29 (1H, d, J = 1.2 Hz), 9.38 (1H, br s), 9.71 (1H, br s) (DMSO-d6)	397
YA1555	1.65 (2H, br s), 1.90 (4H, br s), 3.44 (6H, m), 3.45 (3H, s), 3.61 (2H, m), 3.88 (1H, d, J = 13.6 Hz), 3.94 (1H, d, J = 13.6 Hz), 4.66 (1H, t, J = 8.8 Hz), 7.05 (1H, s), 7.82 (4H, br s), 8.23 (1H, dd, J = 5.2, 1.2 Hz), 9.02 (1H, d, J = 5.2 Hz), 9.31 (1H, d, J = 1.2 Hz), 9.89 (1H, br s), 10.37 (1H, br s) (DMSO-d6)	432
YA1556	3.42 (2H, m), 3.45 (3H, s), 3.56 (2H, m), 3.85 (1H, d, J = 13.2 Hz), 3.93 (1H, d, J = 14.0 Hz), 4.55 (1H, t, J = 10.8 Hz), 6.94 (1H, br s), 7.05 (1H, s), 7.15 (4H, br s), 7.31 (2H, br s), 7.57 (2H, br s), 8.22 (1H, d, J = 4.8 Hz), 9.03 (1H, d, J = 4.8 Hz), 9.32 (1H, s), 9.66 (1H, br s), 9.90 (1H, br s) (DMSO-d6)	509
YA1557	1.40 (1H, m), 1.78 (8H, m), 2.18 (2H, d, J = 11.2 Hz), 2.78 (2H, m), 2.91 (2H, m), 3.30 (1H, m), 3.40 (3H, m), 3.44 (3H, s), 3.58 (2H, m), 3.82 (1H, d, J = 13.3 Hz), 3.93 (3H, m), 4.53 (1H, m), 7.05 (1H, s), 7.11 (2H, d, J = 8.8 Hz), 7.57 (2H, d, J = 8.8 Hz), 8.21 (1H, d, J = 5.2 Hz), 9.02 (1H, d, J = 5.2 Hz), 9.32 (1H, s), 9.73 (1H, d, J = 8.4 Hz), 10.09 (1H, br s), 10.39 (1H, br s) (DMSO-d6)	515
YA1558	2.84-2.91(1H, m), 3.01-3.05(4H, m), 3.22(3H, s), 3.46(3H, s), 3.68-3.72(2H, m), 4.07-4.11(1H, m), 6.95(1H, s), 7.78(2H, d, J=7.2Hz), 7.93(2H, d, J=7.2Hz), 8.31(1H, d, J=4.2Hz), 8.99(1H, d, J=4.2Hz), 9.28(1H, s)(DMSO-d6)	427
YA1559	1.84 (4H, m), 1.97 (2H, m), 2.13 (2H, m), 2.79 (2H, t, J = 11.6 Hz), 3.04 (2H, m), 3.24 (1H, m), 3.40 (2H, m), 3.44 (3H, s), 3.59 (2H, m), 3.80 (1H, d, J = 14.0 Hz), 3.91 (3H, m), 4.53 (1H, t, J = 11.2 Hz), 7.05 (1H, s), 7.13 (2H, d, J = 8.4 Hz), 7.58 (2H, d, J = 8.4 Hz), 8.22 (1H, d, J = 5.2 Hz), 9.02 (1H, d, J = 5.2 Hz), 9.31 (1H, s), 9.75 (1H, d, J = 8.4 Hz), 10.10 (1H, br s), 11.04 (1H, br s) (DMSO-d6)	501
YA1560	1.71(2H, m), 2.12(2H, m), 2.74(6H, d, J=4.8 Hz), 2.74-2.80(3H, m), 3.30-3.96(8H, m), 3.40(3H, s), 4.54(1H, m), 7.05(1H, s), 7.10(2H, d, J=9.0 Hz), 7.54(2H, d, J=9.0 Hz), 8.21(1H, dd, J=5.1, 1.2 Hz), 9.03(1H, d, J=5.4 Hz), 9.32(1H, s), 9.68(1H, m), 9.92(1H, m), 10.54(1H, m), (DMSO-d6)	475
YA1561	1.51(2H, m), 1.84(2H, m),3.00-3.20(3H, m), 3.38(3H, s), 3.38-3.91(8H, m), 4.55(1H, m), 7.05(1H, s), 7.18(2H, d, J=9.0 Hz), 7.51(2H, d, J=9.0 Hz), 8.21(1H, d, J=6.0 Hz), 9.02(1H, d, J=5.1 Hz), 9.31(1H, s), 9.54-9.62(3H, m), (DMSO-d6)	448

YA1562	1.89-2.05(2H, m), 2.65-3.20(5H, m), 3.25-3.82(5H, m), 3.41(3H, s), 4.39(1H, m), 4.91(1H, m), 6.49(2H, d, J= 8.4 Hz), 6.96(1H, s), 7.25(2H, d, J=8.4 Hz), 8.18(1H, dd, J=4.2, 0.9 Hz), 8.99(1H, d, J=5.1 Hz), 9.28(1H, s), (DMSO-d6)	434
YA1563	1.06 (1H, m), 1.30 (2H, m), 1.43 (2H, m), 1.60 (2H, m), 1.79 (3H, m), 2.97 (3H, m), 3.45 (3H, s), 3.60 (2H, m), 3.80 (3H, s), 3.90 (2H, m), 4.63 (1H, m), 7.05 (1H, s), 7.70 (4H, br s), 8.23 (1H, d, J = 5.2 Hz), 9.03 (1H, d, J = 5.2 Hz), 9.32 (1H, s), 9.75 (1H, br s) (DMSO-d6)	460
YA1564	2.99 (6H, m), 3.44 (1H, m), 3.45 (3H, s), 3.57 (3H, m), 3.82 (1H, d, J = 13.2 Hz), 4.92 (1H, d, J = 14.4 Hz), 4.55 (1H, t, J = 10.0 Hz), 7.05 (1H, s), 7.06 (2H, br s), 7.61 (2H, br s), 8.22 (1H, d, J = 5.2 Hz), 9.03 (1H, d, J = 5.2 Hz), 9.32 (1H, s), 9.73 (1H, br s), 10.11 (1H, br s) (DMSO-d6)	392
YA1565	3.20-3.22(4H, m), 3.44-3.89(15H, m), 4.51-4.55(1H, m), 5.11(2H, s), 7.04-7.07(3H, m), 7.35-7.39(5H, m), 7.53(2H, d, J=7.2Hz), 8.20(1H, d, J=4.2Hz), 9.01(1H, d, J=4.2Hz), 9.31(1H, s), 9.78-9.92(2H, br)(DMSO-d6)	567
YA1566	1.33(6H, d, J=6.8Hz), 3.02-3.55(13H, m), 3.89-3.93(5H, m), 4.52-4.55(1H, m), 6.99-7.13(3H, m), 7.60(2H, d, J=7.2Hz), 8.21(1H, d, J=4.2Hz), 9.02(1H, d, J=4.2Hz), 9.32(1H, s), 9.67-10.15(3H, br), 10.84-10.88(1H, br)(DMSO-d6)	475
YA1567	3.17-3.26(8H, m), 3.44-3.55(6H, m), 3.80-3.94(9H, m), 4.50-4.57(1H, m), 7.05-7.12(3H, m), 7.60(2H, d, J=7.2Hz), 8.21(1H, d, J=4.2Hz), 9.02(1H, d, J=4.2Hz), 9.32(1H, s), 9.77-9.80(1H, br), 10.16-10.20(1H, br), 10.49-10.52(1H, br)(DMSO-d6)	477
YA1568	3.18-3.24(3H, m), 3.40-3.59(13H, m), 4.02-4.06(2H, m), 4.51-4.55(1H, m), 7.03-7.11(3H, m), 7.52(2H, d, J=7.2Hz), 8.21(1H, d, J=4.2Hz), 9.02(1H, d, J=4.2Hz), 9.18-9.22(1H, br), 9.38(1H, s), 9.72-9.78(1H, br), 10.04-10.10(1H, br)(DMSO-d6)	433
YA1569	1.90-2.02(2H, m), 2.80-3.06(5H, m), 3.25-3.82(5H, m), 3.65(3H, s), 4.39(1H, m), 4.94(1H, m), 6.49(2H, d, J= 8.4 Hz), 6.96(1H, s), 7.25(2H, d, J=8.4 Hz), 8.16(1H, dd, J=5.4, 0.9 Hz), 8.99(1H, d, J=5.1 Hz), 9.29(1H, s) (DMSO-d6)	434
YA1570	1.15(6H, d, J= 6.3 Hz), 2.31(2H, dd, J= 11.1 Hz), 2.98-3.23(6H, m), 3.48-3.62(4H, m), 3.56(3H, s), 3.94(1H, dd, J= 10.2, 2.1 Hz), 6.94(2H, d, J= 8.7 Hz), 7.31(1H, s), 7.34(2H, d, J=8.7 Hz), 8.16(1H, dd, J=5.1, 1.2 Hz), 8.86(1H, d, J=5.1 Hz), 9.26(1H, s) (CDCl3)	461

YA1571	1.27(6H, d, J= 6.0 Hz), 2.43(2H, dd, J= 11.1, 11.1 Hz), 3.02(1H, dd, J=12.0, 10.5 Hz), 3.17-3.23(3H, m), 3.45-3.61(4H, m), 3.56(3H, s), 3.81(1H, m), 3.95(1H, m), 6.92(2H, d, J= 8.7 Hz), 7.32(1H, s), 7.35(2H, d, J= 8.7 Hz), 8.17(1H, m), 8.86(1H, d, J=5.1 Hz), 9.26(1H, d, J=1.2 Hz) (CDCI3)	462
YA1572	3.27-3.32(8H, m), 3.47(3H, s), 3.82-3.86(2H, m), 4.36-4.39(1H, m), 7.02(1H, s), 7.72(2H, d, J=7.2Hz), 7.84(2H, d, J=7.2Hz), 7.96-8.04(4H, m), 8.22(1H, d, J=4.2Hz), 9.01(1H, d, J=4.2Hz), 9.30(1H, s)(DMSO-d6)	503
YA1573	2.93-3.10(5H, m), 3.46(3H, s), 3.69-3.71(1H, m), 4.01-4.04(1H, m), 6.99(1H, s), 7.63(2H, d, J=7.2Hz), 7.77(2H, d, J=7.2Hz), 7.88-7.95(4H, m), 8.18(1H, d, J=4.2Hz), 8.99(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	450
YA1574	3.08 (1H, dd, J = 12.5, 10.4 Hz), 3.24 (3H, m), 3.59 (3H, s), 3.66 (2H, m), 4.09 (1H, dd, J = 10.4, 2.4 Hz), 7.29 (2H, d, J = 8.3 Hz), 7.33 (1H, s), 7.54 (2H, d, J = 8.3 Hz), 7.56 (2H, d, J = 8.3 Hz), 7.59 (2H, d, J = 8.3 Hz), 8.17 (1H, d, J = 4.9 Hz), 8.86 (1H, d, J = 4.9 Hz), 9.27 (1H, s) (CDCl3)	509
YA1575	3.08 (1H, dd, J = 12.4, 10.0 Hz), 3.25 (3H, m), 3.59 (3H, s), 3.67 (2H, m), 4.11 (1H, dd, J = 10.0, 2.0 Hz), 7.33 (1H, s), 7.57 (2H, d, J = 8.0 Hz), 7.63 (2H, d, J = 8.0 Hz), 7.71 (4H, s), 8.16 (1H, dd, J = 5.2, 1.2 Hz), 8.16 (1H, dd, J = 5.2, 1.2 Hz), 8.86 (1H, d, J = 5.2 Hz), 9.27 (1H, d, J = 1.2 Hz) (CDCI3)	493
YA1576	1.45 (3H, t, J = 7.0 Hz), 3.08 (1H, dd, J = 12.5, 10.6 Hz), 3.22 (3H, m), 3.58 (3H, s), 3.62 (2H, m), 4.05 (1H, m), 4.08 (2H,q, J = 7.0 Hz), 6.98 (2H, d, J = 8.0 Hz), 7.32 (1H, s), 7.49 (2H, d, J = 8.0 Hz), 7.52 (2H, d, J = 8.0 Hz), 7.58 (2H, d, J = 8.0 Hz), 8.17 (1H, d, J = 5.3 Hz), 8.86 (1H, d, J = 5.3 Hz), 9.27 (1H, s), (CDCI3)	469
YA1577	1.83 (4H, m), 1.99 (1H, m), 2.21 (1H, m), 2.61 (4H, m), 2.87 (1H, m), 3.03 (1H, dd, J = 12.0, 10.0 Hz), 3.20 (4H, m), 3.33 (1H, m), 3.42 (1H, m), 3.49 (1H, m), 3.56 (3H, s), 3.61 (2H, m), 3.90 (1H, dd, J = 10.0, 2.0 Hz), 6.55 (2H, d, J = 8.8 Hz), 7.29 (2H, d, J = 8.8 Hz), 7.30 (1H, s), 8.16 (1H, d, J = 5.2 Hz), 8.85 (1H, d, J = 5.2 Hz), 9.26 (1H, s) (CDCI3)	487
YA1578	3.09 (1H, dd, J = 12.4, 10.8 Hz), 3.20 (3H, m), 3.58 (3H, s), 3.64 (2H, m), 3.82 (3H, s), 3.86 (3H, s), 4.05 (1H, dd, J = 10.4, 2.8 Hz), 6.58 (2H, m), 7.24 (2H, m), 7.32 (1H, s), 7.47 (2H, d, J = 8.4 Hz), 7.53 (2H, d, J = 8.4 Hz), 8.17 (1H, dd, J = 5.2, 1.2 Hz), 8.86 (1H, d, J = 5.2 Hz), 9.27 (1H, d, J = 1.2 Hz) (CDCI3)	485

YA1579	3.08 (1H, dd, J = 12.5, 10.6 Hz), 3.23 (3H, m), 3.59 (3H, s), 3.66 (2H, m), 3.93 (3H, s), 3.96 (3H, s), 4.07 (1H, dd, J = 10.3, 2.2 Hz), 6.95 (1H, d, J = 8.3 Hz), 7.11 (1H, d, J = 2.0 Hz), 7.16 (1H, dd, J = 8.3, 2.0 Hz), 7.33 (1H, s), 7.52 (1H, d, J = 8.1 Hz), 7.59 (1H, d, J = 8.1 Hz), 8.17 (1H, dd, J = 5.3, 1.2 Hz), 8.85 (1H, d, J = 5.3 Hz), 9.27 (1H, d, J = 1.2 Hz) (CDCI3)	485
YA1580	3.07 (1H, dd, J = 12.4, 10.4 Hz), 3.23 (3H, m), 3.59 (3H, s), 3.65 (2H, m), 4.08 (1H, dd, J = 10.4, 2.0 Hz), 7.32 (1H, s), 7.41 (2H, d, J = 8.4 Hz), 7.52 (2H, d, J = 8.4 Hz), 7.53 (2H, d, J = 8.4 Hz), 7.58 (2H, d, J = 8.4 Hz), 8.16 (1H, d, J = 4.8 Hz), 8.86 (1H, d, J = 4.8 Hz), 9.27 (1H, s) (CDCI3)	459
YA1581	3.09 (1H, dd, J = 12.2, 11.0 Hz), 3.24 (3H, m), 3.59 (3H, s), 3.66 (2H, m), 4.10 (1H, dd, J = 10.4, 2.4 Hz), 7.29 (2H, m), 7.33 (1H, s), 7.44 (2H, d, J = 8.0 Hz), 7.52 (3H, m), 8.18 (1H, dd, J = 5.3, 1.0 Hz), 8.87 (1H, d, J = 5.3 Hz), 9.27 (1H, d, J = 1.0 Hz) (CDCI3)	493
YA1582	3.06 (1H, dd, J = 12.4, 10.4 Hz), 3.25 (3H, m), 3.58 (3H, s), 3.65 (2H, m), 4.09 (1H, dd, J = 10.0, 2.0 Hz), 7.33 (1H, s), 7.42 (1H, dd, J = 8.0, 2.0 Hz), 7.56 (5H, m), 7.68 (1H, d, J = 2.0 Hz), 8.16 (1H, dd, J = 5.2, 1.2 Hz), 8.85 (1H, d, J = 5.2 Hz), 9.27 (1H, d, J = 1.2 Hz) (CDCl3)	493
YA1583	3.06 (1H, dd, J = 12.3, 10.8 Hz), 3.23 (3H, m), 3.59 (3H, s), 3.65 (2H, m), 4.13 (1H, dd, J = 10.2, 2.2 Hz), 7.33 (1H, s), 8.14 (1H, d, J = 5.3 Hz), 8.15 (2H, d, J = 8.4 Hz), 8.78 (1H, s), 8.86 (1H, d, J = 5.3 Hz), 9.27 (1H, s) (CDCI3)	417
YA1584	1.37(6H, d, J= 6.0 Hz), 3.07(1H, dd, J=12.6, 10.8 Hz), 3.20-3.26(3H, m), 3.58(3H, s), 3.65-3.68(2H, m), 4.07(1H, m), 4.59(1H, m), 6.98(2H, d, J= 8.7 Hz), 7.48(1H, s), 7.50-7.61(6H, m), 8.17(1H, d, J=4.8 Hz), 8.86(1H, d, J=5.1 Hz), 9.26(1H, d, J=1.2 Hz) (CDCI3)	483
YA1585	0.99(3H, t, J= 7.5 Hz), 1.47-1.82(4H, m), 3.07(1H, dd, J=12.3, 10.5 Hz), 3.22-3.27(3H, m), 3.58(3H, s), 3.62-3.65(2H, m), 4.03(2H, t, J= 6.3 Hz), 4.04(1H, m), 6.98(2H, d, J= 8.7 Hz), 7.48(1H, s), 7.50-7.59(6H, m), 8.17(1H, dd, J=5.1, 1.2 Hz), 8.86(1H, d, J=5.1 Hz), 9.26(1H, d, J=1.2 Hz) (CDCI3)	497
YA1586	1.28(1H, br.s), 2.51(3H, s), 3.07(1H, dd, J=10.8, 12.6Hz), 3.21-3.28(3H, m), 3.58(3H, s), 3.64(2H, m), 4.08(1H, dd, J=2.5, 19.5Hz), 7.34(2H, d, J=7.8Hz), 7.45-7.67(7H, m), 8.17(1H, d, J=5.4Hz), 8.86(1H, d, J=5.1Hz), 9.27(1H, d, J=1.2Hz)(CDCl3)	470

YA1587	1.86(1H, br.s), 2.40(3H, s), 3.07(1H, dd, J=10.8, 12.6Hz), 3.20-3.27(2H, m), 3.58(3H, s), 3.62-3.68(3H, m), 4.06(1H, dd, J=2.5, 19.5Hz), 7.24-7.27(2H, m), 7.49-7.52(5H, m), 7.60(2H, d, J=8.2Hz), 8.17(1H, d, J=5.4Hz), 8.85(1H, d, J=5.2Hz), 9.27(1H, s)(CDCl3)	438
YA1588	1.29(6H, s), 1.85(1H, br.s), 2.94-2.96(1H, m), 3.08(1H, dd, J=10.8, 12.6Hz), 3.21-3.27(3H, m), 3.59(3H, s), 3.65(2H, m), 4.07(1H, dd, J=2.5, 19.5Hz), 7.28-7.62(9H, m), 8.17(1H, dd, J=1.2, 5.7Hz), 8.86(1H, d, J=5.1Hz), 9.27(1H, d, J=1.2Hz)(CDCl3)	466
YA1589	1.72(1H, br.s), 3.10(1H, m), 3.21-3.24(3H, m), 3.58(3H, s), 3.58-3.73(4H, m), 4.09(1H, dd, J=2.5, 19.5Hz), 6.75(2H, dd, J=2.1, 6.6Hz), 7.23-7.57(7H, m), 8.16(1H, d, J=5.4Hz), 8.86(1H, d, J=5.1Hz), 9.27(1H, d, J=1.2Hz)(CDCI3)	439
YA1590	2.79 (1H, dd, J = 10.5, 12.6 Hz), 3.20-3.40 (3H, m), 3.50-3.80 (5H, m), 4.45 (1H, dd, J = 3.0, 10.2 Hz), 7.10-7.20 (1H, m), 7.30-7.40 (2H, m), 7.58 (1H, dd, J = 0.9, 7.8 Hz), 7.73 (1H, dd, J = 1.5, 7.8 Hz), 8.19 (1H, dd, J = 0.9, 4.8 Hz), 8.85 (1H, d, J = 5.1 Hz), 9.26 (1H, d, J = 0.9 Hz) (CDCI3)	427
YB013	1.31-1.46(1H, m), 1.60-1.96(3H, m), 2.17-2.30(1H, m), 2.89-3.02(2H, m), 3.41(3H, s), 3.61(1H, d, J=12.4 Hz), 3.80(1H, d, J=13.5 Hz), 3.90-4.01(2H, m), 6.89-7.01(3H, m), 6.96(1H, s), 7.27-7.32(2H, m), 8.18(1H, d, J=4.4 Hz), 8.96(1H, d, J=5.0 Hz), 9.28(1H, s)(DMSO-d6)	378
YB014	1.33-1.49(1H, m), 1.60-1.93(3H, m), 2.20-2.32(1H, m), 2.89-3.04(2H, m), 3.41(3H, s), 3.63(1H, d, J=13.3 Hz), 3.82(1H, d, J=11.1 Hz), 4.22-4.37(2H, m), 6.95(1H, s), 7.51-7.56(2H, m), 7.65-7.70(1H, m), 8.00-8.03(2H, m), 8.17(1H, dd, J=1.1, 5.1 Hz), 8.87(1H, d, J=5.1 Hz), 9.28(1H, d, J=1.0 Hz)(DMSO-d6)	406
YB048	(CDCl3): 1.93-2.07(3H, m), 2.38(1H, m), 3.09(1H, m), 3.46(1H, m), 3.57(3H, s), 3.61-3.70(2H, m), 4.05(1H, m), 7.26-7.34(2H, m), 7.59-7.61(2H, m), 7.76(1H, m), 8.16(1H, m), 8.83(1H, m), 9.27(1H, s).	389
YB049	(CDCl3): 1.92-2.08(3H, m), 2.36(1H, m), 3.11(1H, m), 3.44(1H, dd, J=12.9, 10.8Hz), 3.58(3H, s), 3.61-3.70(2H, m), 4.06(1H, m), 7.11(1H, m), 7.28-7.33(2H, m), 7.70(1H, dd, J=8.7, 4.8Hz), 8.15(1H, m), 8.86(1H, d, J=5.4Hz), 9.28(1H, s).	407
YB050	1.93-2.11(3H, m), 2.33-2.45(1H, m), 3.08-3.16(1H, m), 3.46(1H, dd, J=11.4, 12.9 Hz), 3.59(3H, s), 3.62-3.71(2H, m), 4.06(1H, d, J=12.6 Hz), 7.32-7.37(1H, m), 7.32(1H, s), 7.57-7.64(2H, m), 7.75(1H, d, J=8.1 Hz), 8.16(1H, dd, J=1.2, 5.4 Hz), 8.84(1H, d, J=4.8 Hz), 9.28(1H, d, J=0.9 Hz)(CDCl3)	389

YB051	1.91-2.11(3H, m), 2.35-2.43(1H, m), 3.08-3.16(1H, m), 3.42-3.50(1H, m), 3.59(3H, s), 3.62-3.71(2H, m), 4.05(1H, d, J=11.1 Hz), 7.32(1H, s), 7.33-7.37(1H, m), 7.57-7.65(2H, m), 7.75(1H, d, J=7.8 Hz), 8.16(1H, d, J=5.7 Hz), 8.84(1H, d, J=5.4 Hz), 9.28(1H, d, J=1.2 Hz)(CDCI3)	389
YB130	1.78-1.96(4H, m), 2.73-2.90(1H, m), 3.02-3.09(2H, m), 3.46(3H, s), 3.84(2H, d, J=12.6 Hz), 6.98(1H, s), 7.11-7.17(2H, m), 7.33-7.38(2H, m), 8.25(1H, d, J=5.1 Hz), 9.01(1H, d, J=4.8 Hz), 9.30(1H, s)(DMSO-d6)	366
YB157	1.90-2.05(2H,m), 2.18-2.35(2H,m), 2.92-3.09(1H,m), 3.10-3.23(2H,m), 3.58(3H,s), 3.72-3.83(2H,m), 6.95-7.07(1H,m), 7.22(1H,dd,J=2.2,9.0Hz), 7.34(1H,s), 7.46(1H,s), 7.48-7.55(1H,m), 8.20(1H,d,J=5.3Hz), 8.88(1H,d,J=5.2Hz), 9.29(1H.s)(CDCI3)	406
YB158	1.91-2.04(2H, m), 2.23(2H, d, J=8.9Hz), 2.44(3H, s), 2.97-3.11(1H, m), 3.16(2H, dd, J=11.1, 12.4Hz), 3.58(3H, s), 3.77(2H, d, J=13.0Hz), 7.12(1H, d, J=8.5Hz), 7.36-7.41(4H, m), 8.20(1H, d, J=5.3Hz), 8.87(1H, d, J=4.8Hz), 9.28(1H, s) (CDCI3)	402
YB159	1.93-2.05(2H, m), 2.23(2H, d, J=12.6Hz), 3.19(3H, m), 3.58(3H, s), 3.81(2H, d, J=13.2Hz), 7.12-7.16(1H, m), 7.26(1H, s), 7.34(1H, s), 7.56(1H, dd, J=2.4, 8.7Hz), 7.77-7.76(1H, m), 8.20(1H, dd, J=1.2, 5.1Hz), 8.87(1H, d, J=5.1Hz), 9.29(1H, s) (CDCl3)	422
YB160	2.01-2.22(5H, m), 3.20(2H, dd, J=1.4, 11.7Hz), 3.47(3H, s), 3.84(2H, d, J=13.2Hz), 6.99(1H, s), 7.32(1H, m), 7.72(1H, dd, J=2.1, 9.0Hz), 8.09(1H, dd, J=2.7, 9.1Hz), 8.27(1H, m), 9.01(1H, d, J=5.1Hz), 9.31(1H, d, J=1.5Hz) (DMSO-d6)	407
YB162	2.13-2.43(4H,m), 3.10-3.38(3H,m), 3.57(3H,s), 3.65-3.83(2H,m), 7.30-7.40(3H,m), 7.45-7.59(1H,m), 7.62-7.80(1H,m), 8.10-8.22(1H,m), 8.88(1H,d,J=5.1Hz), 9.28(1H,s)(CDCI3)	389
YB193	2.22-2.39(4H, m), 3.21-3.35(2H, m), 3.48(3H, s), 3.90(2H, d, J=13.5 Hz), 7.03(1H, s), 7.38-7.43(1H, m), 7.46-7.51(2H, m), 7.59-7.66(2H, m), 8.28(1H, d, J=5.0 Hz), 9.01(1H, d, J=5.0 Hz), 9.30(1H, s)(DMSO-d6)	373
YB251	2.01-2.22(5H, m), 3.20(2H, dd, J=11.4, 11.7Hz), 3.47(3H, s), 3.82(2H, d, J=13.2Hz), 7.32(1H, m), 6.70(1H, s), 7.72(1H, dd, J=2.1, 9.0Hz), 8.09(1H, dd, J=2.7, 9.1Hz), 8.27(1H, m), 9.01(1H, d, J=5.1Hz), 9.31(1H, d, J=1.5Hz)(DMSO-d6)	406

YB252	1.64(2H, m), 2.23(2H, d, J=8.9Hz), 2.44(3H, s), 2.97-3.11(1H, m), 3.16(2H, dd, J=11.1, 11.4Hz), 3.58(3H, s), 3.77(2H, d, J=13.0Hz) 7.12(1H, d, J=8.5Hz), 7.36-7.41(4H, m), 8.20(1H, d, J=5.3Hz), 8.87(1H, d, J=4.8Hz), 9.28(1H, s)(CDCI3)	401
YB253	1.93-2.05(2H, m), 2.23(2H, d, J=12.6Hz), 3.19(3H, m), 3.58(3H, s), 3.81(2H, d, J=13.2Hz), 7.12-7.16(1H, m), 7.26(1H, s) 7.34(1H, s), 7.56(1H, dd, J=2.4, 8.7Hz), 7.11-7.76(1H, m), 8.20(1H, dd, J=1.2, 5.1Hz), 8.87(1H, d, J=5.1Hz), 9.29(1H, s)(CDCl3)	421
YB254	1.72-1.94(8H, m), 2.52(4H, m), 2.97-3.05(3H, m), 3.56(3H, s), 3.61(2H, s), 3.67-3.73(2H, m), 7.21-7.34(4H, m), 8.17(1H, d, J=5.4 Hz), 8.86(1H, d, J=5.1 Hz), 9.27(1H, s) (CDCl3)	431
YB255	1.78 (1H, m), 1.89 (3H, m), 1.96 (3H, m), 2.13 (1H, d, J = 13.6 Hz), 3.46 (2H, m), 3.56 (3H, s), 3.66 (2H, t, J = 6.8 Hz), 3.73 (2H, m), 7.30 (2H, d, J = 8.0 Hz), 7.31 (1H, s), 7.52 (2H, d, J = 5.2 Hz), 8.15 (1H, d, J = 5.2 Hz), 8.86 (1H, d, J = 5.2 Hz), 9.27 (1H, s)	444
YB256	1.46-1.73(9H, m), 2.01(2H, d, J=12.1Hz), 2.56(4H, t, J=5.0Hz), 2.94(2H, td, J=1.3, 12.7Hz), 3.52(3H, s), 3.70(2H, d, J=13.8Hz), 7.27(1H, s), 8.18(1H, dd, J=1.3, 5.3Hz), 8.86(1H, d, J=5.3Hz), 9.27(1H, d, J=1.3Hz)(CDCI3)	354
YB257	1.81-1.88(4H, m), 2.80(1H, m), 2.99-3.08(2H, m), 3.46(3H, s), 3.82-3.86(2H, m), 6.98(1H, s), 7.26-7.43(3H, m), 7.53(1H, s), 8.26(1H, d, J=4.8Hz), 9.01(1H, d, J=4.8 Hz), 9.30(1H, s) (DMSO-d6)	425
YB258	1.80-1.90(4H, m), 2.83(1H, m), 2.99-3.08(2H, m), 3.46(3H, s), 3.81-3.86(2H, m), 6.98(1H, s), 7.26-7.43(3H, m), 7.53(1H, s), 8.26(1H, d, J=4.8Hz), 9.01(1H, d, J=4.8 Hz), 9.30(1H, s) (DMSO-d6)	425
YB259	1.76-1.96(8H, m), 2.67(1H, m), 2.99-3.07(2H, m), 3.16-3.21(4H, m), 3.45(3H, s), 3.79-3.84(2H, m), 6.49(2H, d, J=8.4 Hz) 6.97(1H, s), 7.09(2H, d, J=8.4 Hz), 8.24(1H, d, J=5.1Hz), 9.01(1H, d, J=5.1 Hz), 9.30(1H, s) (DMSO-d6)	417
YB260	1.87-1.99(8H, m), 2.72(1H, m), 2.99-3.09(2H, m), 3.19-3.23(4H, m), 3.46(3H, s), 3.80-3.85(2H, m), 6.38(1H, d, J=7.8 Hz) 6.44(1H, s), 6.53(1H, d, J=7.8 Hz), 6.98(1H, s), 7.09(1H, dd, J=7.8, 7.8Hz), 8.25(1H, d, J=5.1Hz), 9.01(1H, d, J=5.1Hz), 9.30(1H, s) (DMSO-d6)	417
YB261	1.48-1.58(2H, m), 2.00-2.07(2H, m), 2.71(6H, s), 3.07-3.14(2H, m), 3.34-3.36(1H, m), 3.48(3H, s), 3.69-3.73(2H, m), 4.87(1H, d, J=8.2Hz), 6.56-6.66(4H, m), 6.96(1H, s), 8.24(1H, d, J=4.2Hz), 9.00(1H, d, J=4.2Hz), 9.30(1H, s)(DMSO-d6)	406

		
YB262	1.51-1.62(2H, m), 2.02-2.08(2H, m), 3.10-3.18(2H, m), 3.42(3H, s), 3.46-3.50(1H, m), 3.67(3H, s), 3.69-3.73(2H, m), 5.56(1H, d, J=8.2Hz), 6.10-6.24(3H, m), 6.94-6.99(2H, m), 8.24(1H, d, J=4.2Hz), 9.00(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	393
YB263	1.48-1.58(2H, m), 2.01-2.08(2H, m), 3.08-3.17(2H, m), 3.40(3H, s), 3.41-3.43(1H, m), 3.63(3H, s), 3.69-3.73(2H, m), 5.09(1H, d, J=8.2Hz), 6.59(2H, d, J=7.2Hz), 6.72(2H, d, J=7.2Hz), 6.96(1H, s), 8.24(1H, d, J=4.2Hz), 9.01(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	393
YB264	1.58-1.69(2H, m), 2.04-2.08(2H, m), 3.08-3.15(2H, m), 3.42(3H, s), 3.55-3.83(6H, m), 4.57(1H, d, J=8.2Hz), 6.53-6.90(4H, m), 7.03(1H, s), 8.25(1H, d, J=4.2Hz), 9.00(1H, d, J=4.2Hz), 9.30(1H, s)(DMSO-d6)	393
YB265	1.66-1.87(3H, m), 1.91-1.99(1H, m), 2.93-3.08(3H, m), 3.43(3H, s), 3.72-3.78(2H, m), 6.97(1H, s), 7.34(2H, d, J=5.7 Hz), 7.54(2H, d, J=5.4 Hz), 8.18(1H, dd, J=5.4, 1.2 Hz), 8.99(1H, d, J=5.1 Hz), 9.29(1H, d, J=0.9 Hz)(DMSO)	426
YB266	1.71-1.91(4H, m), 2.41-2.45(2H, m), 2.53-2.56(4H, m); 2.93-3.00(3H, m), 3.08-3.10(4H, m), 3.43(3H, s), 3.50-3.54(2H, m), 3.67-3.71(2H, m), 4.42-4.46(1H, m), 6.90(2H, d, J=7.2Hz), 6.96(1H, s), 7.19(2H, d, J=7.2Hz), 8.17(1H, dd, J=1.2, 4.2Hz), 8.99(1H, d, J=4.2Hz), 9.29(1H, d, J=1.2Hz)(DMSO-d6)	476
YB267	1.70-1.94(4H, m), 2.86(6H, s), 2.89-2.90(3H, m), 3.43(3H, s), 3.66-3.77(2H, m), 6.71(2H, d, J=7.2Hz), 6.96(1H, s), 7.15(2H, d, J=7.2Hz), 8.17(1H, d, J=4.2Hz), 8.99(1H, d, J=4.2Hz), 9.28(1H, s)(DMSO-d6)	391
YB268	1.72-1.84(4H, m), 2.89-3.08(7H, m), 3.43(3H, s), 3.67-3.77(6H, m), 6.90-6.96(3H, m), 7.21(2H, d, J=7.2Hz), 8.17(1H, d, J=4.2Hz), 8.99(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	433
YB269	1.51-1.83(10H, m), 2.87-3.00(3H, m), 3.07-3.10(4H, m), 3.43(3H, s), 3/68-3.77(2H, m), 6.89(2H, d, J=7.2Hz), 6.96(1H, s), 7.17(2H, d, J=7.2Hz), 8.18(1H, d, J=4.2Hz), 8.99(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	431
YB270	1.72-1.90(4H, m), 2.21(3H, s), 2.42-2.45(4H, m), 2.87-2.97(3H, m), 3.08-3.10(4H, m), 3.43(3H, s), 3.67-3.77(2H, m), 6.90(2H, d, J=7.2Hz), 6.96(1H, s), 7.19(2H, d, J=7.2Hz), 8.17(1H, d, J=4.2Hz), 8.98(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	446
YB271	1.63-1.95(6H, m), 2.04-2.08(2H, m), 2.61-2.65(2H, m), 2.69(6H, s), 2.86-3.00(3H, m), 3.13-3.16(1H, m), 3.43(3H, s), 3.67-3.81(4H, m), 6.92-6.96(3H, m), 7.20(2H, d, J=7.2Hz), 8.17(1H, d, J=4.2Hz), 9.00(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	474

YB272	1.72-1.83(4H, m), 2.89-3.09(7H, m), 3.42(3H, s), 3.54-3.57(4H, m), 3.67-3.77(2H, m), 5.11(2H, s), 6.91-6.96(3H, m), 7.21(2H, d, J=7.2Hz), 7.26-7.44(5H, m), 8.17(1H, d, J=4.2Hz), 8.99(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	566
YB273	1.57-1.63(2H, m), 1.82-1.89(2H, m), 2.51-2.98(13H, m), 3.41(3H, s), 3.76-3.80(3H, m), 6.70(1H, s), 8.22(1H, d, J=4.2Hz), 9.01(1H, d, J=4.2Hz), 9.30(1H, s)(DMSO-d6)	370
YB274	1.52-1.63(2H, m), 1.84-1.90(2H, m), 2.36-2.42(11H, m), 2.86-2.94(2H, m), 3.40(3H, s), 3.49-3.53(2H, m), 3.73-3.77(2H, m), 4.40-4.43(1H, m), 6.96(1H, s), 8.22(1H, d, J=4.2Hz), 9.01(1H, d, J=4.2Hz), 9.30(1H, s)(DMSO-d6)	400
YB275	1.72-1.92(4H, m), 2.80-3.02(11H, m), 3.28-3.30(1H, m), 3.43(3H, s), 6.88(2H, d, J=7.2Hz), 6.96(1H, s), 7.18(2H, d, J=7.2Hz), 8.18(1H, d, J=4.2Hz), 8.99(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	432
YB276	1.06-1.38(5H, m), 1.61-1.92(9H, m), 2.77-2.91(3H, m), 3.03-3.12(1H, m), 3.42(3H, s), 3.64-3.75(2H, m), 5.27(1H, d, J=8.2Hz), 6.52(2H, d, J=7.2Hz), 6.96(1H, s), 7.02(2H, d, J=7.2Hz), 8.17(1H, d, J=4.2Hz), 8.99(1H, d, J=4.2Hz), 9.28(1H, s)(DMSO-d6)	445
YB277	1.76-1.97(4H, m), 2.97-3.10(5H, m), 3.47(3H, s), 3.73-3.76(2H, m), 3.88-3.93(2H, m), 6.71(1H, dd, J=7.2, 7.3Hz), 6.96-7.34(8H, m), 8.19(1H, d, J=4.2Hz), 9.00(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	465
YB278	1.10-1.15(1H, m), 1.32-1.47(4H, m), 1.64-1.82(9H, m), 2.69(3H, s), 2.82-2.97(3H, m), 3.42(3H, s), 3.54-3.75(3H, m), 6.73(2H, d, J=7.2Hz), 6.95(1H, s), 7.13(2H, d, J=7.2Hz), 8.16(1H, d, J=4.2Hz), 8.98(1H, d, J=4.2Hz), 9.28(1H, s)(DMSO-d6)	459

Test Example: Inhibitory activity of the medicament of the present invention against P-GS1 phosphorylation by bovine cerebral TPK1

A mixture containing 100 mM MES-sodium hydroxide (pH 6.5), 1 mM magnesium acetate, 0.5 mM EGTA, 5 mM β -mercaptoethanol, 0.02% Tween 20, 10% glycerol, 12 μ g/ml P-GS1, 41.7 μ M [γ -32P] ATP (68 kBq/ml), bovine cerebral TPK1 and a compound shown in Table (a final mixture contained 1.7% DMSO deriving from a solution of a test compound prepared in the presence of 10% DMSO) was used as a reaction system. The phosphorylation was started by adding ATP, and the

reaction was conducted at 25°C for 2 hours, and then stopped by adding 21% perchloric acid on ice cooling. The reaction mixture was centrifuged at 12,000 rpm for 5 minutes and adsorbed on P81 paper (Whatmann), and then the paper was washed four times with 75 mM phosphoric acid, three times with water and once with acetone. The paper was dried, and the residual radioactivity was measured using a liquid scintillation counter. The results are shown in the table below. The test compound markedly inhibited the P-GS1 phosphorylation by TPK1. The results strongly suggest that the medicaments of the present invention inhibit the TPK1 activity, thereby suppress the A β neurotoxicity and the PHF formation, and that the medicaments of the present invention are effective for preventive and/or therapeutic treatment of Alzheimer disease and the above-mentioned diseases.

Table 6

Compound No.	IC50
XA361	0.018 μ M
XB80	0.23 μ M
YA0864	0.216 μ Μ
YB257	0.014 μ Μ

Formulation Example

(1) Tablets

The ingredients below were mixed by an ordinary method and compressed by using a conventional apparatus.

Compound of Example 1	30 mg
Crystalline cellulose	60 mg
Corn starch	100 mg
Lactose	200 mg
Magnesium stearate	4 mg

(2) Soft capsules

The ingredients below were mixed by an ordinary method and filled in soft capsules.

Compound of Example 1	30 mg
Olive oil	300 mg
Lecithin	20 mg

Industrial Applicability

The compounds of the present invention have TPK1 inhibitory activity and are useful as an active ingredient of a medicament for preventive and/or therapeutic treatment of diseases caused by abnormal advance of TPK1 such as neurodegenerative diseases (e.g. Alzheimer disease) and the above-mentioned diseases.

CLAIMS

1. A pyrimidone derivative represented by formula (I) or a salt thereof, or a solvate thereof or a hydrate thereof:

$$(X)_{m} \xrightarrow{N}_{R} O$$

$$(Y)_{0} \xrightarrow{R} O$$

$$(Y)_{0} \xrightarrow{R} O$$

wherein Q represents CH or nitrogen atom;

R represents a C₁-C₁₂ alkyl group which may be substituted; the ring of:

represents piperazine ring or piperidine ring;

each X independently represents

 $X^1 - X^2 -$

wherein X¹ represents an oxo group; a C¹-C² alkyl group which may be substituted; a C³-C² cycloalkyl group which may be substituted; an optionally partially hydrogenated C6-C¹0 aryl ring which may be substituted; an indan ring which may be substituted; an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total; an aralkyloxy group; a group represented by -N(Ra)(Rb) wherein Ra and Rb are the same or different and each is hydrogen, a C¹-C⁴ alkyl group which may be substituted, an aralkyl group which may be substituted, an

aryl group which may be substituted, C1-C8 alkylcarbonyl group which may be substituted,

C₃-C₈ cycloalkylcarbonyl group which may be substituted, aralkycarbonyl group which may be substituted,

C₆-C₁₀ arylcarbonyl group which may be substituted,

C₁-C₈ alkysulfonyl group which may be substituted,

 C_3 - C_8 cycloalkylsulfonyl group which may be substituted,

aralkysulfonyl group which may be substituted,

C₆-C₁₀ arylsulfonyl group which may be substituted,

C1-C8 alkyloxycarbonyl group which may be substituted,

C3-C8 cycloalkyloxycarbonyl group which may be substituted,

aralkyoxycarbonyl group which may be substituted,

C₆-C₁₀ aryloxycarbonyl group which may be substituted, aminocarbonyl,

N-C1-C8 alkylaminocarbonyl group which may be substituted,

N, N'-C1-C8 dialkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C6-C10 arylaminocarbonyl group which may be substituted,

C₃-C₈ cycloalkylaminocarbonyl group which may be substituted,

N,N'-C3-C8 dicycloalkylaminoycarbonyl group which may be substituted,

N-C3-C8 cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C₃-C₈ cycloalkyl-N'-C₆-C₁₀ arylaminocarbonyl group which may be substituted, aralkylaminocarbonyl group which may be substituted,

N,N'-diaralkylaminocarbonyl group which may be substituted,

N-aralkyl- N'-C6-C10 arylaminocarbonyl group which may be substituted,

 $C_{6}\text{-}C_{10}$ arylaminocarbonyl group which may be substituted,

N,N'-C6-C10 diarylaminocarbonyl group which may be substituted,

or an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total; or Ra and Rb together with the adjacent nitrogen atom form a 4 to 7 membered heterocyclic ring which may further contain 1 to 4 groups selected from an oxygen atom, a sulfur atom, N-Rc (wherein Rc represents a hydrogen atom, a C₁-C₄ alkyl group which may be substituted, an aralkyl group which may be substituted, C₃-C₈ cycloalkyl group which may be substituted or an aryl group which may be substituted,

C1-C8 alkylcarbonyl group which may be substituted,

C₃-C₈ cycloalkylcarbonyl group which may be substituted,

aralkycarbonyl group which may be substituted,

C6-C10 arylcarbonyl group which may be substituted,

C₁-C₈ alkysulfonyl group which may be substituted,

C₃-C₈ cycloalkylsulfonyl group which may be substituted,

aralkysulfonyl group which may be substituted,

C6-C10 arylsulfonyl group which may be substituted,

C1-C8 alkyloxycarbonyl group which may be substituted,

C₃-C₈ cycloalkyloxycarbonyl group which may be substituted,

aralkyoxycarbonyl group which may be substituted,

C₆-C₁₀ aryloxycarbonyl group which may be substituted,

aminocarbonyl,

N-C₁-C₈ alkylaminocarbonyl group which may be substituted,

N, N'-C1-C8 dialkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C6-C10 arylaminocarbonyl group which may be substituted,

C₃-C₈ cycloalkylaminocarbonyl group which may be substituted,

N,N'-C3-C8 dicycloalkylaminoycarbonyl group which may be substituted,

N-C₃-C₈ cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted, N-C₃-C₈ cycloalkyl-N'-C₆-C₁₀ arylaminocarbonyl group which may be substituted,

aralkylaminocarbonyl group which may be substituted,

N,N'-diaralkylaminocarbonyl group which may be substituted,

N-aralkyl- N'-C6-C10 arylaminocarbonyl group which may be substituted,

C₆-C₁₀ arylaminocarbonyl group which may be substituted,

N,N'-C6-C10 diarylaminocarbonyl group which may be substituted,

or an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total),

a carbonyl group, a sulfinyl group or a sulfonyl group in the ring, and said 4 to 7 membered heterocyclic ring may optionally be fused with an aryl group which may be substituted;

X² represents a bond, a carbonyl group, a sulfinyl group, a sulfonyl group, an oxygen atom, a sulfur atom, a C₁-C₄ alkylene group which may be substituted or N-Rd (Rd represents a hydrogen atom, a C₁-C₄ alkyl group which may be substituted, an aralkyl group which may be substituted, C₃-C₈ cycloalkyl group which may be substituted,

C₁-C₈ alkylcarbonyl group which may be substituted,

C₃-C₈ cycloalkylcarbonyl group which may be substituted, aralkycarbonyl group which may be substituted,

C6-C10 arylcarbonyl group which may be substituted,

 $C_1\text{-}C_8$ alkysulfonyl group which may be substituted,

 C_3 - C_8 cycloalkylsulfonyl group which may be substituted,

aralkysulfonyl group which may be substituted,

 C_{6} - C_{10} arylsulfonyl group which may be substituted,

C₁-C₈ alkyloxycarbonyl group which may be substituted,
C₃-C₈ cycloalkyloxycarbonyl group which may be substituted,
aralkyoxycarbonyl group which may be substituted,
C₆-C₁₀ aryloxycarbonyl group which may be substituted,
aminocarbonyl,

N-C1-C8 alkylaminocarbonyl group which may be substituted,

N, N'-C1-C8 dialkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C6-C10 arylaminocarbonyl group which may be substituted,

C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N,N'-C3-C8 dicycloalkylaminoycarbonyl group which may be substituted,

N-C3-C8 cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C₃-C₈ cycloalkyl-N'-C₆-C₁₀ arylaminocarbonyl group which may be substituted, aralkylaminocarbonyl group which may be substituted,

N,N'-diaralkylaminocarbonyl group which may be substituted,

N-aralkyl- N'-C6-C10 arylaminocarbonyl group which may be substituted,

C₆-C₁₀ arylaminocarbonyl group which may be substituted,

N,N'-C6-C10 diarylaminocarbonyl group which may be substituted,

or an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total);

m represents an integer of 1 to 3;

each Y independently represents a halogen atom, a hydroxy group, a cyano group, Y1-Y3- wherein Y1 represents a C1-C8 alkyl group which may be substituted; a C3-C8 cycloalkyl group which may be substituted or a C6-C10 aryl ring which may be substituted; Y3 represents a carbonyl group, a sulfinyl group, a sulfonyl group, an oxygen atom, a sulfur atom, a C1-C4 alkylene group which may be substituted or

N-Re (Re represents a hydrogen atom, a C₁-C₄ alkyl group which may be substituted, an aralkyl group which may be substituted, C₃-C₈ cycloalkyl group which may be substituted or an aryl group which may be substituted,

C1-C8 alkylcarbonyl group which may be substituted,

C₃-C₈ cycloalkylcarbonyl group which may be substituted, aralkycarbonyl group which may be substituted,

 $C_{6}\text{-}C_{10}$ arylcarbonyl group which may be substituted,

C₁-C₈ alkysulfonyl group which may be substituted,

 $C_3\text{-}C_8$ cycloalkylsulfonyl group which may be substituted,

aralkysulfonyl group which may be substituted,

C6-C10 arylsulfonyl group which may be substituted,

C1-C8 alkyloxycarbonyl group which may be substituted,

 $C_3\text{-}C_8$ cycloalkyloxycarbonyl group which may be substituted,

aralkyoxycarbonyl group which may be substituted,

C₆-C₁₀ aryloxycarbonyl group which may be substituted, aminocarbonyl,

N-C1-C8 alkylaminocarbonyl group which may be substituted,

N, N'-C1-C8 dialkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C6-C10 arylaminocarbonyl group which may be substituted,

C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N,N'-C3-C8 dicycloalkylaminoycarbonyl group which may be substituted,

N-C3-C8 cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C₃-C₈ cycloalkyl-N'-C₆-C₁₀ arylaminocarbonyl group which may be substituted,

aralkylaminocarbonyl group which may be substituted,

N,N'-diaralkylaminocarbonyl group which may be substituted,

N-aralkyl- N'-C6-C10 arylaminocarbonyl group which may be substituted,

C6-C10 arylaminocarbonyl group which may be substituted,

N,N'-C6-C10 diarylaminocarbonyl group which may be substituted,

or an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total),

n represents an integer of 0 to 8;

when X and Y or two Y groups are attached on the same carbon atom, they may combine to each other to form a C_2 - C_6 alkylene group; and when m is 1, n is 0, and X is X^1 -CO-,

- (1) X does not bind to 3-position of unsubstituted 1-piperazinyl group or does not bind to 3-position of a 4-alkyl-1-piperazinyl group; or
- (2) X does not bind to 3-position or 4-position of non-substituted 1-piperidinyl group.
- 2. The pyrimidone derivative or the salt thereof, or the solvate thereof or the hydrate thereof according to claim 1 having the following formula(II)

$$(X)_{p} \qquad (II)$$

$$(X)_{q} \qquad (Y)_{r}$$

wherein Q, R, X and Y are the same as those defined in claim 1;
p is 0 or 1; q is 0 or 1; r is an integer of 0 to 6; p+q is 1 or 2;
and Z represents N or CZ¹ wherein Z¹ represents hydrogen atom or Y.

3. The pyrimidone derivative or the salt thereof, or the solvate thereof or the hydrate thereof according to claim 2, wherein R is a C₁-C₃ alkyl group which

may be substituted by a C₃-C₈ cycloalkyl group.

- 4. The pyrimidone derivative or the salt thereof, or the solvate thereof or the hydrate thereof according to claim 3, wherein R is methyl group or ethyl group; Y is in 3-, 4- or 5-position of the piperazine ring or the piperidine ring; p+q is 1; and r is an integer of 0 to 3.
- 5. The pyrimidone derivative or the salt thereof, or the solvate thereof or the hydrate thereof according to claim 4, wherein X is a C₁-C₈ alkyl group which may be substituted or a C₆-C₁₀ aryl ring which may be substituted; Y is a C₁-C₆ alkyl group which may be substituted; p is 1; q is 0; r is an integer of 0 to 3; and Z is N or CH.
- 6. The pyrimidone derivative or the salt thereof, or the solvate thereof or the hydrate thereof according to claim 5, wherein X is a benzene ring which may be substituted, a benzyl group which may be substituted; Y is a methyl group which may be substituted; Z is N and r is 0 or 1.
- 7. The pyrimidone derivative or the salt thereof, or the solvate thereof or the hydrate thereof according to claim 4, wherein X is a benzene ring which may be substituted, a benzyl group which may be substituted, a benzoyl group which may be substituted, or a benzisothiazol ring which may be substituted; Y is a methyl group which may be substituted; Z is N and p is 0.
- 8. The pyrimidone derivative or the salt thereof, or the solvate thereof or the hydrate thereof according to claim 4, wherein X is a C₁-C₈ alkyl group substituted by a benzene ring which may be substituted or a benzene ring which may be substituted; Y is a hydroxy group, a cyano group, or Y¹-CO- wherein Y¹ is a C₁-C₈ alkyl group; Z is CH or C-Y and r is 0 or 1.
- 9. The pyrimidone derivative or the salt thereof, or the solvate thereof or the hydrate thereof according to claim 8, wherein X is a benzyl group which may be substituted or a benzene ring which may be substituted; Y is a hydroxy group, a cyano group, or an acetyl group; Z is CH or C-Y and r is 0 or 1.

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10. A pyrimidone derivative which is selected from the group consisting of:
2-(3-Phenylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(4-Fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(3-Fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2\hbox{-}(3\hbox{-}(2\hbox{-}Fluorophenyl) piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H\hbox{-}pyrimidin-4\hbox{-}one;
2\hbox{-}(3\hbox{-}(4\hbox{-}Chlorophenyl) piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3$$H$-pyrimidin-4-one;
(S) - 2 - (3 - (4 - Chlorophenyl) piperazin - 1 - yl) - 3 - methyl - 6 - (4 - pyridyl) - 3H - pyrimidin - 4 - yl) - 3H - yl) - yl) - 3H - yl) - y
one;
(R) - 2 - (3 - (4 - Chlorophenyl) piperazin - 1 - yl) - 3 - methyl - 6 - (4 - pyridyl) - 3H - pyrimidin - 4 - yl) - 3H - yl) - yl) - 3H - yl) - y
one;
2-(3-(3-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(2-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(4-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(3-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(2-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(4-Methylphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(3-Methylphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(2-Methylphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(4-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(3-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
 2-(3-(2-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
 2-(3-(4-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
 2-(3-(3-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\
 2-(3-(2-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
 2-(3-(2-Ethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
 2-(3-(5-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-
 pyrimidin-4-one;
  2-(3-(4-Fluoro-3-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-1-2-(3-(4-Fluoro-3-methoxyphenyl)
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pyrimidin-4-one;
pyrimidin-4-one;
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(S)-2-(3-(4-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3Hpyrimidin-4-one;

(R) - 2 - (3 - (4 - Fluoro - 2 - methoxyphenyl) piperazin - 1 - yl) - 3 - methyl - 6 - (4 - pyridyl) - 3H - (4 pyrimidin-4-one;

 $\hbox{2-(3-(4-Chloro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3} H-10-(4-chloro-2-methoxyphenyl) H-10-(4-chloro-2$ pyrimidin-4-one;

 $2\hbox{-}(3\hbox{-}(4\hbox{-}Fluoro\hbox{-}2\hbox{-}methylphenyl) piperazin-1\hbox{-}yl)\hbox{-}3\hbox{-}methyl\hbox{-}6\hbox{-}(4\hbox{-}pyridyl)\hbox{-}3H-10\hbox{-}yl)$ pyrimidin-4-one;

2-(3-(2-Fluoro-6-methoxyphenyl) piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-1pyrimidin-4-one;

 $2\hbox{-}(3\hbox{-}(5\hbox{-Bromo-}2\hbox{-methoxyphenyl}) piperazin-1\hbox{-yl})\hbox{-}3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})\hbox{-}3H\hbox{-}2$ pyrimidin-4-one;

 $2\hbox{-}(3\hbox{-}(2\hbox{-Bromo-}4\hbox{-fluorophenyl}) piperazin-1\hbox{-yl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3H$ pyrimidin-4-one;

 $2\hbox{-}(3\hbox{-}(2\hbox{-}Chloro\hbox{-}6\hbox{-}fluorophenyl) piperazin-1\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3\textit{H-}instantial piperazin-1-yl)-3\text{-}methyl-6-(4\hbox{-}pyridyl)-3\textit{H-}instantial piperazin-1-yl)-3\text{-}methyl-6-(4\hbox{-}pyridyl)-3\textit{H-}instantial piperazin-1-yl)-3\text{-}methyl-6-(4\hbox{-}pyridyl)-3\textit{H-}instantial piperazin-1-yl)-3\text{-}methyl-6-(4\hbox{-}pyridyl)-3\textit{H-}instantial piperazin-1-yl)-3\text{-}methyl-6-(4\hbox{-}pyridyl)-3\text{-}methyl-6$ pyrimidin-4-one;

 $2\hbox{-}(3\hbox{-}(2,4\hbox{-Difluorophenyl}) piperazin-1-yl)-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3H\hbox{-pyrimidin-}4-1-yl)-3-1-yll-3-1-yll-3-1-yll-3-1-yll-3-1-yll-3$ one;

 $2\hbox{-}(3\hbox{-}(2,6\hbox{-Difluorophenyl}) piperazin-1-yl)-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3H\hbox{-pyrimidin-}4-2-(3\hbox{-}(2,6\hbox{-Difluorophenyl}))$ one;

2-(3-(2,6-Dichlorophenyl) piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4one;

 $2-(3-(2,4-{\rm Dimethoxyphenyl}) {\rm piperazin-1-yl})-3-{\rm methyl-6-}(4-{\rm pyridyl})-3H-{\rm pyrimidin-}(4-{\rm pyrimidin-}$ 4-one;

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4-one;
2\hbox{-}(3\hbox{-}(2,5\hbox{-}{\rm Dimethoxyphenyl}) {\rm piperazin-1-yl})\hbox{-}3\hbox{-}{\rm methyl-6\hbox{-}}(4\hbox{-}{\rm pyridyl})\hbox{-}3H\hbox{-}{\rm pyrimidin-1-yl})
 4-one;
2\hbox{-}(3\hbox{-}(2,6\hbox{-Dimethoxyphenyl}) piperazin-1-yl)-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3H\hbox{-pyrimidin-}
 4-one;
2\hbox{-}(3\hbox{-}(2,4\hbox{-Difluoro-}6\hbox{-methoxyphenyl}) piperazin-1\hbox{-yl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3H-2-(3\hbox{-}(2,4\hbox{-Difluoro-}6\hbox{-methoxyphenyl}) piperazin-1\hbox{-yl})-3\hbox{-methyl-}6-(4\hbox{-pyridyl})-3H-2-(3\hbox{-}(2,4\hbox{-Difluoro-}6\hbox{-methoxyphenyl}) piperazin-1-yl)-3-methyl-6-(4\hbox{-pyridyl})-3H-2-(3\hbox{-}(2,4\hbox{-Difluoro-}6\hbox{-methoxyphenyl}) piperazin-1-yl)-3-methyl-6-(4\hbox{-pyridyl})-3H-2-(3\hbox{-}(2,4\hbox{-Difluoro-}6\hbox{-methoxyphenyl}) piperazin-1-yl)-3-methyl-6-(4\hbox{-pyridyl})-3H-2-(3\hbox{-}(3\hbox{-methyl})-3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox{-methyl})-3-(3\hbox
pyrimidin-4-one;(1034)
 pyrimidin-4-one;
  pyrimidin-4-one;
  2\hbox{-}(3\hbox{-}(1\hbox{-Naphthyl}) piperazin-1\hbox{-}yl)-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3H\hbox{-pyrimidin-}4\hbox{-one};
   2-(3-(2-Naphthyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\
   \hbox{2-(3-(2,3-Dihydrobenzofuran-7-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3} H-10-(2-3-2-1) H-10-(2-3-2-2-1) H-10-(2-3-2-1) 
   pyrimidin-4-one;
   2-(3-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
   (S) - 2 - (3 - (Benzofuran - 2 - yl)piperazin - 1 - yl) - 3 - methyl - 6 - (4 - pyridyl) - 3H - pyrimidin - 4 - yl) - 3H - yl) - yl) - 3H - yl) 
     one;
     pyrimidin-4-one;
      pyrimidin-4-one;
      2\hbox{-}(3\hbox{-}(2\hbox{-methoxy-}4\hbox{-}(pyrrolidin-1\hbox{-}yl)phenyl)piperazin-1\hbox{-}yl)-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3\hbox{-methyl-}6\hbox{-
       3H-pyrimidin-4-one;
       2-(3-(2-methoxy-5-(pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-
        3H-pyrimidin-4-one;
        2-(3-(4-(Phenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\
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2-(3-(4-(4-Fluorophenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-

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pyrimidin-4-one;
2\hbox{-}(3\hbox{-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H-2-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl-6-(4\hbox{-}pyridyl)-3-methyl
pyrimidin-4-one;
 pyrimidin-4-one;
 2\hbox{-}(3\hbox{-}(4\hbox{-}(Morpholin-4\hbox{-}yl)phenyl)piperazin-1\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3\textit{H-}instantial piperazin-1-yl)-3\text{-}methyl-6
  pyrimidin-4-one;
  2\hbox{-}(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H-2-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)-3-(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiper
   pyrimidin-4-one;
   2-(4-Phenylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
   2-(4-Benzylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
   2-(4-Benzoylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
   \hbox{$2$-(4-(1,2-Benzi sothiaz ol-3-yl) piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3$H-like the statement of the 
    pyrimidin-4-one;
    2\hbox{-}(4\hbox{-Methyl-3-phenylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3} H\hbox{-pyrimidin-4-one};
    2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-
     3H-pyrimidin-4-one;
     (S)-2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-
      pyridyl)-3H-pyrimidin-4-one;
     (R) - 2 - (3 - (4 - Fluoro - 2 - methoxyphenyl) - 4 - methylpiperazin - 1 - yl) - 3 - methyl - 6 - (4 - methylpiperazin - 1 - yl) - 3 - methyl - 6 - (4 - methylpiperazin - 1 - yl) - 3 - yl) - yl) - 3 - yl) - 3 - yl) - yl) - 3 - yl) - yl) - 3 - yl) - yl) - yl) - 3 - yl) - 
      pyridyl)-3H-pyrimidin-4-one;
     2-(4-Acetyl-3-(4-fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-
       3H-pyrimidin-4-one;
       2-(4-Benzyl-3-(4-fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-
         3H-pyrimidin-4-one;
         2-(4-Benzyl-3-(ethoxycarbonyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-
         pyrimidin-4-one;
         2\hbox{-}(4\hbox{-methyl-}3\hbox{-}(1\hbox{-naphthyl}) piperazin-1\hbox{--yl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{--pyridyl})-3H\hbox{--pyrimidin-}4\hbox{--}
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pyrimidin-4-one;
2-(3-Phenylpiperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(4-Fluorophenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2\hbox{-}(3\hbox{-}(3\hbox{-}Fluorophenyl) piperidin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H\hbox{-}pyrimidin-4\hbox{-}one;
2\hbox{-}(3\hbox{-}(2\hbox{-}Fluorophenyl) piperidin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H\hbox{-}pyrimidin-4\hbox{-}one;
2\hbox{-}(3\hbox{-}(4\hbox{-}Chlorophenyl) piperid in-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrid yl)-3\hbox{$H$-pyrimid in-4-one};
  2-(3-(4-Bromophenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
  2-(3-(4-Methoxyphenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
  2-(3-(3-Methoxyphenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
  2-(3-(2-Methoxyphenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\
  2\hbox{-}(3\hbox{-}(4\hbox{-}((Pyrrolidin-1\hbox{-}yl)methyl)phenyl)piperidin-1\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H-10\hbox{-}(4\hbox{-}((Pyrrolidin-1\hbox{-}yl)methyl)phenyl)piperidin-1\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H-10\hbox{-}(4\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H-10\hbox{-}(4\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H-10\hbox{-}(4\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H-10\hbox{-}(4\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H-10\hbox{-}(4\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H-10\hbox{-}(4\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H-10\hbox{-}(4\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H-10\hbox{-}(4\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H-10\hbox{-}(4\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H-10\hbox{-}(4\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H-10\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(4\hbox{-}yl)-3\hbox{-}(
  pyrimidin-4-one;
  3H-pyrimidin-4-one;
  (R) - 2 - (3 - (4 - (Pyrrolidin-1 - yl-methyl)phenyl)piperidin-1 - yl) - 3 - methyl - 6 - (4 - pyridyl) - (4 - (Pyrrolidin-1 - yl-methyl)phenyl)piperidin-1 - yl) - 3 - methyl - 6 - (4 - pyridyl) - (4 - (Pyrrolidin-1 - yl-methyl)phenyl)piperidin-1 - yl) - 3 - methyl - 6 - (4 - pyridyl) - (4 - (Pyrrolidin-1 - yl-methyl)phenyl)piperidin-1 - yl) - 3 - methyl - 6 - (4 - pyridyl) - (
   3H-pyrimidin-4-one;
    2-(3-Hydroxy-3-phenylpiperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
   2-(3-Phenylpiperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
   2\hbox{-}(3\hbox{-}(4\hbox{-}Fluorophenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-one;
    2-(3-(3-Fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
    2-(3-(2-Fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
    one;
     2-(3-(3-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-pyrimidyl-3H-pyrimidyl-4-pyrimidyl-3H-pyrimidyl-3H-pyrimidyl-4-pyrimidyl-3H-pyrimidyl-4-pyrimidyl-4-pyrimidyl-3H-pyrimidyl-4-pyrimidyl-4-pyrimidyl-3H-pyrimidyl-4-pyrimidyl-4-pyrimidyl-3H-pyrimidyl-4-pyrimidyl-3H-pyrimidyl-4-pyrimidyl-3H-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-pyrimidyl-4-py
      one;
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one;

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one;
 2-(3-(4-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
 2\hbox{-}(3\hbox{-}(3\hbox{-}Bromophenyl) piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-one;
2\hbox{-}(3\hbox{-}(2\hbox{-Bromophenyl}) piperazin-1\hbox{-}yl)-3\hbox{-methyl-6-}(4\hbox{-pyrimidyl})-3H\hbox{-pyrimidin-4-one};
2\hbox{-}(3\hbox{-}(4\hbox{-}Cyanophenyl) piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3\hbox{$H$-}pyrimidin-4-one;}\\
 2\hbox{-}(3\hbox{-}(3\hbox{-}Cyanophenyl) piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3\emph{\textit{H}}\hbox{-}pyrimidin-4\hbox{-}one;
 2\hbox{-}(3\hbox{-}(2\hbox{-}Cyanophenyl) piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-one;
 4-one;
    2\hbox{-}(3\hbox{-}(3\hbox{-}Methoxyphenyl) piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3$$H$-pyrimid in-2-(3-(3-Methoxyphenyl) piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3$$H$-pyrimid in-2-(3-(3-Methoxyphenyl) piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-pyrimidyl-3$$H$-py
     4-one;
     2-(3-(2-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-
     4-one;
     2\hbox{-}(3\hbox{-}(2\hbox{-}{\bf Ethoxyphenyl}) piperazin-1\hbox{-}{\bf yl})\hbox{-}3\hbox{-}{\bf methyl-}6\hbox{-}(4\hbox{-}pyrimidyl)\hbox{-}3$$H$-pyrimidin-}4\hbox{-}
     one:
      2\hbox{-}(3\hbox{-}(6\hbox{-}Fluoro\hbox{-}2\hbox{-}methoxyphenyl) piperazin-1\hbox{-}yl)\hbox{-}3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-
      pyrimidin-4-one;
      2\hbox{-}(3\hbox{-}(5\hbox{-}Fluoro\hbox{-}2\hbox{-}methoxyphenyl) piperazin-1\hbox{-}yl)\hbox{-}3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}yrimidyl)\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3H-10\hbox{-}3
        pyrimidin-4-one;
        pyrimidin-4-one;
        (S) - 2 - (3 - (4 - Fluoro - 2 - methoxyphenyl) piperazin - 1 - yl) - 3 - methyl - 6 - (4 - pyrimidyl) - 3H - (4
         pyrimidin-4-one;
         (R) - 2 - (3 - (4 - Fluoro - 2 - methoxyphenyl) piperazin - 1 - yl) - 3 - methyl - 6 - (4 - pyrimidyl) - 3H - (4
          pyrimidin-4-one;
            2-(3-(4-Chloro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-<math>3H-1
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 $2\hbox{-}(3\hbox{-}(5\hbox{-Bromo-}2\hbox{-methoxyphenyl}) piperazin-1\hbox{-yl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyrimidyl})-3\textit{H-}(3\hbox{-}(3\hbox{-}(3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyrimidyl})-3\text{-methyl-}6\hbox{-}(4\hbox{-pyrimidyl})-3\text{-methyl-}6)$

pyrimidin-4-one;

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pyrimidin-4-one;
2\hbox{-}(3\hbox{-}(2,6\hbox{-Dichlorophenyl}) piperazin-1\hbox{--yl})\hbox{-}3\hbox{--methyl-}6\hbox{-}(4\hbox{--pyridyl})\hbox{-}3H\hbox{--pyrimidin-}4\hbox{--yl})
one;
one;
2\hbox{-}(3\hbox{-}(3\hbox{-}4\hbox{-}{\rm Dimethoxyphenyl}) piperazin-1\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3 \textit{H-}2-(3\hbox{-}(3\hbox{-}4\hbox{-}2))-3\text{-}methyl-6-(4\hbox{-}pyrimidyl)-3 \textit{H-}3-(3\hbox{-}4)-3\text{-}methyl-6-(4\hbox{-}pyrimidyl)-3 \text{-}methyl-6-(4\hbox{-}pyrimidyl)-3 \text{-}methyl-6-(4\hbox{-}pyrimid
 pyrimidin-4-one;
pyrimidin-4-one;
pyrimidin-4-one;
 pyrimidin-4-one;
  2\hbox{-}(3\hbox{-}(1\hbox{-Naphthyl}) piperazin-1\hbox{-}yl)\hbox{-}3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyrimidyl})\hbox{-}3H\hbox{-pyrimidin-}4\hbox{-one};
  2\hbox{-}(3\hbox{-}(2\hbox{-Naphthyl}) piperazin-1\hbox{-}yl)-3\hbox{-methyl-6-}(4\hbox{-pyrimidyl})-3H\hbox{-pyrimidin-4-one};
  pyrimidin-4-one;
  2\hbox{-}(3\hbox{-}(Benzo furan-2\hbox{-}yl)piperazin-1\hbox{-}yl)\hbox{-}3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)\hbox{-}3\textit{H-}pyrimidin-4\hbox{-}yl)\hbox{-}3\text{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)\hbox{-}3\textit{H-}pyrimidin-4\hbox{-}yl)
   one;
   2-(3-(4-(Pyrrolidin-1-yl-methyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-
   3H-pyrimidin-4-one;
   2\hbox{-}(3\hbox{-}(4\hbox{-}(Pyrrolidin-1\hbox{-}yl)phenyl)piperazin-1\hbox{-}yl)\hbox{-}3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)\hbox{-}3H-10\hbox{-}(4\hbox{-}(Pyrrolidin-1\hbox{-}yl)phenyl)piperazin-1\hbox{-}yl)
   pyrimidin-4-one;
   2-(3-(2-methoxy-4-(pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-
   pyrimidyl)-3H-pyrimidin-4-one;
   2-(3-(2-methoxy-5-(pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-
    pyrimidyl)-3H-pyrimidin-4-one;
    2-(3-(4-(Phenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-
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one;
2\hbox{-}(3\hbox{-}(4\hbox{-}(4\hbox{-}Fluorophenyl)phenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3\textit{H-}(4\hbox{-}(4\hbox{-}Fluorophenyl)phenyl)piperazin-1-yl)-3-methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3\textit{H-}(4\hbox{-}(4\hbox{-}Fluorophenyl)phenyl)piperazin-1-yl)-3-methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3\textit{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(
  pyrimidin-4-one;
 2\hbox{-}(3\hbox{-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3\textit{H-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3\textit{H-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3\textit{H-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3\textit{H-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3\textit{H-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3\textit{H-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3\text{H-}(4\hbox{-}(4\hbox{-}Methoxyphenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenyl)phenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphe
  pyrimidin-4-one;
  2\hbox{-}(3\hbox{-}(4\hbox{-}(2\hbox{-Methoxyphenyl}) phenyl) piperazin-1\hbox{-}yl)-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyrimidyl})-3\mathit{H-}2)
   pyrimidin-4-one;
  2\hbox{-}(3\hbox{-}(4\hbox{-}(Morpholin-4\hbox{-}yl)phenyl)piperazin-1\hbox{-}yl)\hbox{-}3\hbox{-}methyl\hbox{-}6\hbox{-}(4\hbox{-}pyrimidyl)\hbox{-}3H\hbox{-}2)
   pyrimidin-4-one;
   2\hbox{-}(3\hbox{-}(4\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-yl)-1-
     3H-pyrimidin-4-one;
    2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-
     pyrimidyl)-3H-pyrimidin-4-one;
    (S)-2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-
     pyrimidyl)-3H-pyrimidin-4-one;
    (R)-2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-
      pyrimidyl)-3H-pyrimidin-4-one;
      2-(4-Acetyl-3-(4-fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-
       pyrimidyl)-3H-pyrimidin-4-one;
       2-(4-Benzyl-3-(4-fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-
        pyrimidyl)-3H-pyrimidin-4-one;
       2-(4-(4-Fluorophenyl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
        2\hbox{-}(4\hbox{-}Cyano\hbox{-}4\hbox{-}phenylpiperidin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-one;
         2\hbox{-}(4\hbox{-}(6\hbox{-}Fluorobeno furan-3\hbox{-}yl) piperidin-1\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3\textit{H-}instantonial}
         pyrimidin-4-one;
         2\hbox{-}(3\hbox{-}(Benzoisoxazol\hbox{-} 3\hbox{-} yl)piperidin\hbox{-} 1\hbox{-} yl)\hbox{-} 3\hbox{-}methyl\hbox{-} 6\hbox{-}(4\hbox{-}pyrimidyl)\hbox{-} 3H\hbox{-}pyrimidin\hbox{-} 1\hbox{-} yl)\hbox{-} 3\hbox{-}methyl\hbox{-} 6\hbox{-}(4\hbox{-}pyrimidyl)\hbox{-} 3H\hbox{-}pyrimidyl)\hbox{-} 3H\hbox{-} pyrimidyl)
           4-one;
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(S)-2-(3-(Benzoisoxazol-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-

pyrimidin-4-one;

(R)-2-(3-(Benzoisoxazol-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;

2-(3-(6-Fluorobenzoisoxazol-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;

2-(4-(6-Fluorobenzoisoxazol-3-yl) piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;

 $2\text{-}(4\text{-}(5\text{-Methylbenzofuran-3-yl}) piperidin-1\text{-yl})-3\text{-methyl-6-}(4\text{-pyrimidyl})-3H-\\ pyrimidin-4\text{-one; and }$

 $2\text{-}(4\text{-}(6\text{-Fluorobenzothiophene-3-yl}) piperidin-1\text{-yl})-3\text{-methyl-6-}(4\text{-pyrimidyl})-3H-\\ pyrimidin-4\text{-one}$

or a salt thereof, or a solvate thereof or a hydrate thereof.

- 11. A medicament comprising as an active ingredient a substance selected from the group consisting of the pyrimidone derivative represented by formula (I) and a salt thereof, and a solvate thereof and a hydrate thereof according to claim 1.
- 12. A tau protein kinase 1 inhibitor selected from the group consisting of the pyrimidone derivative represented by formula (I) and a salt thereof, and a solvate thereof and a hydrate thereof according to claim 1.
- 13. The medicament according to claim 11 which is used for preventive and/or therapeutic treatment of a disease caused by tau protein kinase 1 hyperactivity.
- 14. The medicament according to claim 11 which is used for preventive and/or therapeutic treatment of a neurodegenerative disease.
- 15. The medicament according to claim 14, wherein the neurodegenerative disease is selected from the group consisting of Alzheimer disease, ischemic cerebrovascular accidents, Down syndrome, cerebral bleeding due to cerebral amyloid angiopathy, progressive supranuclear palsy, subacute sclerosing panencephalitic parkinsonism, postencephalitic parkinsonism, pugilistic

encephalitis, Guam parkinsonism-dementia complex, Lewy body disease, Pick's disease, corticobasal degeneration, frontotemporal dementia, vascular dementia, traumatic injuries, brain and spinal cord trauma, peripheral neuropathies, retinopathies, and glaucoma.

16. The medicament according to claim 11, wherein the disease is selected from the group consisting of non-insulin dependent diabetes, obesity, manic depressive illness, schizophrenia, alopecia, breast cancer, non-small cell lung carcinoma, thyroid cancer, T or B-cell leukemia, and a virus-induced tumor.

ABSTRACT

A pyrimidone derivative having tau protein kinase 1 inhibitory activity which is represented by formula (I) or a salt thereof, or a solvate thereof or a hydrate thereof:

$$(X)_{m} \xrightarrow{N}_{R} O$$

$$(Y)_{n} \xrightarrow{N}_{R} O$$

$$(Y)_{n} \xrightarrow{N}_{R} O$$

wherein Q represents CH or nitrogen atom; R represents a C1-C12 alkyl group; the ring of:

 $\binom{N}{2}$

represents piperazine ring or piperidine ring; each X independently represents a C_1 - C_8 alkyl group, an optionally partially hydrogenated C_6 - C_{10} aryl ring, an indan ring or the like; m represents an integer of 1 to 3; each Y independently represents a halogen atom, a hydroxy group, a cyano group, a C_1 - C_6 alkyl group or the like; n represents an integer of 0 to 8; when X and Y or two Y groups are attached on the same carbon atom, they may combine to each other to form a C_2 - C_6 alkylene group.